02/11/2006 10666192.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	DEC 05	CASREACT(R) - Over 10 million reactions available
NEWS 4	DEC 14	2006 MeSH terms loaded in MEDLINE/LMEDLINE
NEWS 5	DEC 14	2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
NEWS 6	DEC 14	CA/CAplus to be enhanced with updated IPC codes
NEWS 7	DEC 21	IPC search and display fields enhanced in CA/CAplus with the IPC reform
NEWS 8	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS 9	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS 10	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS 11	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 12	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS 13	JAN 30	Saved answer limit increased
NEWS 14	JAN 31	Monthly current-awareness alert (SDI) frequency added to TULSA

NEWS EXPRESS JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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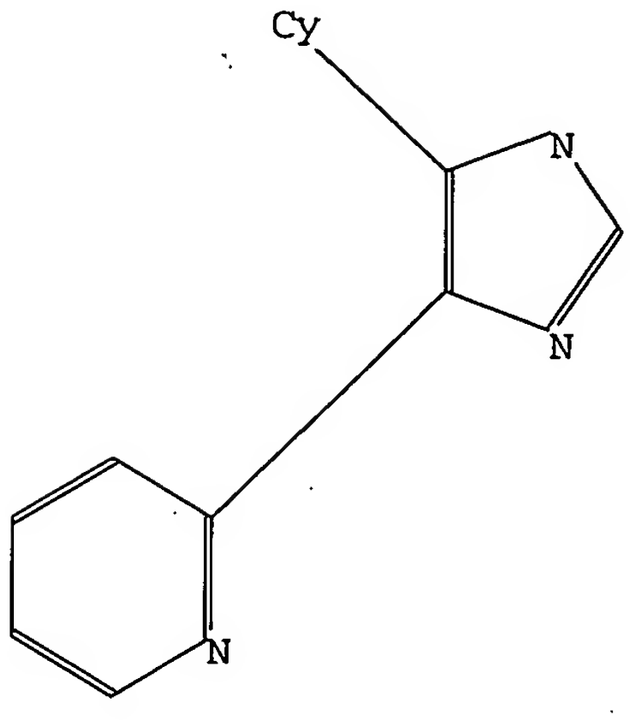
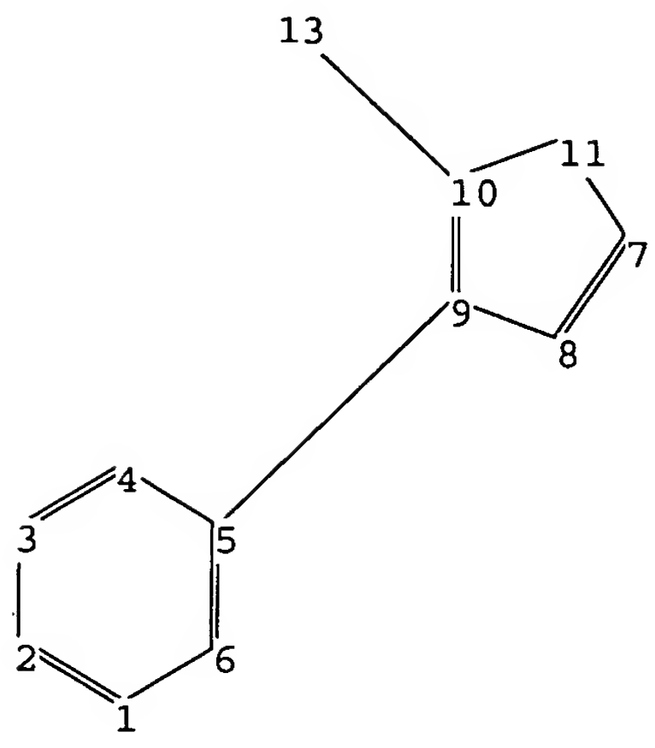
* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:44:47 ON 11 FEB 2006

```
=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY     SESSION
FULL ESTIMATED COST                            0.21        0.21

FILE 'REGISTRY' ENTERED AT 14:44:57 ON 11 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:     9 FEB 2006  HIGHEST RN 873916-87-1
DICTIONARY FILE UPDATES:    9 FEB 2006  HIGHEST RN 873916-87-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*************************************************************
*                                                           *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                           *
*************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10666192.str
```



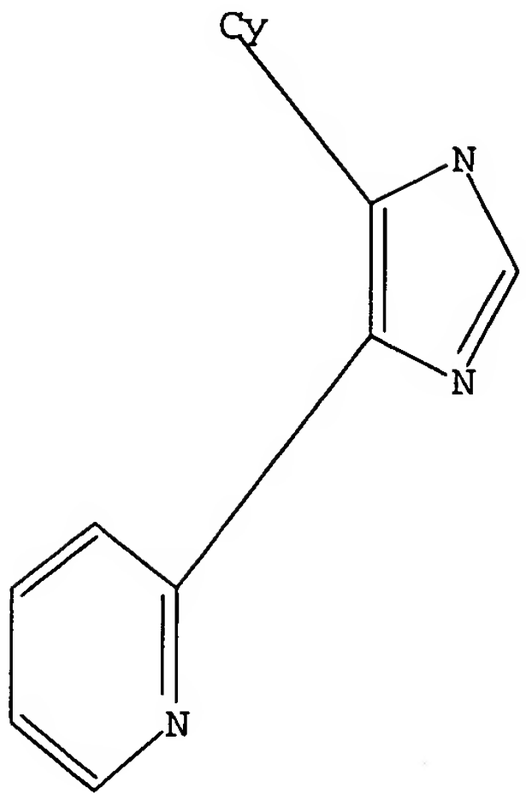


chain nodes :
13
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
5-9 10-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
7-8 7-11 8-9 10-11 10-13
exact bonds :
5-9 9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 13:Atom

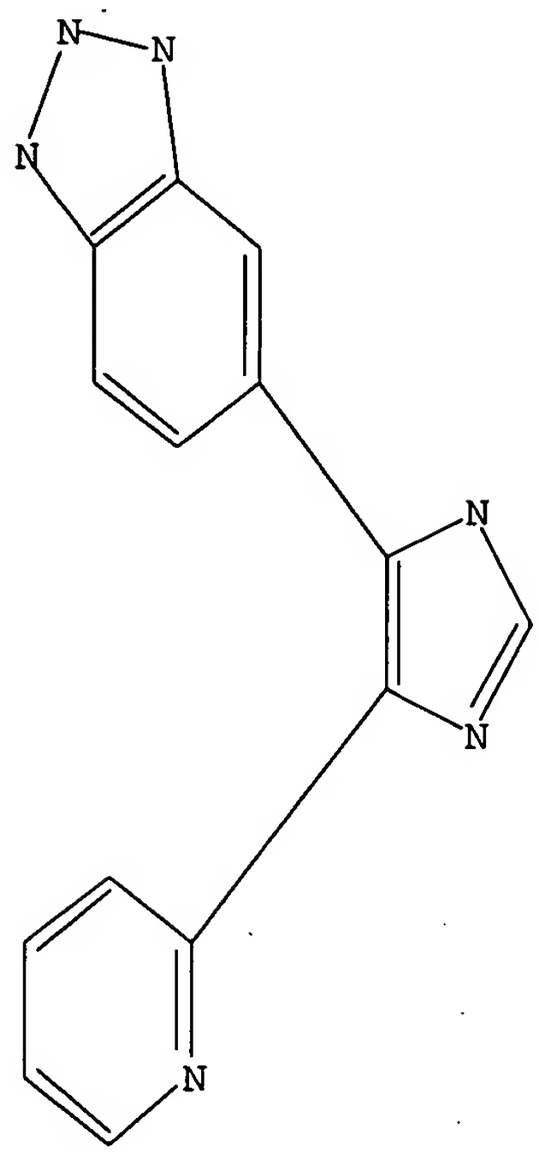
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



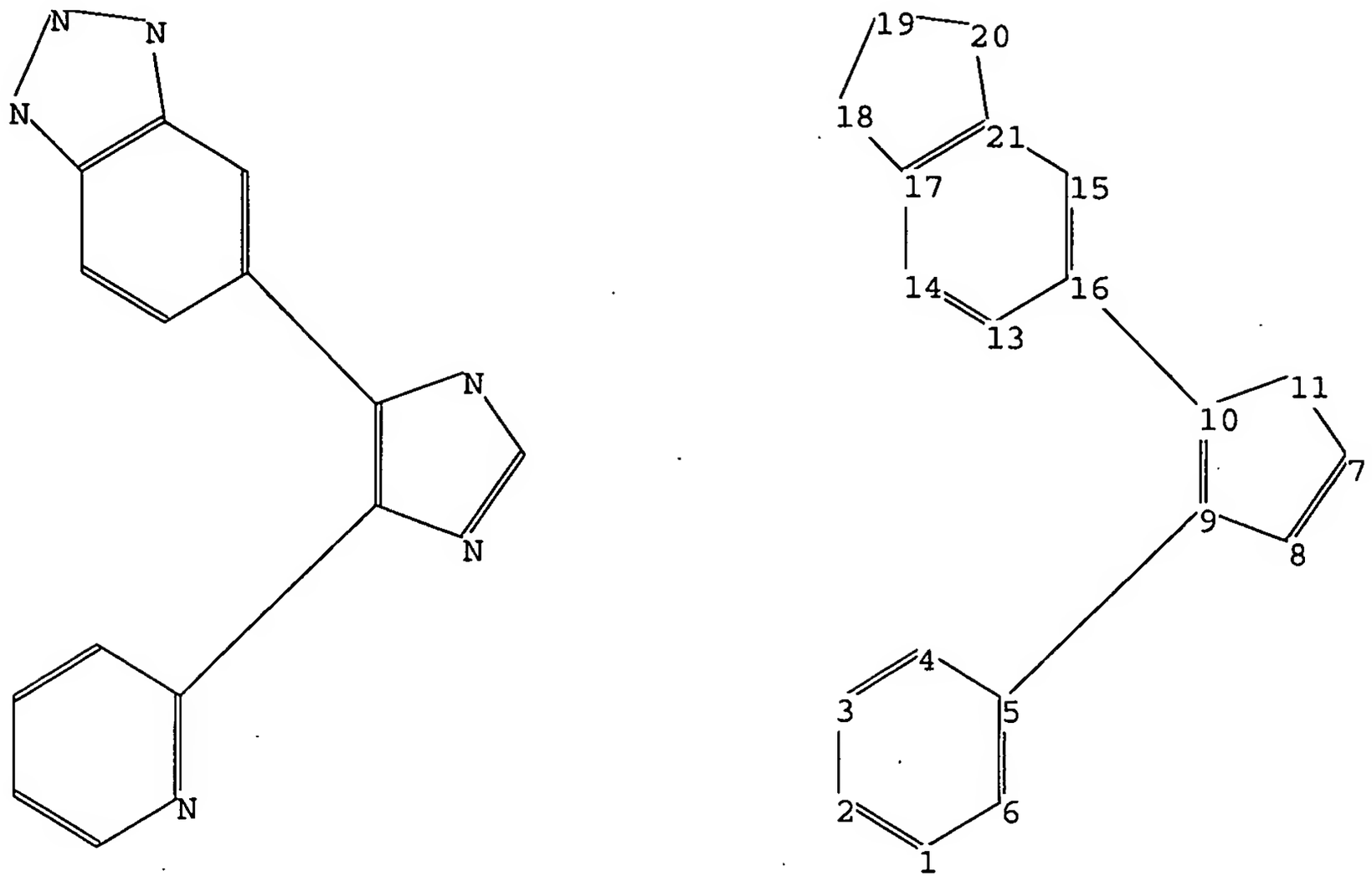
Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 14:45:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      484 TO ITERATE

100.0% PROCESSED      484 ITERATIONS                          39 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         8361 TO    10999
PROJECTED ANSWERS:             406 TO     1154

L2            39 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 14:45:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     9665 TO ITERATE

100.0% PROCESSED     9665 ITERATIONS                         680 ANSWERS
SEARCH TIME: 00.00.01

L3            680 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10666192a.str
```



Structure attributes must be viewed using STN Express query preparation.

```
=> s l4
SAMPLE SEARCH INITIATED 14:50:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        12 TO ITERATE

100.0% PROCESSED        12 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           33 TO       447
PROJECTED ANSWERS:               0 TO         0

L5              0 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 14:50:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       313 TO ITERATE

100.0% PROCESSED       313 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

L6              3 SEA SSS FUL L4

=> FIL HCAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              337.40     337.61
```



ring nodes :
1 2 3 4 5 6 7 8 9 10 11 13 14 15 16 17 18 19 20 21
chain bonds :
5-9 10-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 13-14 13-16 14-17
15-21 15-16 17-18 17-21 18-19 19-20 20-21
exact/norm bonds :
7-8 7-11 8-9 10-11 17-18 18-19 19-20 20-21
exact bonds :
5-9 9-10 10-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-16 14-17 15-21 15-16 17-21
isolated ring systems :
containing 1 : 7 : 13 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
21:Atom

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR

FILE COVERS 1907 - 11 Feb 2006 VOL 144 ISS 8
FILE LAST UPDATED: 10 Feb 2006 (20060210/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l6
L7              1 L6

=> s l3
L8             75 L3

=> s l8 and p/dt
         5119526 P/DT
L9              37 L8 AND P/DT

=> s l9 and py<=2002
        22790869 PY<=2002
L10             23 L9 AND PY<=2002

=> s l10 and frowth factor
               4 FROWTH
          945111 FACTOR
          846009 FACTORS
         1491334 FACTOR
                   (FACTOR OR FACTORS)
               0 FROWTH FACTOR
                   (FROWTH(W)FACTOR)
L11            0 L10 AND FROWTH FACTOR

=> s l10 and inhibitor
          497561 INHIBITOR
          508610 INHIBITORS
          789651 INHIBITOR
                   (INHIBITOR OR INHIBITORS)
L12           17 L10 AND INHIBITOR

=> s l12 and tgf
           29981 TGF
             151 TGFS
```

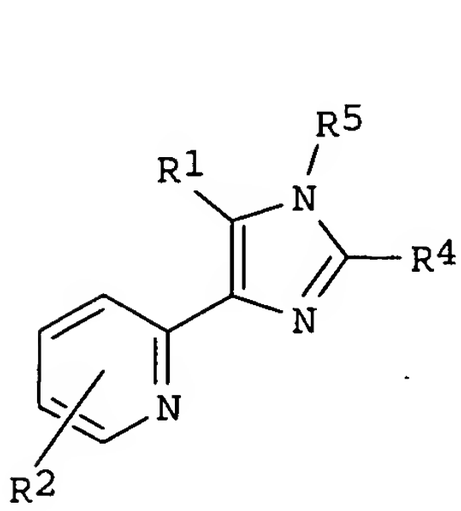
```
          30006 TGF
                (TGF OR TGFS)
L13           4 L12 AND TGF

=> s l12 and cancer
        269505 CANCER
         38980 CANCERS
        279737 CANCER
                (CANCER OR CANCERS)
L14           0 L12 AND CANCER

=> d his

     (FILE 'HOME' ENTERED AT 14:44:47 ON 11 FEB 2006)

     FILE 'REGISTRY' ENTERED AT 14:44:57 ON 11 FEB 2006
L1              STRUCTURE UPLOADED
L2             39 S L1
L3            680 S L1 SSS FULL
L4                STRUCTURE UPLOADED
L5              0 S L4
L6              3 S L4 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 14:50:59 ON 11 FEB 2006
L7              1 S L6
L8             75 S L3
L9             37 S L8 AND P/DT
L10            23 S L9 AND PY<=2002
L11             0 S L10 AND FROWTH FACTOR
L12            17 S L10 AND INHIBITOR
L13             4 S L12 AND TGF
L14             0 S L12 AND CANCER

=> d l7 ibib abs hitstr tot

L7   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:          2004:267322  HCAPLUS
DOCUMENT NUMBER:           140:303668
TITLE:                     Preparation of 2-(imidazol-5-yl)pyridines as
                           transforming growth factor (TGF) inhibitors for the
                           treatment of cancer and fibrotic diseases
INVENTOR(S):               Blumberg, Laura Cook; Munchhof, Michael John
PATENT ASSIGNEE(S):        Pfizer Products Inc., USA
SOURCE:                    PCT Int. Appl., 63 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:             Patent
LANGUAGE:                  English
FAMILY ACC. NUM. COUNT:    1
PATENT INFORMATION:

     PATENT NO.            KIND   DATE        APPLICATION NO.          DATE
     ----------            ----   --------    -----------------        --------
     WO 2004026859          A1    20040401    WO 2003-IB3833           20030908
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
```

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

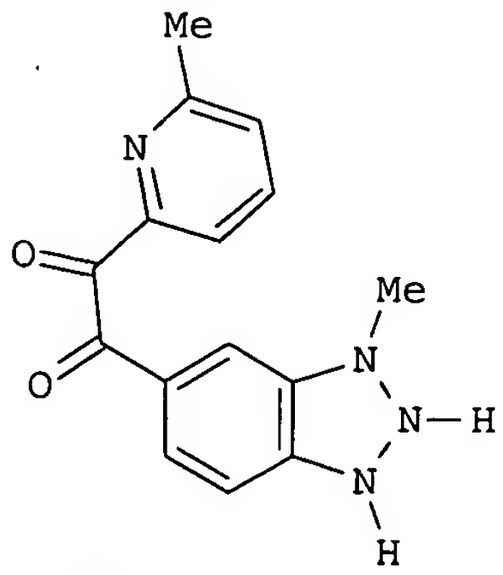
CA 2498047	AA	20040401	CA 2003-2498047		20030908
EP 1542990	A1	20050622	EP 2003-797429		20030908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003014372	A	20050719	BR 2003-14372		20030908
JP 2006502237	T2	20060119	JP 2004-568901		20030908
US 2004106608	A1	20040603	US 2003-666192		20030917
NO 2005001008	A	20050607	NO 2005-1008		20050224
PRIORITY APPLN. INFO.:			US 2002-411894P	P	20020918
			US 2003-484522P	P	20030702
			WO 2003-IB3833	W	20030908

OTHER SOURCE(S): MARPAT 140:303668

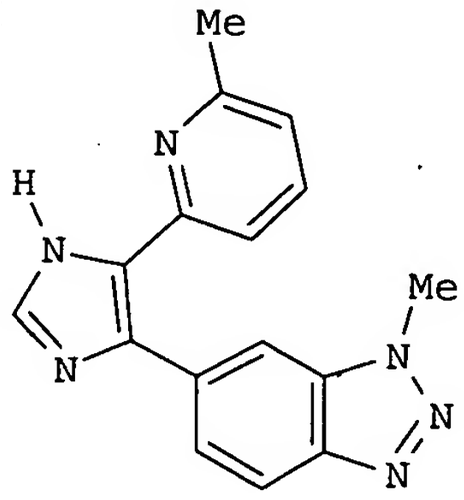
GI



I



II



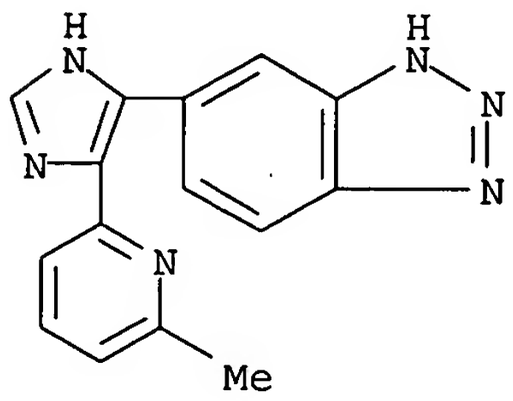
III

AB Title compds. I [R1 = (un)saturated aromatic, monocyclic, bicyclic, etc.; R2 = (R3)s; R3 = H, halo, halo-alkyl, etc.; s = 1-5; R4 = H, halo, halo-alkyl, etc.; R5 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, condensation of dione II, e.g., prepared from 3-methyl-3H-benzotriazole-5-carboxylic acid in 3-steps, ammonium acetate and formaldehyde afforded imidazolylpyridine III in 22% yield. In β1-transforming growth factors kinase assay, imidazolylpyridine III exhibited an IC50 value of 44.5 nM. Of note, compds. I also possess differential activity, i.e. are selective for β1-TGF over β2-TGF and β3-TGF. Compds. I are claimed useful for the treatment of

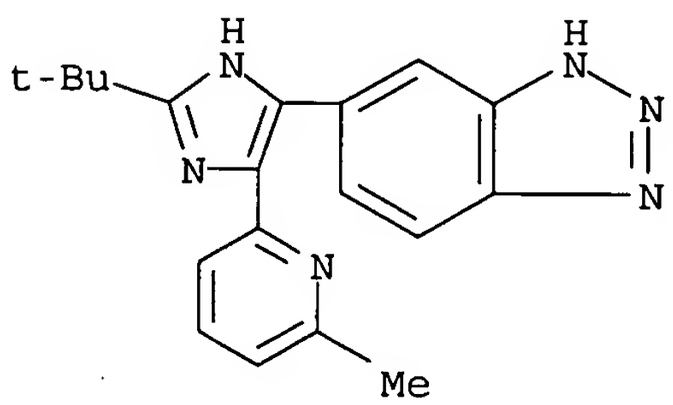
TGF-related disease states including cancer and fibrotic diseases.

IT **676372-03-5P 676372-06-8P 676372-09-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(imidazolyl)pyridines as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases.)

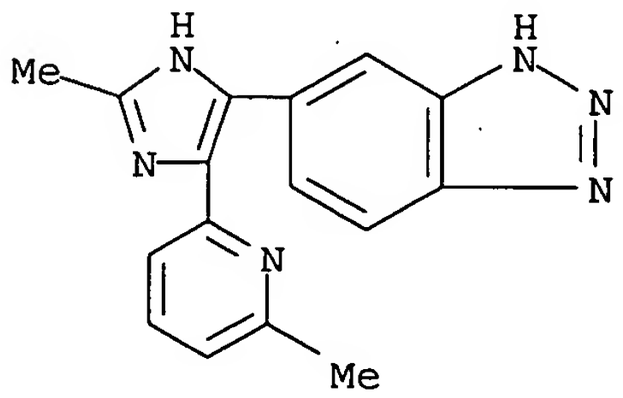
RN 676372-03-5 HCAPLUS
CN 1H-Benzotriazole, 5-[5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676372-06-8 HCAPLUS
CN 1H-Benzotriazole, 5-[2-(1,1-dimethylethyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 676372-09-1 HCAPLUS
CN 1H-Benzotriazole, 5-[2-methyl-5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

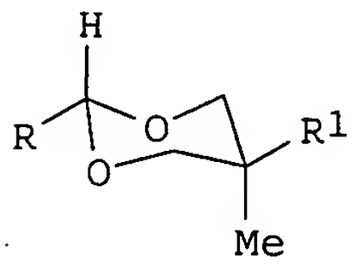
=> d l12 ibib abs hitstr tot

L12 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:539528 HCAPLUS
DOCUMENT NUMBER: 137:93761
TITLE: Preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5 receptor **inhibitors**
INVENTOR(S): Gaster, Laramie Mary
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055077	A1	20020718	WO 2002-EP112	20020107 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-762 A 20010111
GI



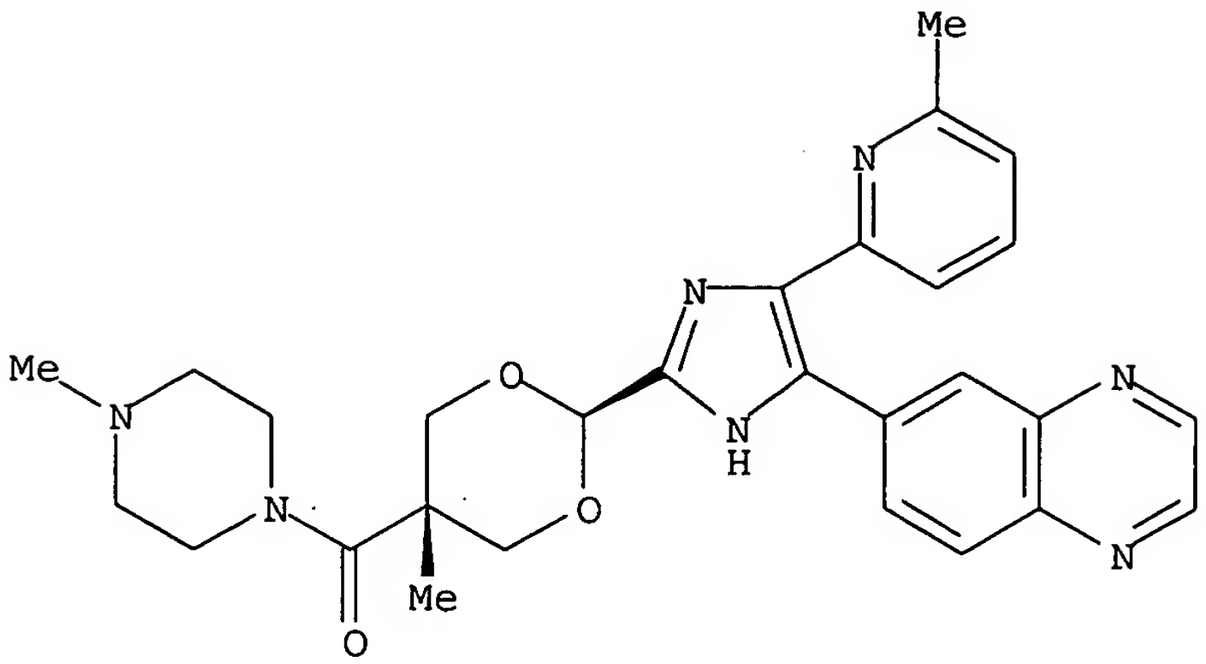
I

AB Title compds. [e.g., I; R = 5-(6-methyl-2-pyridinyl)-4-(6-quinazolinyl)-1H-imidazol-2-yl throughout; R1 = CONR2R3 or NHBz; R2 = H and R3 = 2-pyridinylmethyl or CH2Ph; R2R3 = (CH2CH2)2NMe or (CH2CH2)2O] were prepared Thus, RCH(OMe)2 (preparation given) was cyclocondensed with MeC(CH2OH)2CO2H and the product amidated by N-methylpiperazine to give I (R1 = 4-methyl-1-piperazinylcarbonyl). Data for biol. activity of I were given.

IT **442517-17-1P 442517-19-3P 442517-22-8P**
442517-24-0P 442517-27-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5 receptor **inhibitors**)

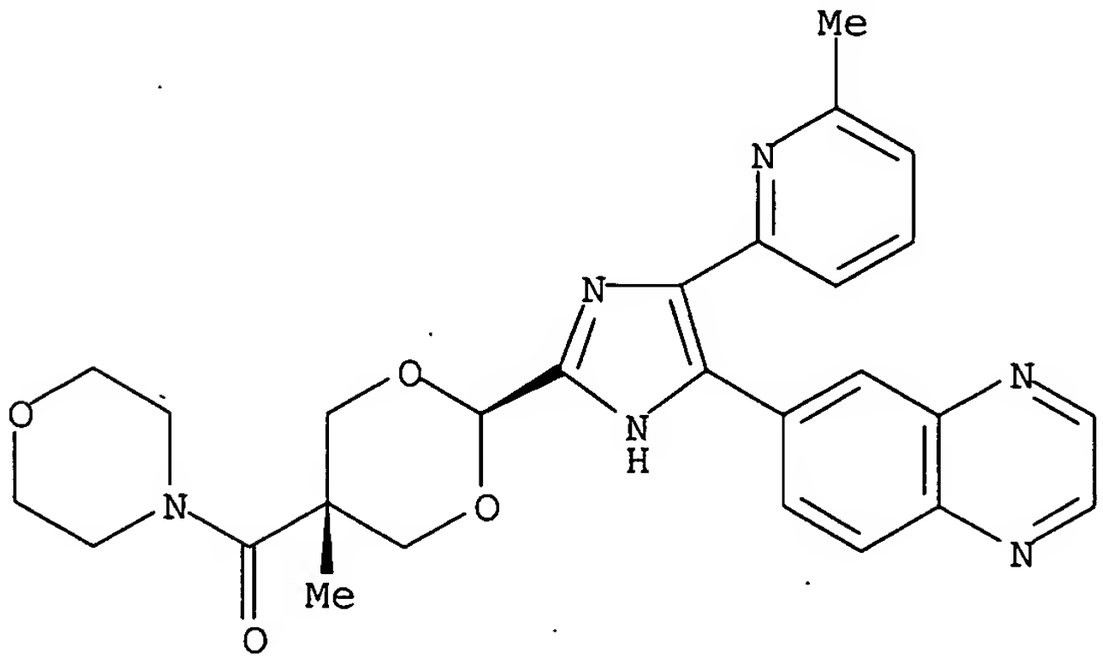
RN 442517-17-1 HCAPLUS
CN Piperazine, 1-methyl-4-[[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 442517-19-3 HCAPLUS
CN Morpholine, 4-[[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

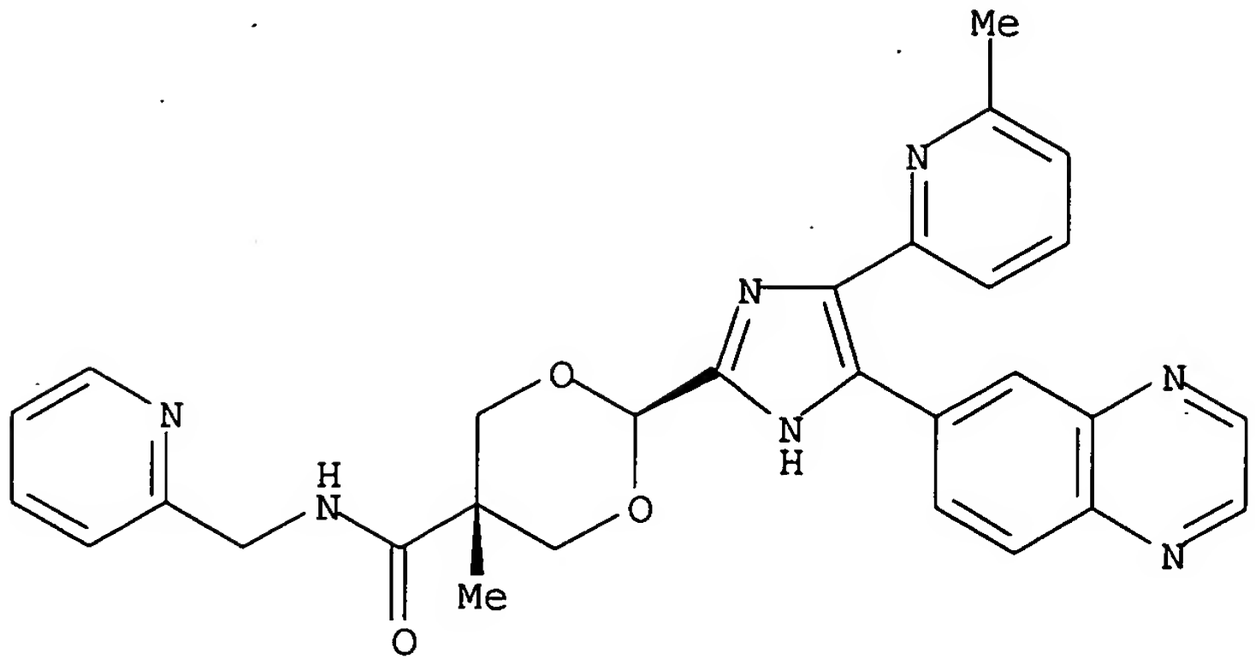


RN 442517-22-8 HCAPLUS
CN 1,3-Dioxane-5-carboxamide, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-N-(2-pyridinylmethyl)-, trans-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

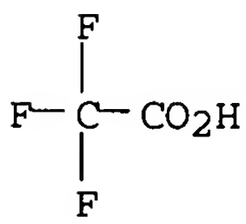
CRN 442517-21-7
CMF C29 H27 N7 O3

Relative stereochemistry.



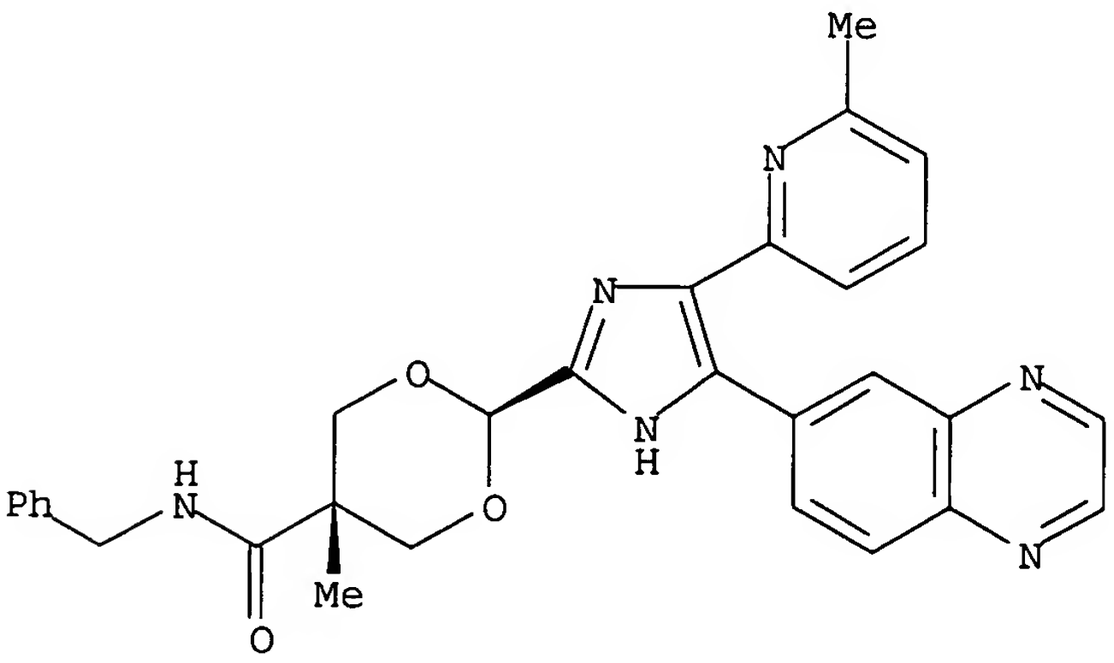
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 442517-24-0 HCAPLUS
CN 1,3-Dioxane-5-carboxamide, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-N-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



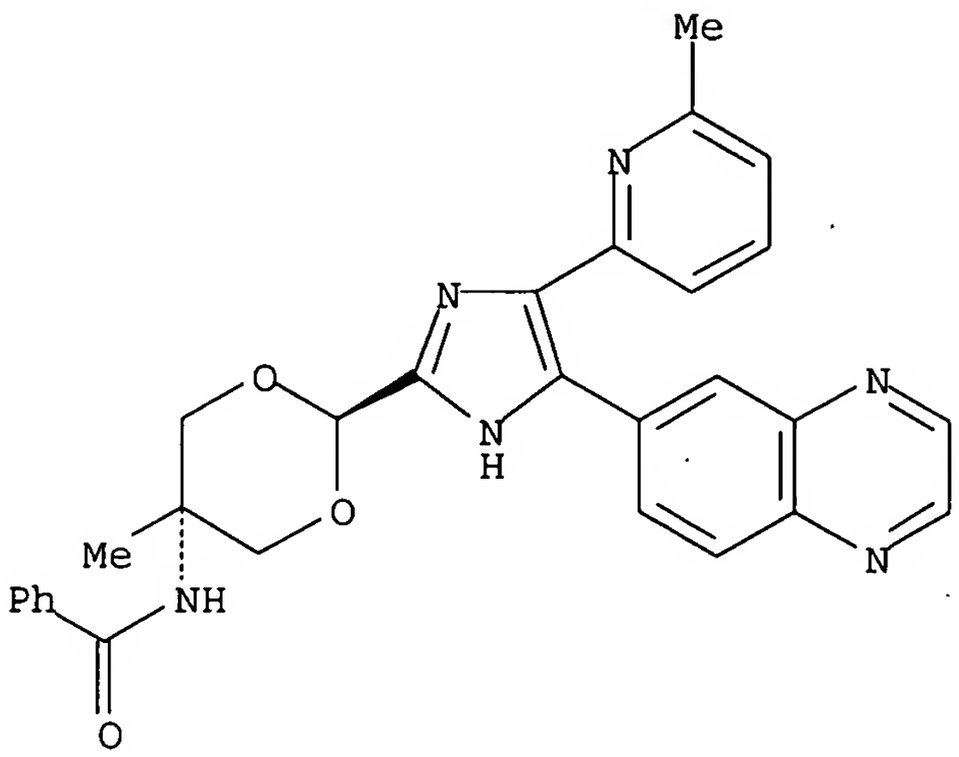
RN 442517-27-3 HCAPLUS
CN Benzamide, N-[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]-, trifluoroacetate (9CI)

(CA INDEX NAME)

CM 1

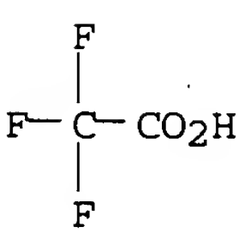
CRN 442517-26-2
CMF C29 H26 N6 O3

Relative stereochemistry.

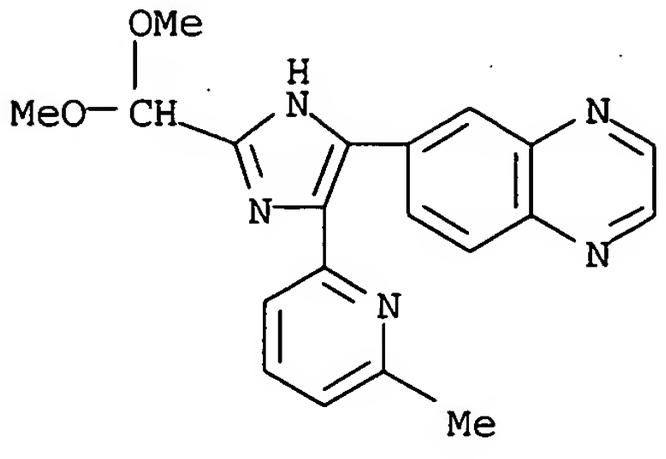


CM 2

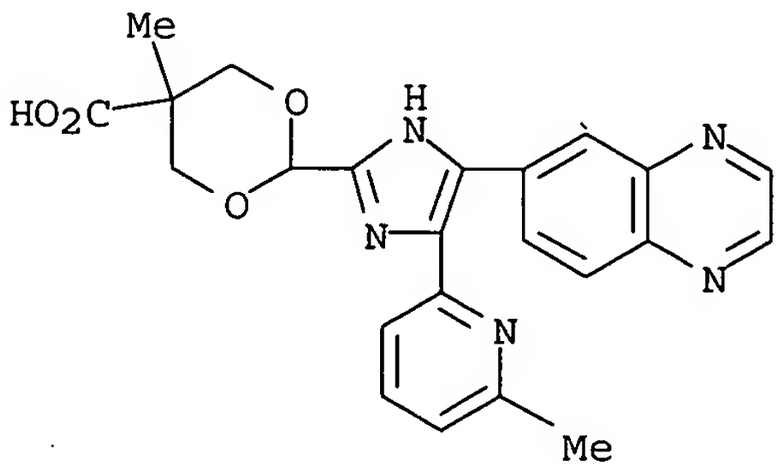
CRN 76-05-1
CMF C2 H F3 O2



IT **442517-38-6P 442517-40-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5 receptor **inhibitors**)
RN 442517-38-6 HCAPLUS
CN Quinoxaline, 6-[2-(dimethoxymethyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 442517-40-0 HCAPLUS
CN 1,3-Dioxane-5-carboxylic acid, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:391702 HCAPLUS
DOCUMENT NUMBER: 136:401755
TITLE: Preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators
INVENTOR(S): Bender, Paul E.; Burgess, Joelle L.; Callahan, James F.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

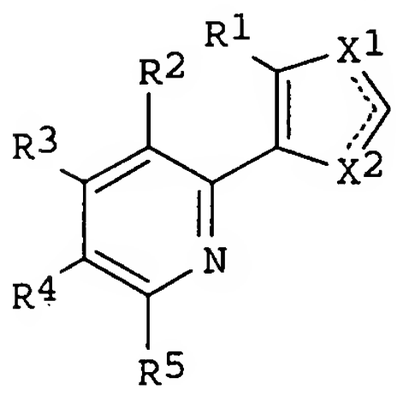
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040468	A1	20020523	WO 2001-US43994	20011114 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

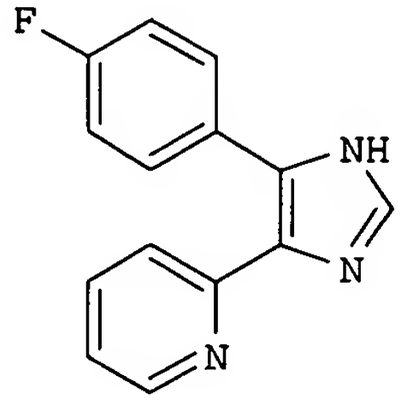
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU	2002025730	A5	20020527	AU 2002-25730	20011114 <--
EP	1349851	A1	20031008	EP 2001-995214	20011114
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP	2004517068	T2	20040610	JP 2002-543479	20011114
US	2004039198	A1	20040226	US 2003-416761	20030514
PRIORITY APPLN. INFO.:				US 2000-249199P P	20001116
				WO 2001-US43994 W	20011114

OTHER SOURCE(S): MARPAT 136:401755

GI



I



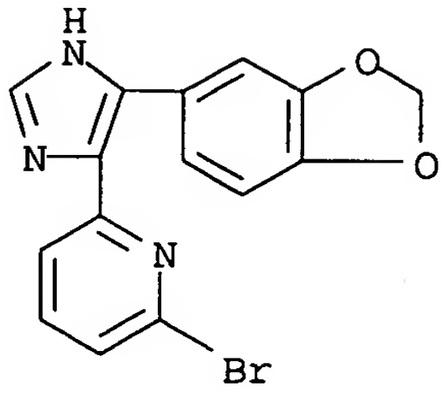
II

AB The title compds. [I; R1 = (un)substituted Ph, naphthyl, Ph fused with a 5-7 membered aromatic or non-aromatic ring wherein said ring contains up to three heteroatoms, independently selected from N, O and S; R2-R5 = H, alkyl, alkoxy, etc.; or an adjacent pair of R2-R5 form (un)substituted fused 6-membered aromatic ring optionally containing up to 2 N atoms, and the remainder of R2-R5 = H, alkyl, alkoxy, etc.; one of X1 and X2 = N and the other = NR6 (wherein R6 = H, alkyl)], useful in treating a disease mediated by the ALK5 receptor in mammals, were prepared Thus, condensation of pyridine-2-carboxaldehyde with 1-[1-isocyano-1-(toluene-4-sulfonyl)methyl]-4-fluorobenzene and ammonia afforded II. The compds. I generally show ALK5 receptor modulator activity having IC50 values of 0.0001-10 μM.

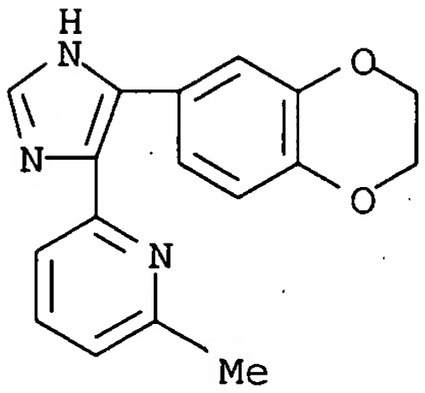
IT **428816-36-8P 428816-37-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)

RN 428816-36-8 HCAPLUS

CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-6-bromo- (9CI) (CA INDEX NAME)

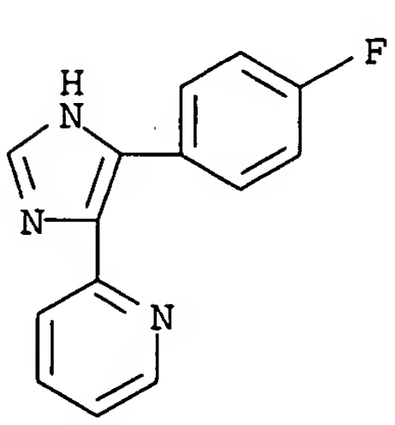


RN 428816-37-9 HCAPLUS
CN Pyridine, 2-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)

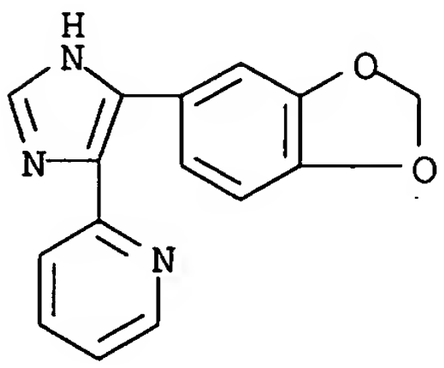


IT **428816-33-5P**, 2-[5-(4-Fluorophenyl)-1H-imidazol-4-yl]pyridine
428816-34-6P 428816-35-7P 428816-40-4P
428816-41-5P 428816-42-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)

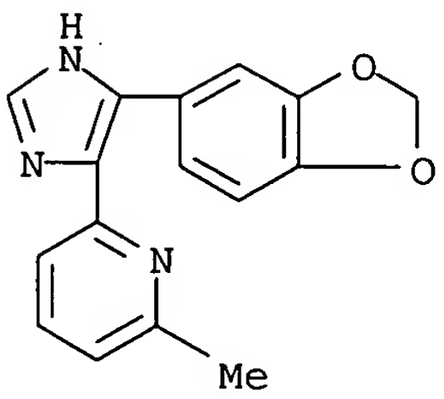
RN 428816-33-5 HCAPLUS
CN Pyridine, 2-[5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



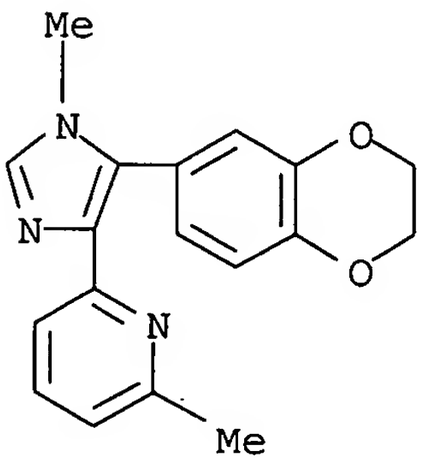
RN 428816-34-6 HCAPLUS
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



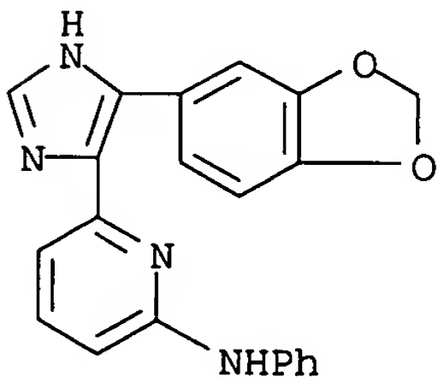
RN 428816-35-7 HCAPLUS
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)



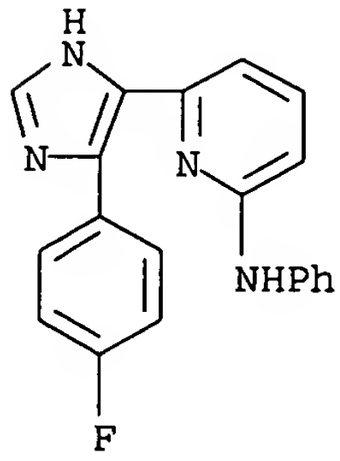
RN 428816-40-4 HCAPLUS
CN Pyridine, 2-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)



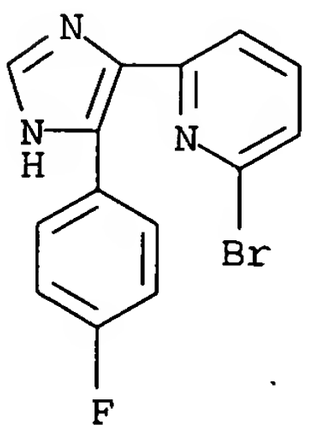
RN 428816-41-5 HCAPLUS
CN 2-Pyridinamine, 6-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 428816-42-6 HCAPLUS
CN 2-Pyridinamine, 6-[5-(4-fluorophenyl)-1H-imidazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)



IT **428816-45-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)
RN 428816-45-9 HCAPLUS
CN Pyridine, 2-bromo-6-[5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



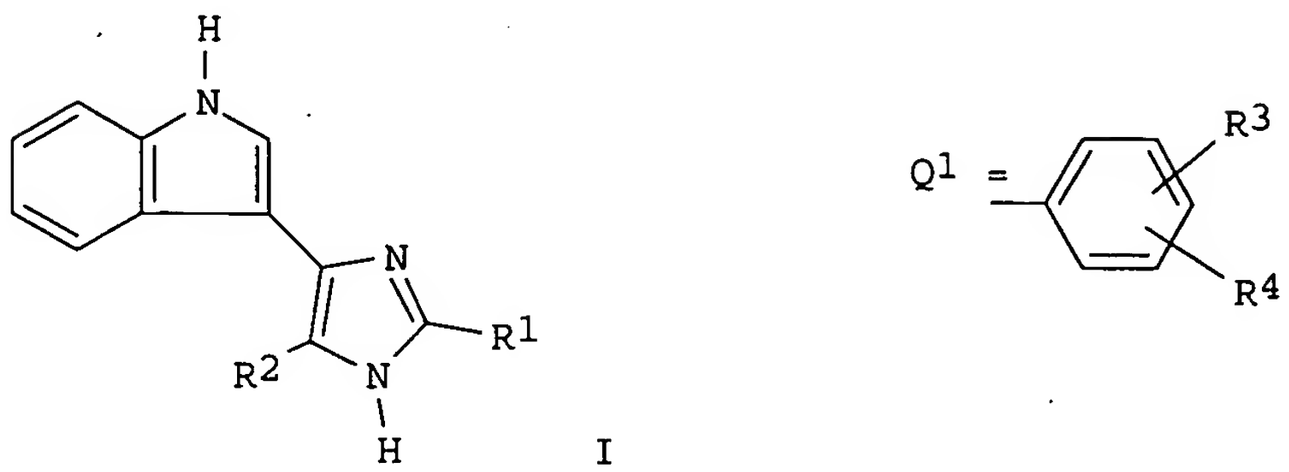
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:286702 HCAPLUS
DOCUMENT NUMBER: 136:325539
TITLE: Preparation of 4-(3-indolyl)imidazole derivatives as interleukin 6 production **inhibitors**
INVENTOR(S): Ota, Tomoki; Kondo, Kazuyuki; Chonan, Tomomichi; Kobori, Takeo; Aida, Kenichi; Sano, Yoko; Tsuji, Tomoko; Sugimoto, Kikuo
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Sagami Chemical Research Center
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 2002114780	A2	20020416	JP 2000-310684	20001011 <--
PRIORITY APPLN. INFO.:			JP 2000-310684	20001011
OTHER SOURCE(S):	MARPAT 136:325539			

GI



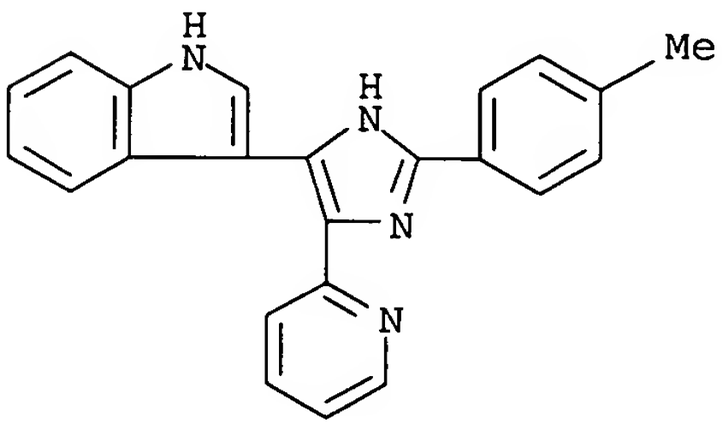
I

AB The title compds. I [R1 = Q1, etc.; R2 = pyridyl, etc.; R3, R4 = H, halo, etc.] are prepared In an in vitro test using cells treated with interleukin 1-α, I [R1 = 4-methylphenyl; R2 = 4-(trifluoromethyl)phenyl] at 12.5 μM gave 41% inhibition of interleukin 6 production

IT **412351-63-4P**, SKA 0971 **412351-64-5P**, SKA 0972
412351-70-3P, SKA 0973
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylimidazole derivs. as interleukin 6 production **inhibitors**)

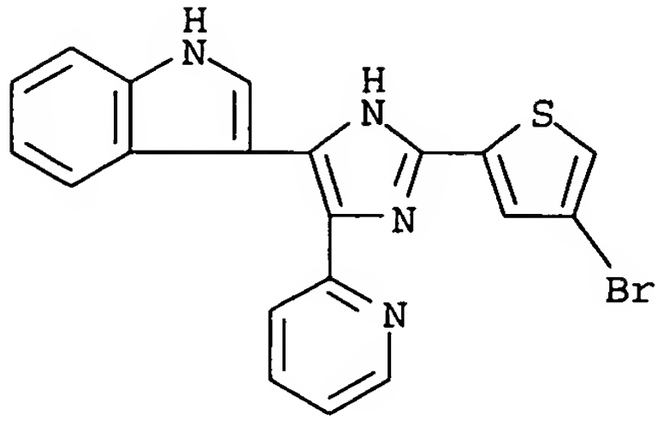
RN 412351-63-4 HCAPLUS

CN 1H-Indole, 3-[2-(4-methylphenyl)-5-(2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

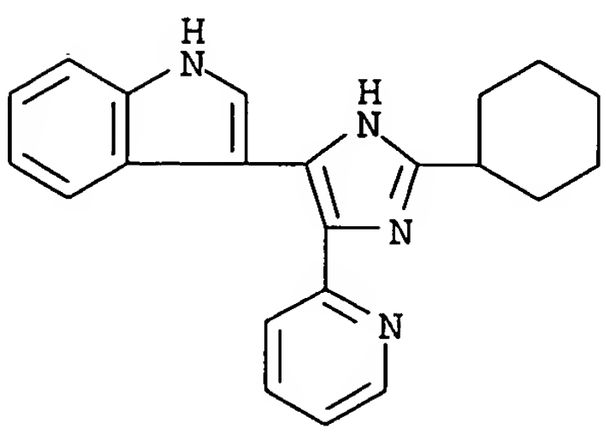


RN 412351-64-5 HCAPLUS

CN 1H-Indole, 3-[2-(4-bromo-2-thienyl)-5-(2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 412351-70-3 HCAPLUS
CN 1H-Indole, 3-[2-cyclohexyl-5-(2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



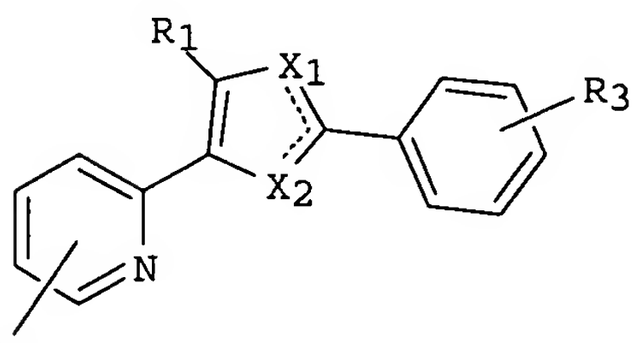
L12 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:730730 HCAPLUS
DOCUMENT NUMBER: 135:272959
TITLE: Preparation of triarylimidazole derivatives as cytokine **inhibitors**
INVENTOR(S): Harling, John David; Gaster, Laramie Mary
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072737	A1	20011004	WO 2001-GB1314	20010326 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1268465	A1	20030102	EP 2001-915488	20010326
EP 1268465	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

JP 2003528870	T2	20030930	JP 2001-570648		20010326
AT 296821	E	20050615	AT 2001-915488		20010326
US 2003149277	A1	20030807	US 2003-239815		20030121
US 6906089	B2	20050614			
PRIORITY APPLN. INFO.:			GB 2000-7405	A	20000327
			WO 2001-GB1314	W	20010326

OTHER SOURCE(S): MARPAT 135:272959

GI



R2 I

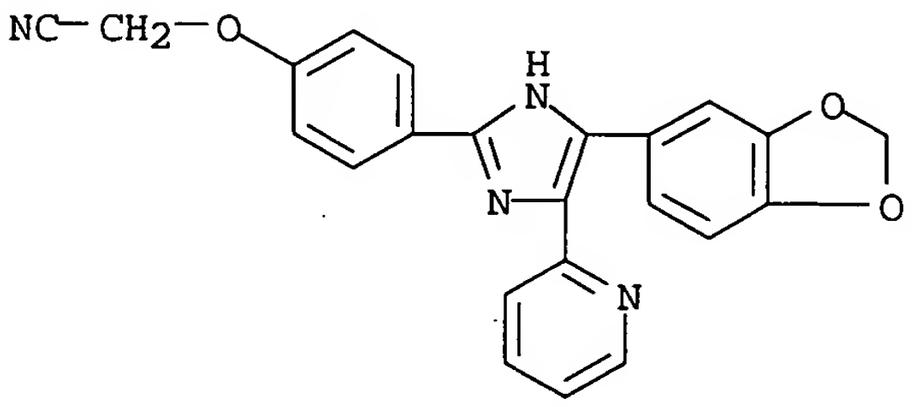
AB A process for preparing compds. of formula I or a pharmaceutically acceptable salt thereof, wherein R1 = naphthyl or Ph optionally substituted with one or more substituents selected from the group consisting of halo, -O-C1-6alkyl, -S-C1-6alkyl, C1-6alkyl, C1-6haloalkyl, -O-(CH2)n-Ph, -S-(CH2)n-Ph, CN, Ph, and CO2R, wherein R is H or C1-6alkyl, and n is 0, 1, 2 or 3; or R1 is Ph fused with an aromatic or nonarom. cyclic ring of 5-7 members wherein said cyclic ring optionally contains up to three heteroatoms, independently selected from N, O and S; R2 = H, C1-6alkyl, C1-6alkoxy, Ph, NH(CH2)n-Ph, NH-C1-6alkyl, halo, or alkoxy; R3 is COOH, tetrazole, CN, NO2, OH, -S-C1-6alkyl, -SO-C1-6alkyl, -O-C1-6alkyl, SONH2, CHO, CH2OH, (CH2)nNH2, CONHOR', O(CH2)nCO2R', O(CH2)nCONHR', CONHR', (CH2)nCO2R', or (CH2)nCONHR' wherein R' is H or C1-6alkyl, and n is 0, 1, 2 or 3; and one of X1 and X2 is N or CR'', and the other is NR" or CHR" wherein R" is H, C1-6alkyl, or C3-7cycloalkyl; or when one of X1 and X2 is N or CR" then the other may be S or O;. Provided that the compound is not one in which R1 is naphthyl or Ph optionally substituted with one or more substituents selected from the group consisting of halo, -O-C1-6alkyl, -S-C1-6alkyl, C1-6alkyl, -O-(CH2)n-Ph, -S-(CH2)n-Ph, CN, Ph, and CO2R, wherein R = H or C1-6alkyl and n is 0, 1, 2 or 3; or R1 is Ph fused with an aromatic or nonarom. cyclic ring of 5-7 members wherein said cyclic ring optionally contains up to two heteroatoms, independently selected from N, O and S; and R2 is H, NH(CH2)n-Ph or NH-C1-6alkyl; and R3 is CO2H, CONH2, CN, NO2, C1-6alkylthio, SO2-C1-6alkyl, C1-6alkoxy, SONH2, CONHOH, NH2, CHO, CH2OH, CH2NH2, or CO2R, wherein R = H or C1-6alkyl. Thus, 4-(4-benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)benzoyl chloride hydrochloride was suspended in THF and treated with a solution of NHMe in H2O to give 60% 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-N-methylbenzamide. The prepared compds. are useful in the treatment and prevention of chronic renal disease, acute renal disease, wound healing, arthritis, osteoporosis, kidney disease, congestive heart failure, ulcers, ocular disorders, corneal wounds, diabetic nephropathy, impaired neurol. function, Alzheimer's disease, trophic conditions, atherosclerosis, peritoneal and sub-dermal adhesion, any disease wherein fibrosis is a major component, and restenosis, as **inhibitors** of the transforming growth factor, ("TGF")-p3 signaling pathway. The compds.

of this invention generally show ALK5 receptor modulator activity having IC50 values in the range of 0.0001 to 10μM.

IT **364050-01-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of triarylimidazole derivs. as cytokine **inhibitors**)

RN 364050-01-1 HCAPLUS

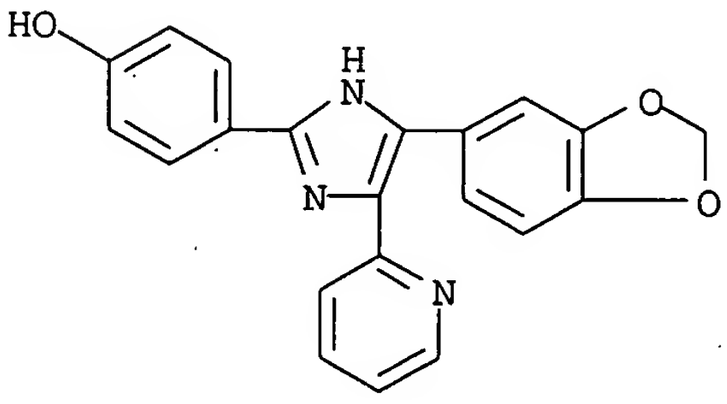
CN Acetonitrile, [4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]phenoxy]- (9CI) (CA INDEX NAME)



IT **364049-94-5P 364050-02-2P 364050-08-8P**
364050-11-3P 364050-14-6P 364050-17-9P
364050-20-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of triarylimidazole derivs. as cytokine **inhibitors**)

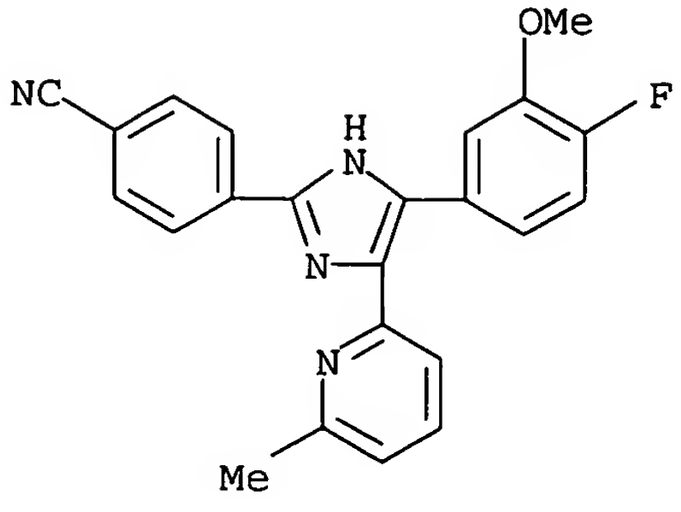
RN 364049-94-5 HCAPLUS

CN Phenol, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

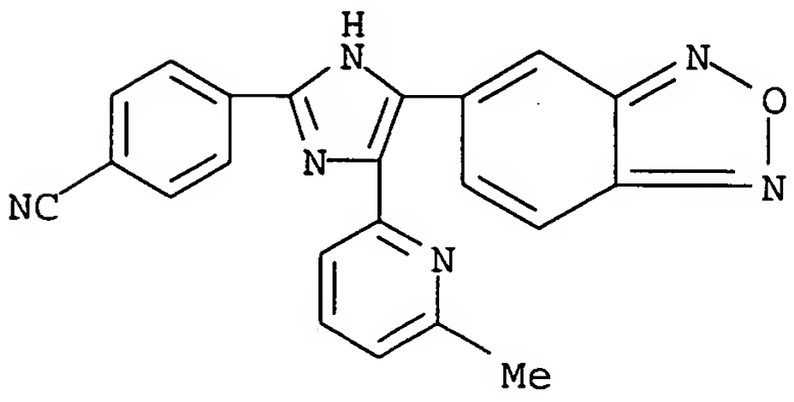


RN 364050-02-2 HCAPLUS

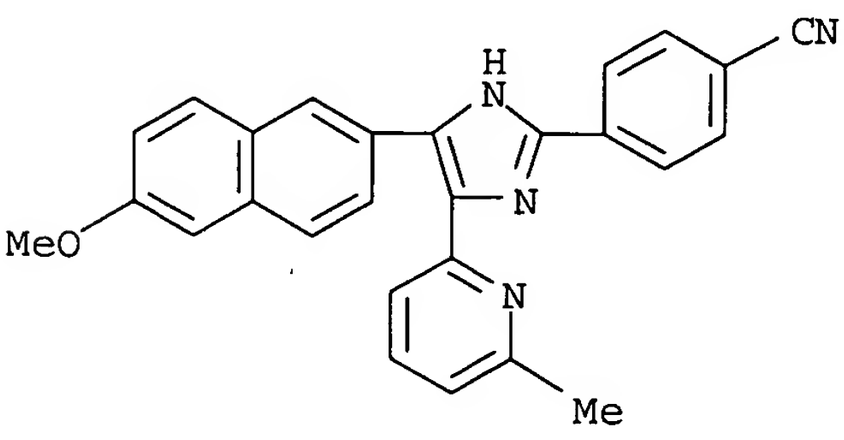
CN Benzonitrile, 4-[4-(4-fluoro-3-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



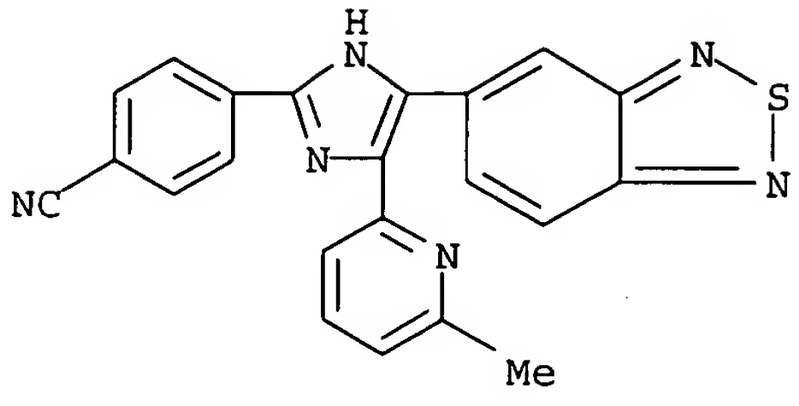
RN 364050-08-8 HCAPLUS
CN Benzonitrile, 4-[4-(2,1,3-benzoxadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



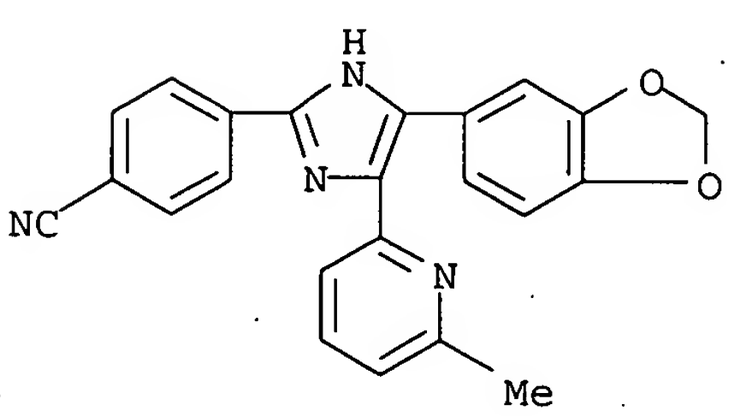
RN 364050-11-3 HCAPLUS
CN Benzonitrile, 4-[4-(6-methoxy-2-naphthalenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



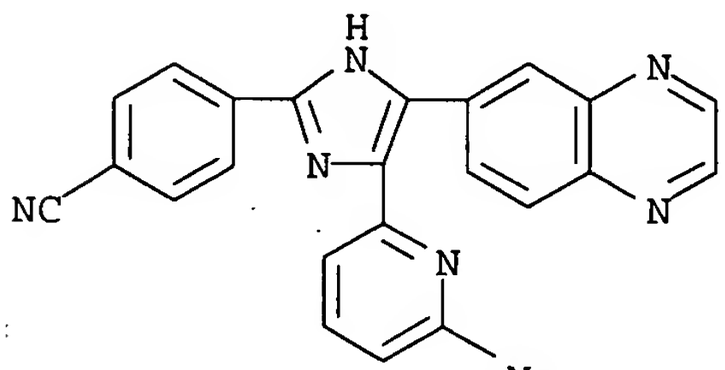
RN 364050-14-6 HCAPLUS
CN Benzonitrile, 4-[4-(2,1,3-benzothiadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



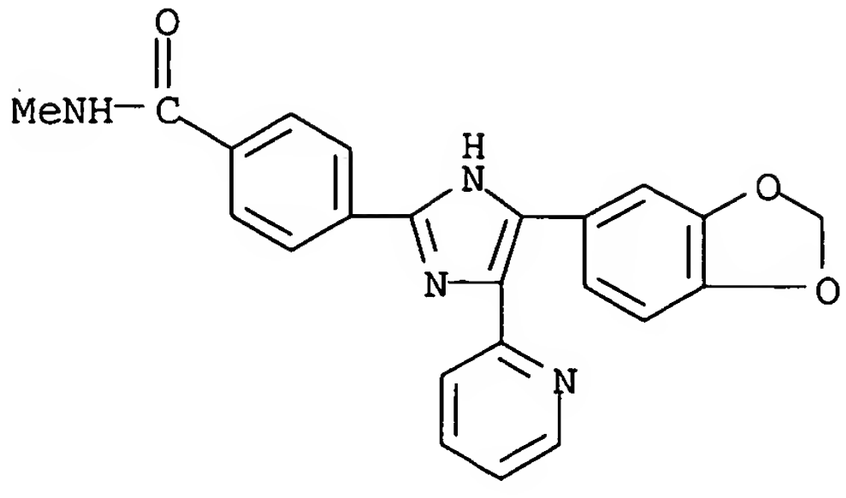
RN 364050-17-9 HCAPLUS
CN Benzonitrile, 4-[4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



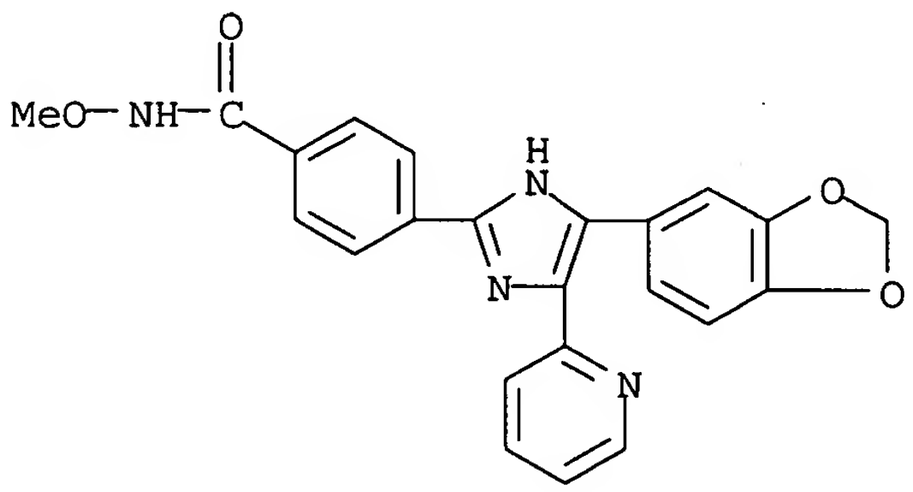
RN 364050-20-4 HCAPLUS
CN Benzonitrile, 4-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



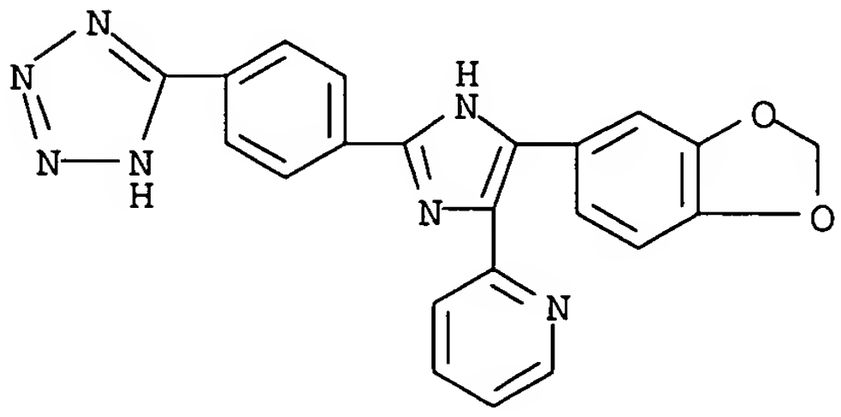
IT **364049-96-7P 364049-97-8P 364049-98-9P**
364050-00-0P 364050-04-4P 364050-05-5P
364050-07-7P 364050-10-2P 364050-13-5P
364050-16-8P 364050-19-1P 364050-22-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triarylimidazole derivs. as cytokine **inhibitors**)
RN 364049-96-7 HCAPLUS
CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-N-methyl- (9CI) (CA INDEX NAME)



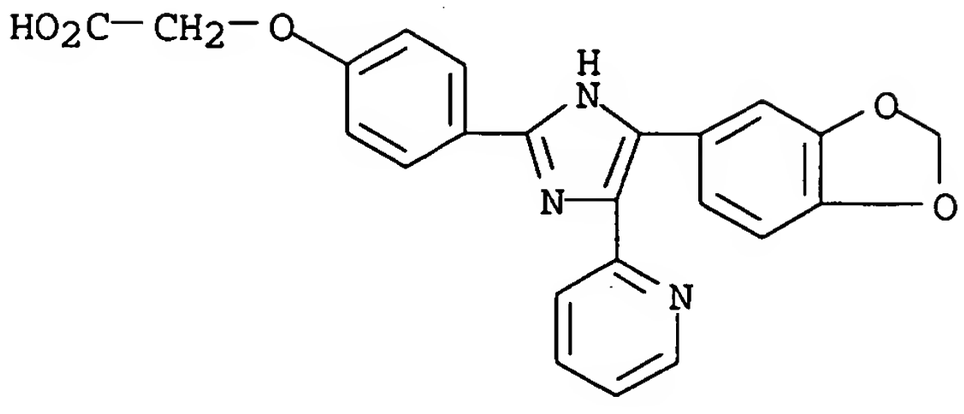
RN 364049-97-8 HCAPLUS
CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-N-methoxy- (9CI) (CA INDEX NAME)



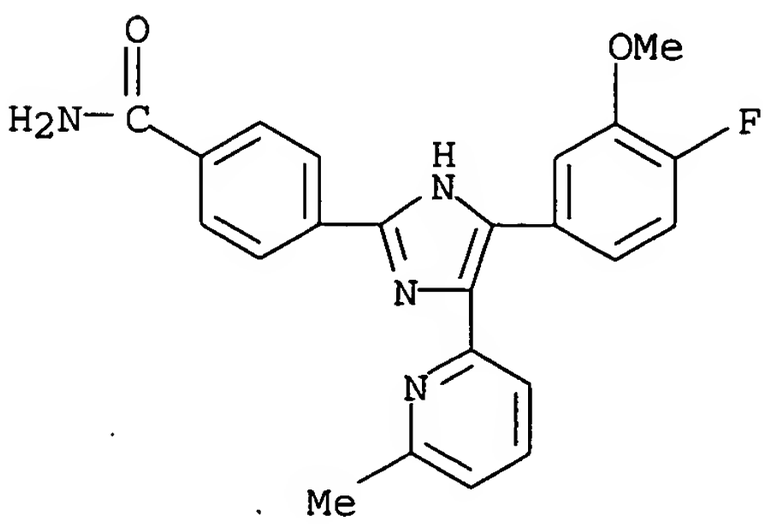
RN 364049-98-9 HCAPLUS
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-2-[4-(1H-tetrazol-5-yl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



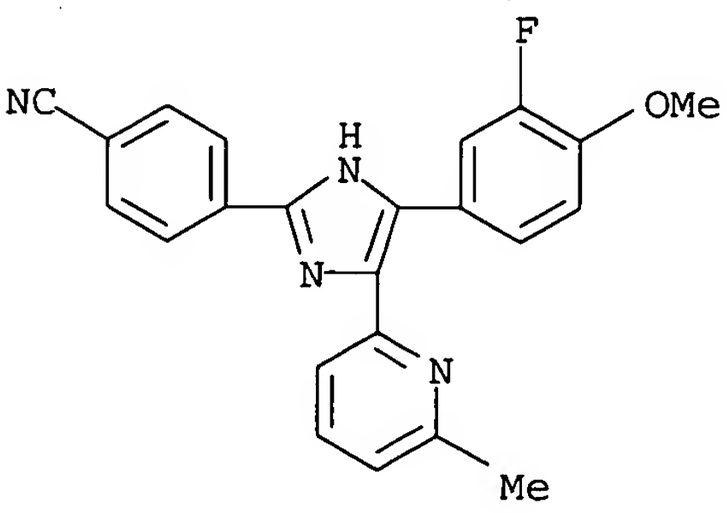
RN 364050-00-0 HCAPLUS
CN Acetic acid, [4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]phenoxy]- (9CI) (CA INDEX NAME)



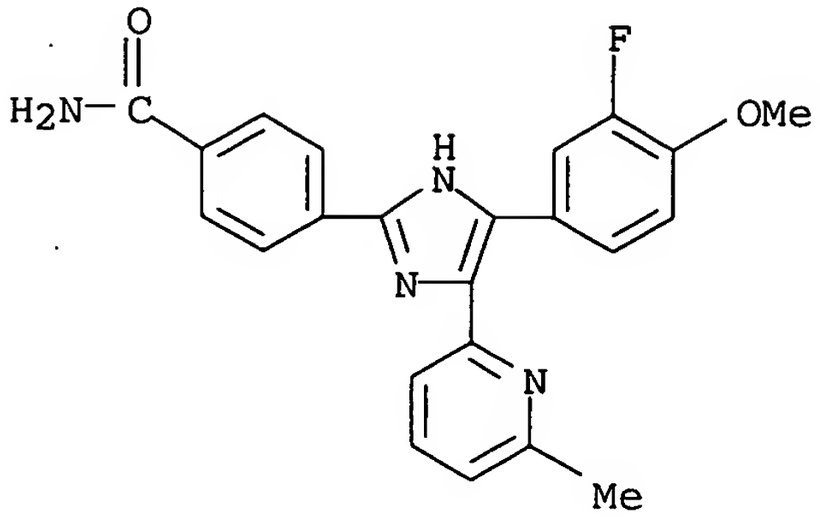
RN 364050-04-4 HCAPLUS
CN Benzamide, 4-[4-(4-fluoro-3-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



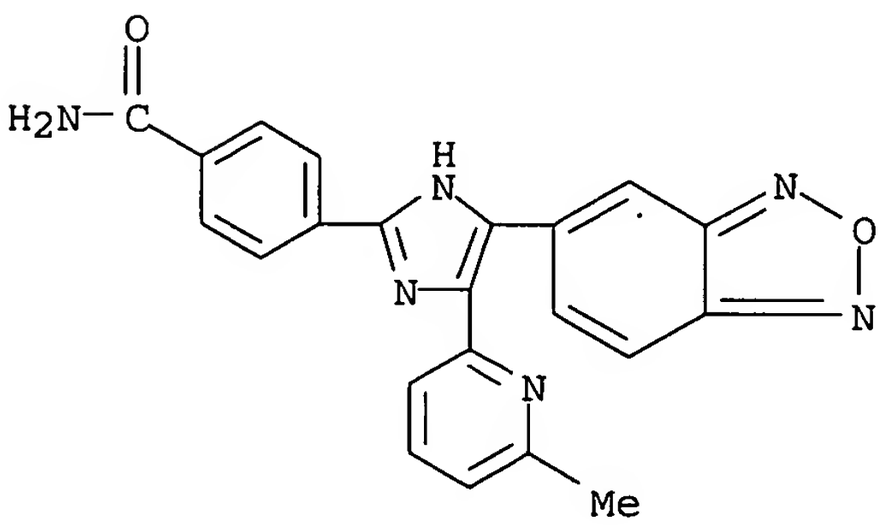
RN 364050-05-5 HCAPLUS
CN Benzonitrile, 4-[4-(3-fluoro-4-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



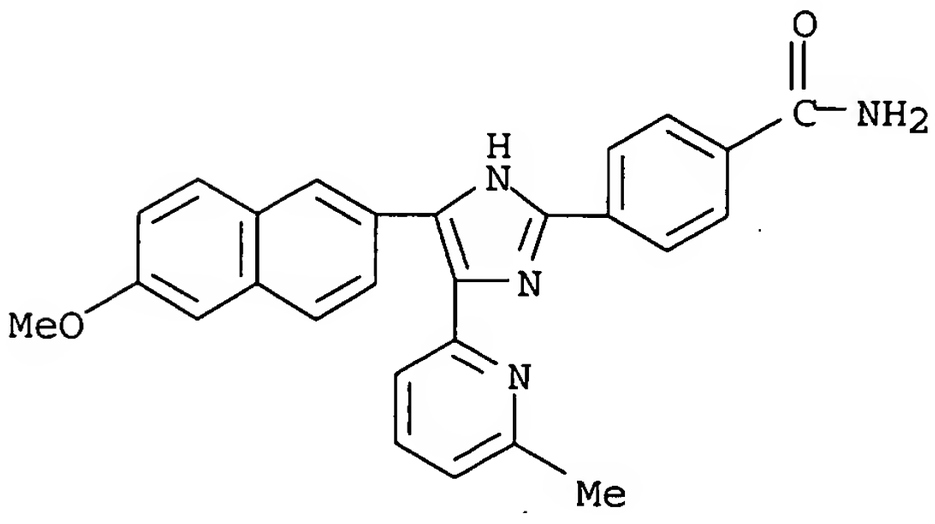
RN 364050-07-7 HCAPLUS
CN Benzamide, 4-[4-(3-fluoro-4-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



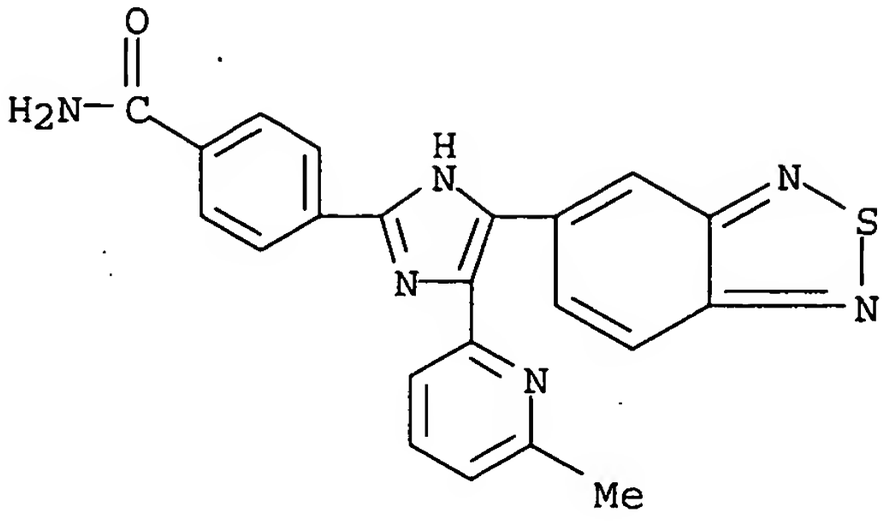
RN 364050-10-2 HCAPLUS
CN Benzamide, 4-[4-(2,1,3-benzoxadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



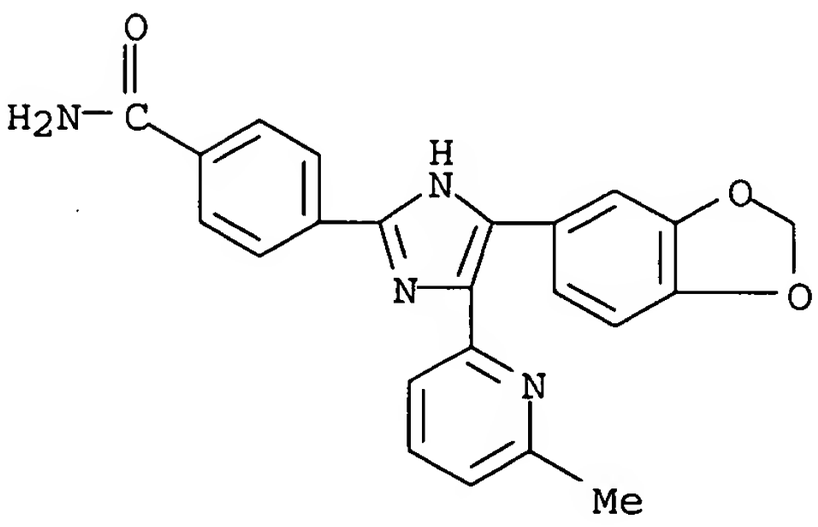
RN 364050-13-5 HCAPLUS
CN Benzamide, 4-[4-(6-methoxy-2-naphthalenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



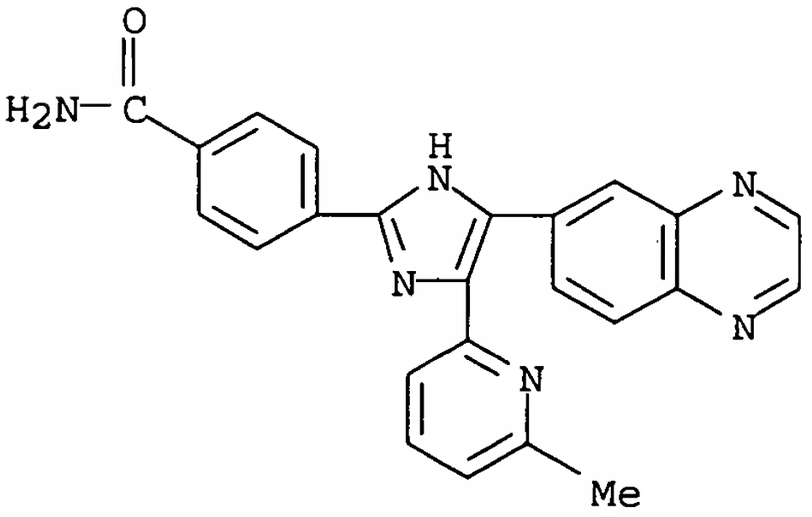
RN 364050-16-8 HCAPLUS
CN Benzamide, 4-[4-(2,1,3-benzothiadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



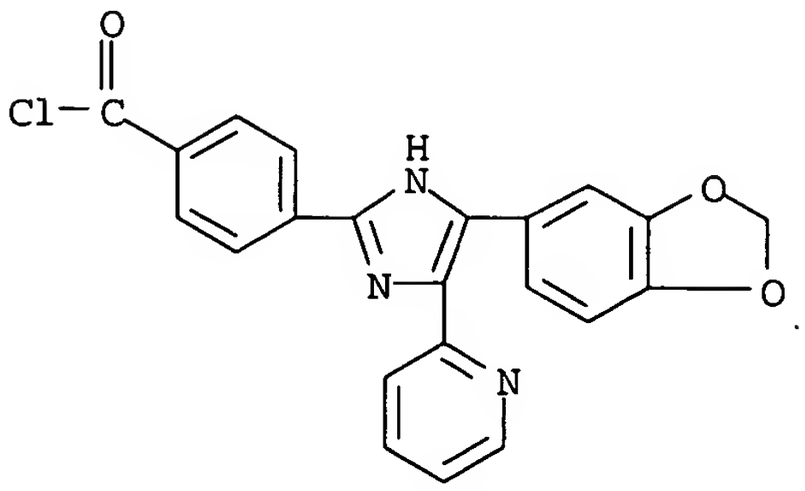
RN 364050-19-1 HCAPLUS
CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 364050-22-6 HCAPLUS
CN Benzamide, 4-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

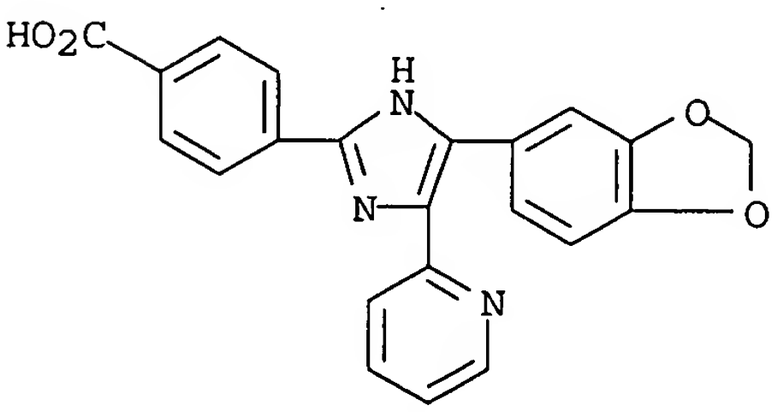


IT **301836-56-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triarylimidazole derivs. as cytokine **inhibitors**)
RN 301836-56-6 HCAPLUS
CN Benzoyl chloride, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **301836-35-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of triarylimidazole derivs. as cytokine **inhibitors**)
RN 301836-35-1 HCAPLUS
CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:742089 HCAPLUS
DOCUMENT NUMBER: 133:309891
TITLE: Preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators
INVENTOR(S): Burgess, Joelle Lorraine; Callahan, James Francis
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

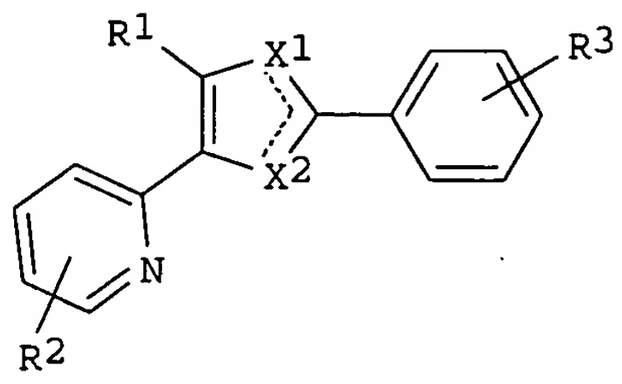
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061576	A1	20001019	WO 2000-US9147	20000406 <--
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK,				

MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ,
VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

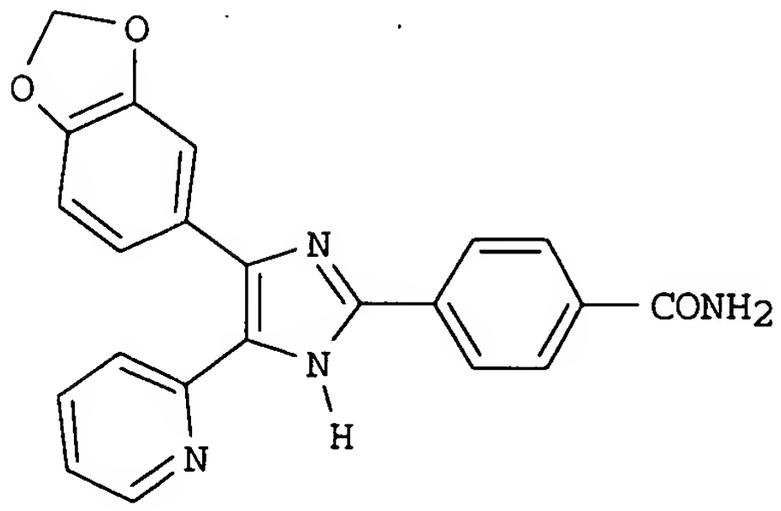
EP 1169317	A1	20020109	EP 2000-930101		20000406	<--
EP 1169317	B1	20030115				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO						
JP 2002541253	T2	20021203	JP 2000-610849		20000406	<--
AT 231143	E	20030215	AT 2000-930101		20000406	
ES 2187473	T3	20030616	ES 2000-930101		20000406	
US 6465493	B1	20021015	US 2001-958639		20011009	<--
PRIORITY APPLN. INFO.:			US 1999-128687P	P	19990409	
			WO 2000-US9147	W	20000406	

OTHER SOURCE(S): MARPAT 133:309891

GI



I



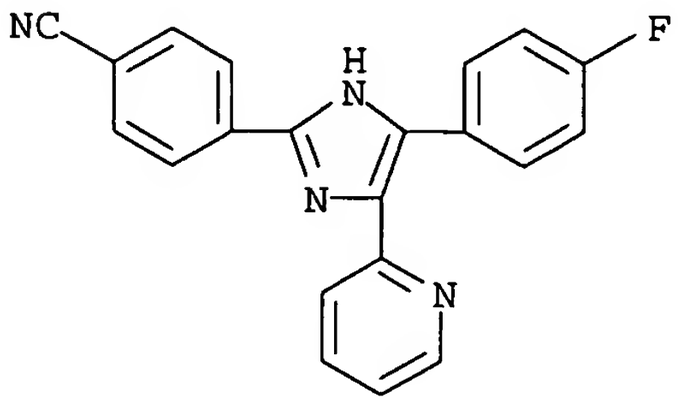
II

AB The title compds. [I; R1 = (un)substituted naphthyl, anthracenyl, Ph; R2 = H, NH(CH2)nPh, NHalkyl (wherein n = 0-3); R3 = CO2H, CONH2, CN, etc.; one of X1 and X2 = N, CR', and the other is NR', CHR' (R' = H, OH, alkyl, cycloalkyl); or when one of X1 and X2 = N, CR' then the other may be S, O], useful as **inhibitors** of the transforming growth factor (TGF)-β signaling pathway, were prepared E.g., a 2-step synthesis of imidazole II was given. In general, the compds. I showed IC50 of 0.0001-10 μM against ALK-5 receptor binding.

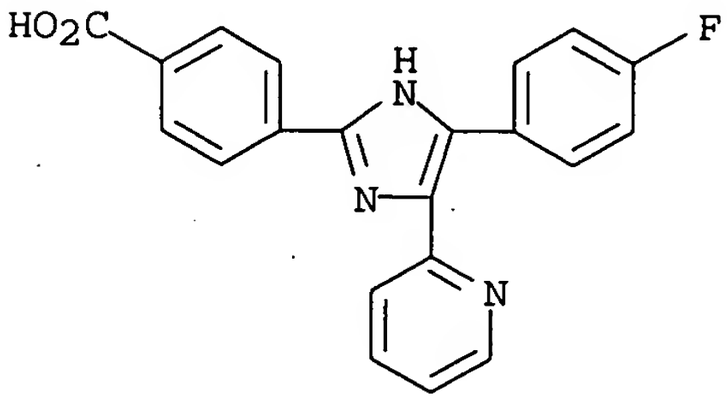
IT **301836-29-3P 301836-30-6P 301836-34-0P**
301836-35-1P 301836-36-2P 301836-38-4P
301836-42-0P 301836-45-3P 301836-46-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

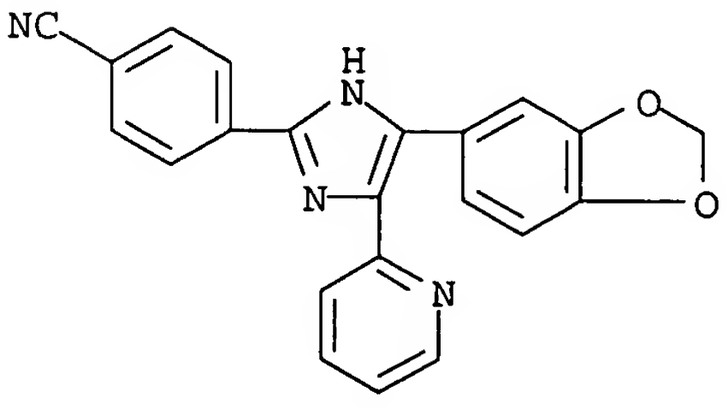
RN 301836-29-3 HCAPLUS
CN Benzonitrile, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



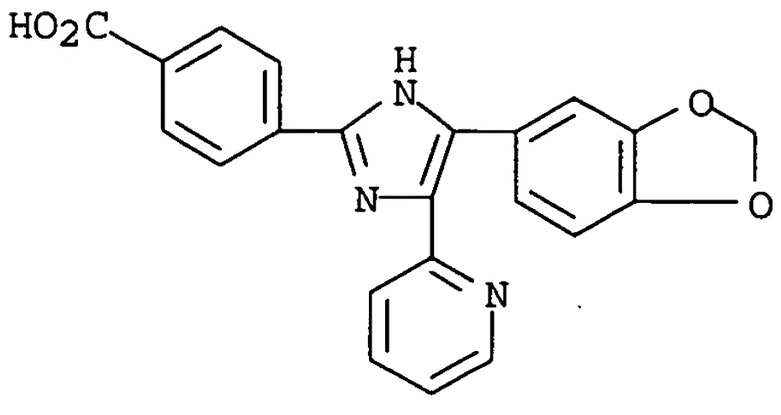
RN 301836-30-6 HCAPLUS
CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



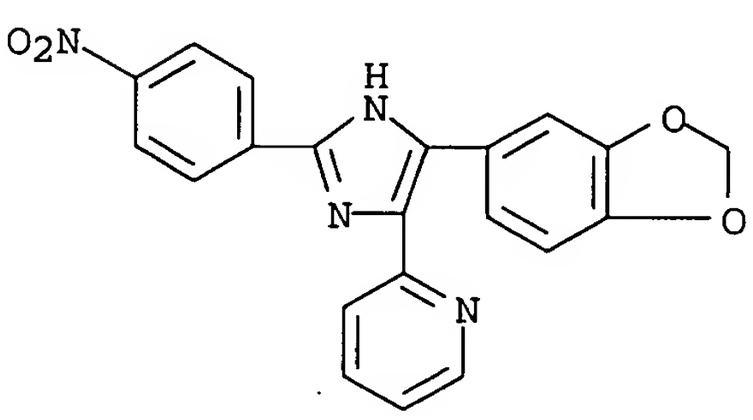
RN 301836-34-0 HCAPLUS
CN Benzonitrile, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



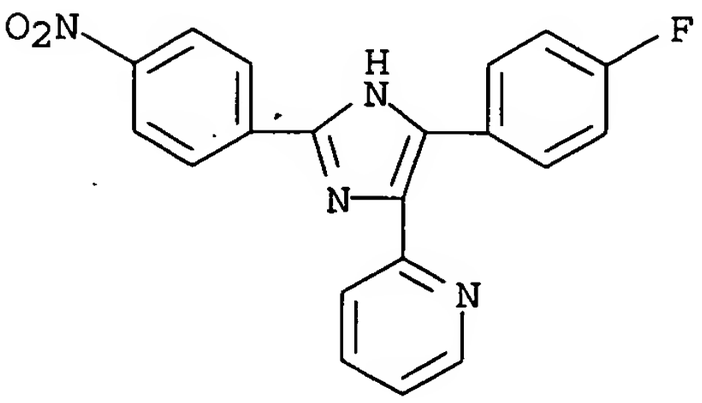
RN 301836-35-1 HCAPLUS
CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



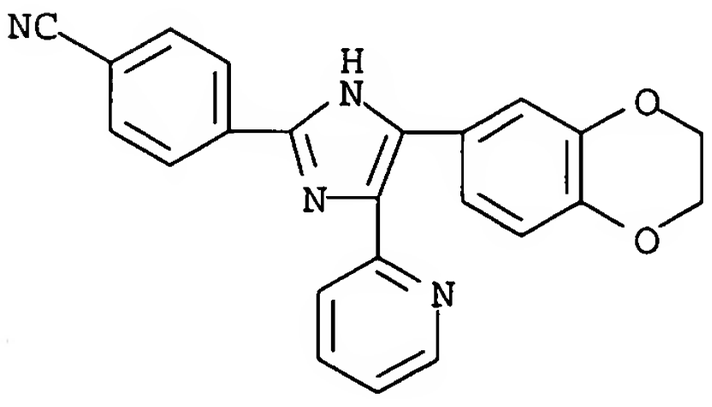
RN 301836-36-2 HCAPLUS
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-2-(4-nitrophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



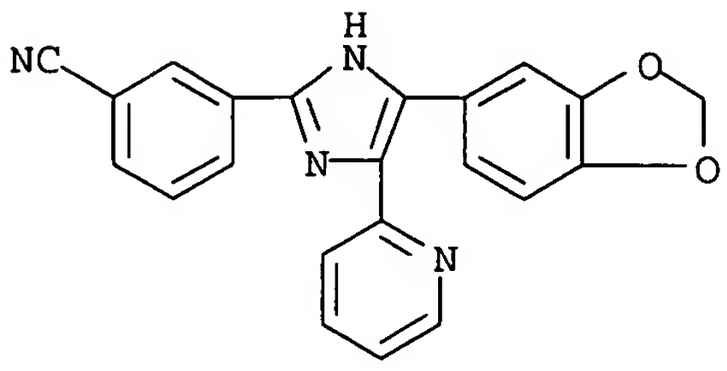
RN 301836-38-4 HCAPLUS
CN Pyridine, 2-[5-(4-fluorophenyl)-2-(4-nitrophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



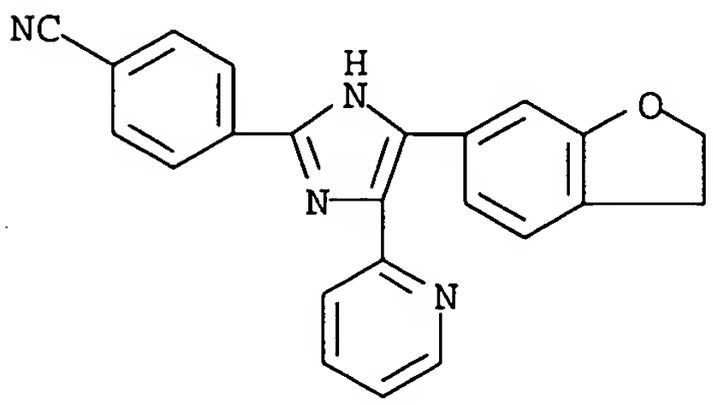
RN 301836-42-0 HCAPLUS
CN Benzonitrile, 4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



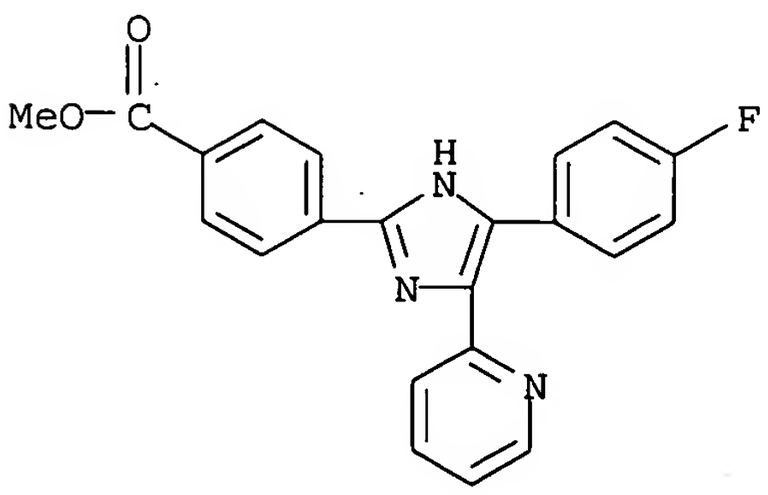
RN 301836-45-3 HCAPLUS
CN Benzonitrile, 3-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



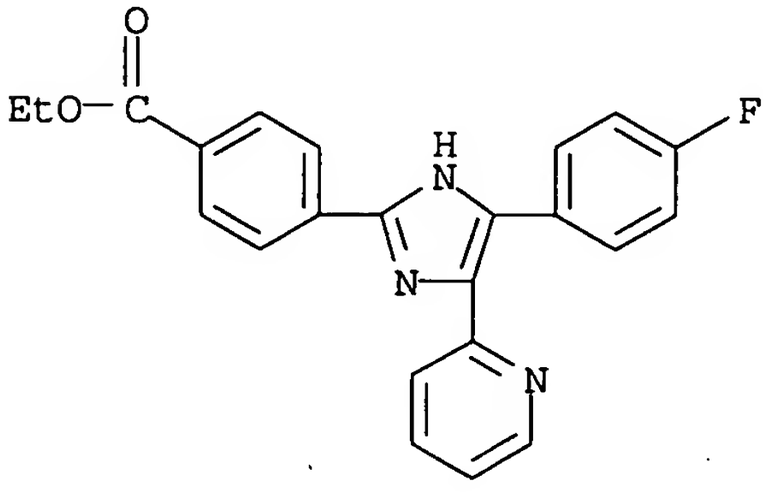
RN 301836-46-4 HCAPLUS
CN Benzonitrile, 4-[4-(2,3-dihydro-6-benzofuranyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



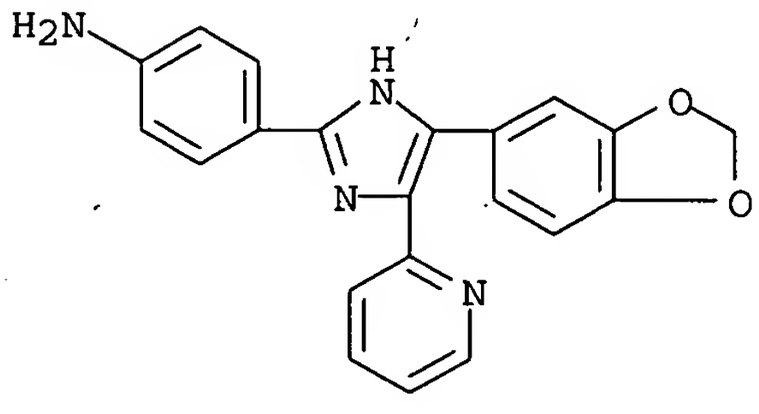
IT **301836-31-7P 301836-32-8P 301836-37-3P**
301836-39-5P 301836-40-8P 301836-41-9P
301836-43-1P 301836-44-2P 301836-47-5P
301836-48-6P 301836-49-7P 301836-51-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)
RN 301836-31-7 HCAPLUS
CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



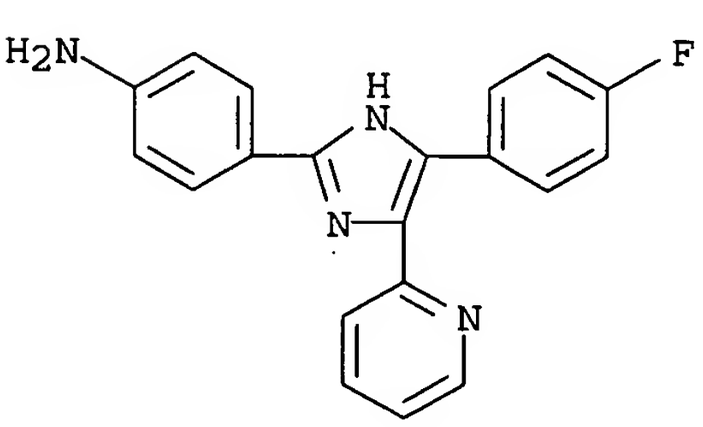
RN 301836-32-8 HCAPLUS
CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



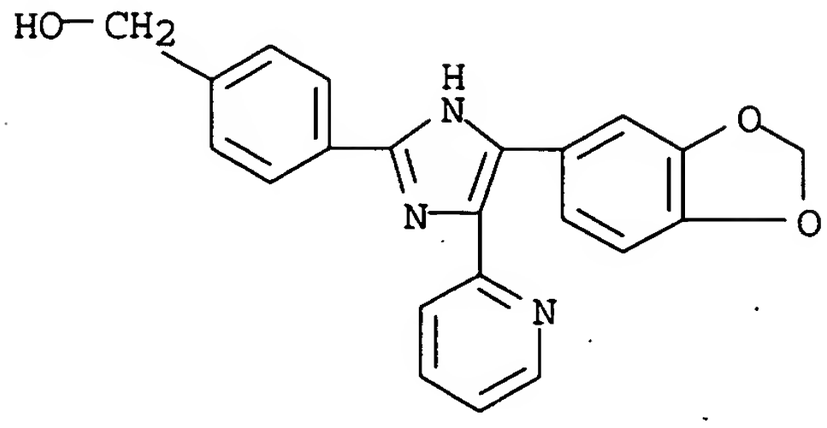
RN 301836-37-3 HCAPLUS
CN Benzenamine, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



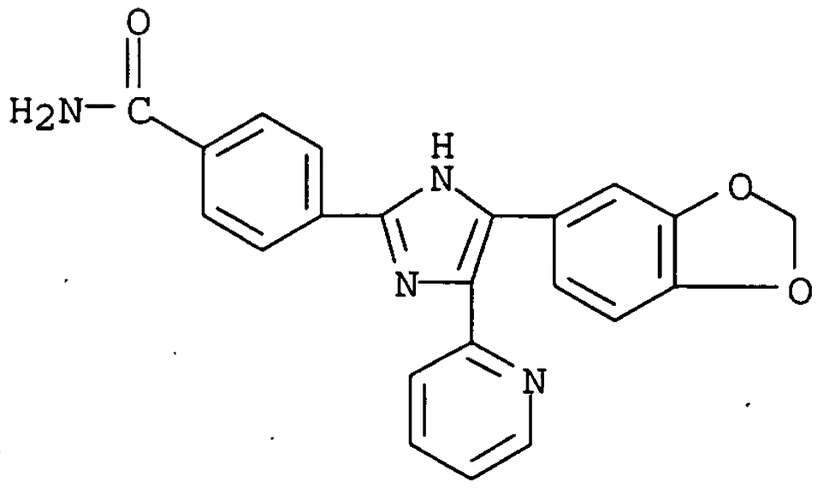
RN 301836-39-5 HCAPLUS
CN Benzenamine, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



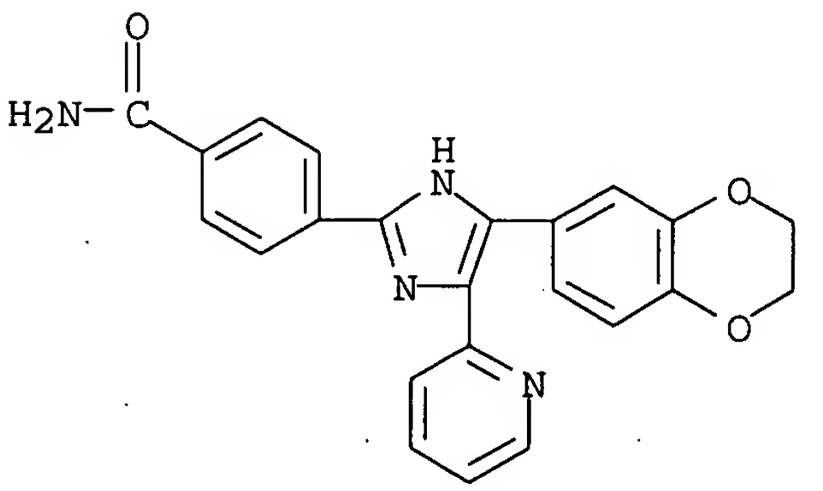
RN 301836-40-8 HCAPLUS
CN Benzenemethanol, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



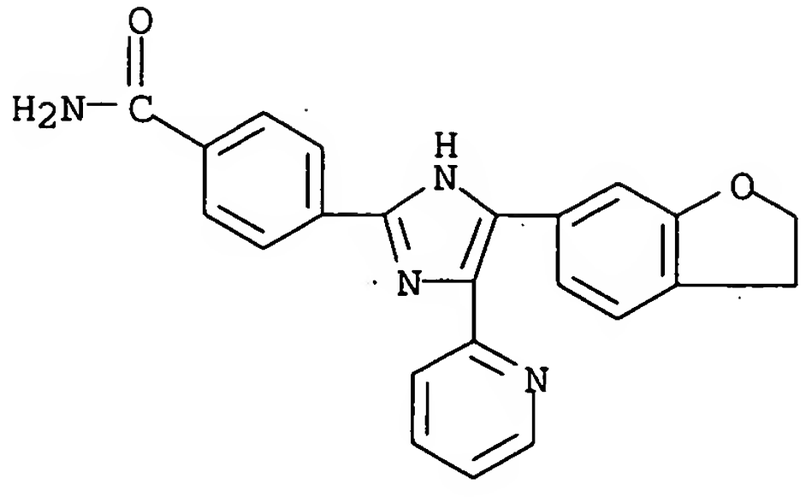
RN 301836-41-9 HCAPLUS
CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



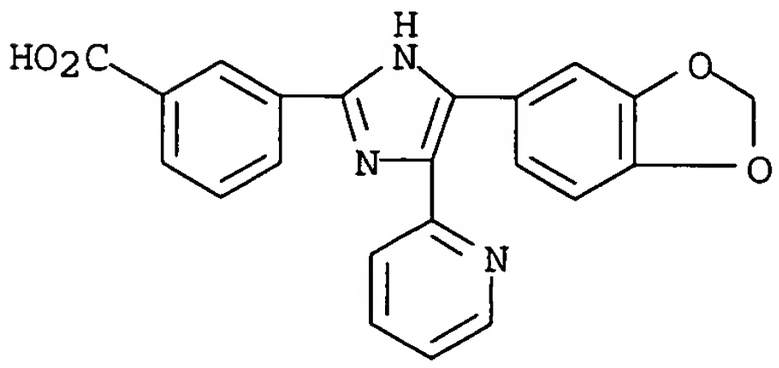
RN 301836-43-1 HCAPLUS
CN Benzamide, 4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



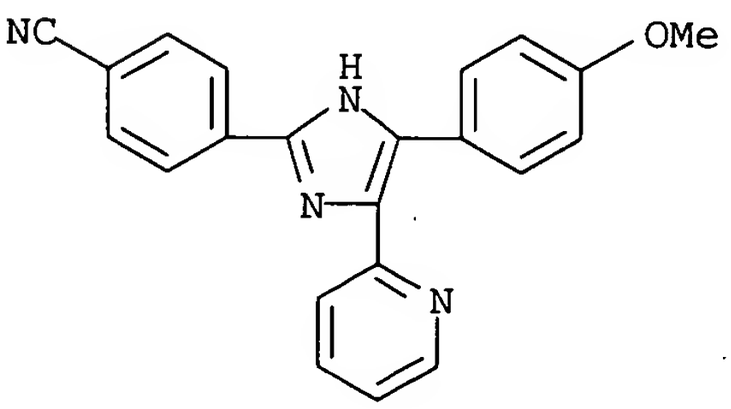
RN 301836-44-2 HCAPLUS
CN Benzamide, 4-[4-(2,3-dihydro-6-benzofuranyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



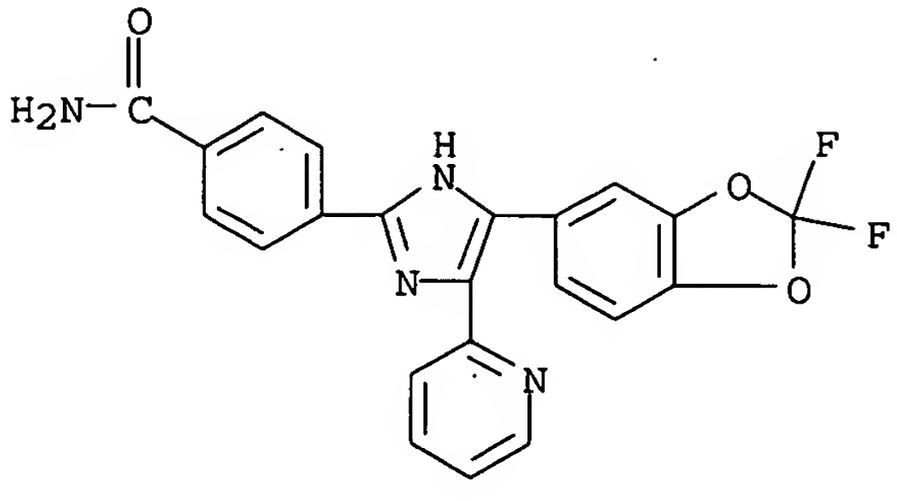
RN 301836-47-5 HCAPLUS
CN Benzoic acid, 3-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



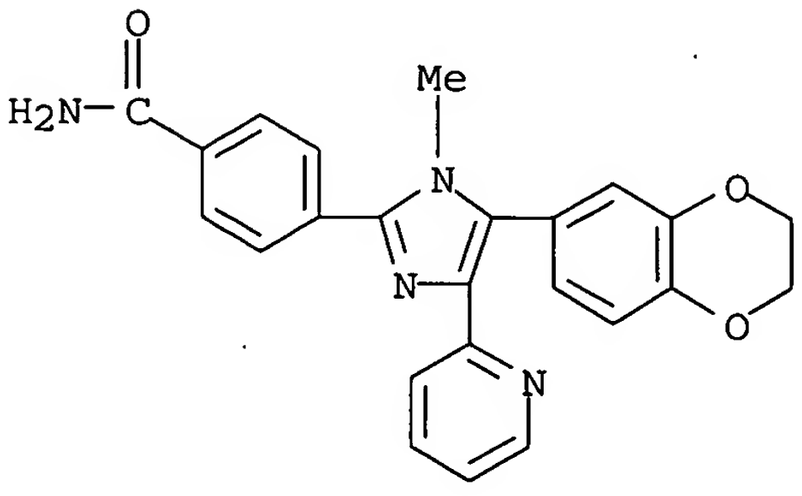
RN 301836-48-6 HCAPLUS
CN Benzonitrile, 4-[4-(4-methoxyphenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



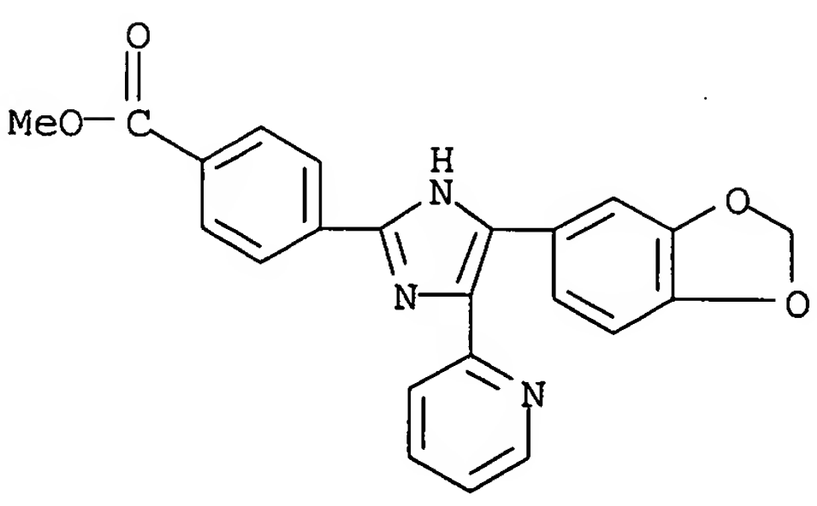
RN 301836-49-7 HCAPLUS
CN Benzamide, 4-[4-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



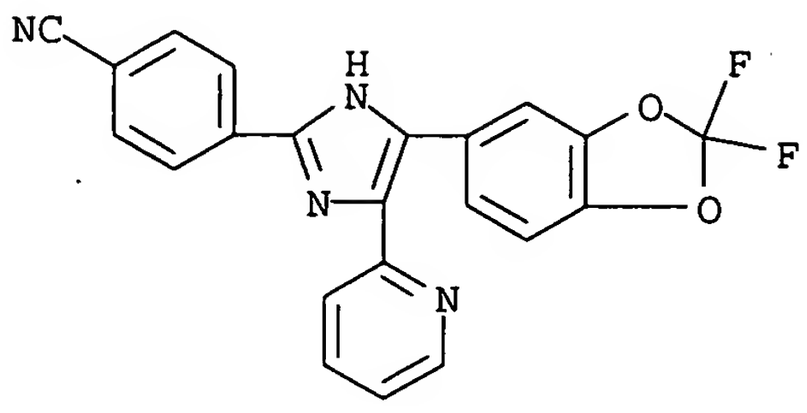
RN 301836-51-1 HCAPLUS
CN Benzamide, 4-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl-4-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



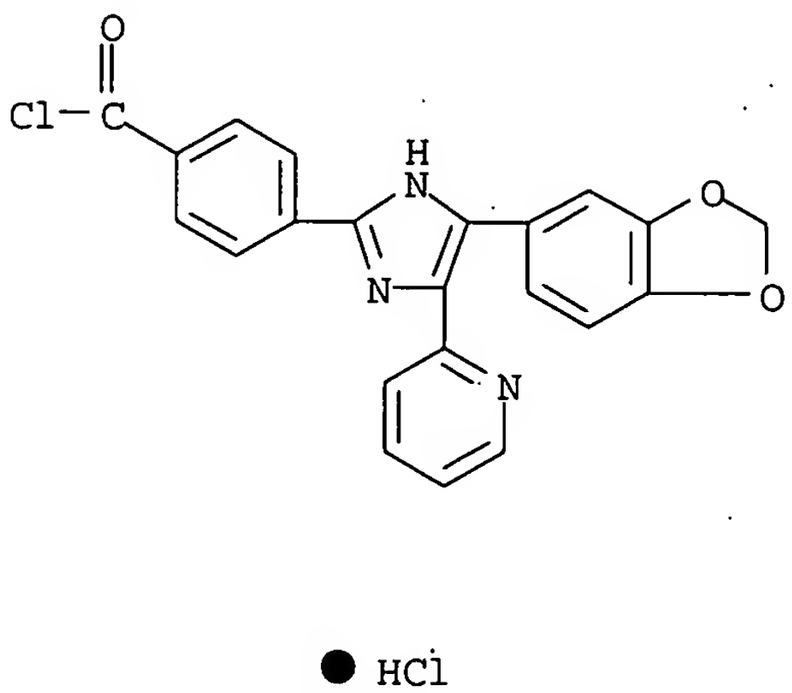
IT **301836-64-6 301836-68-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)
RN 301836-64-6 HCAPLUS
CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 301836-68-0 HCAPLUS
CN Benzonitrile, 4-[4-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



IT **301836-56-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)
RN 301836-56-6 HCAPLUS
CN Benzoyl chloride, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



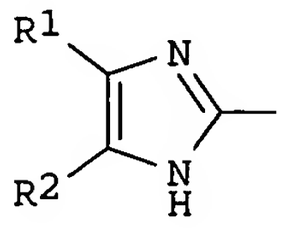
● HCl

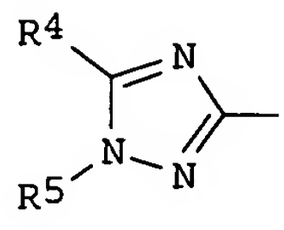
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:89342 HCAPLUS
DOCUMENT NUMBER: 132:137387
TITLE: Preparation of 3-nitrogen-containing 5-membered heterocyclylthio-1,2-propanediols and cytosolic phospholipase A2 **inhibitors.**
INVENTOR(S): Makita, Atsushi; Isobe, Yoshiaki; Tomizawa, Hideyuki; Chiba, Shinsuke; Sasaki, Masashi
PATENT ASSIGNEE(S): Japan Energy K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 2000038380 A2 20000208 JP 1998-209237 19980724 <--
PRIORITY APPLN. INFO.: JP 1998-209237 19980724
OTHER SOURCE(S): MARPAT 132:137387
GI

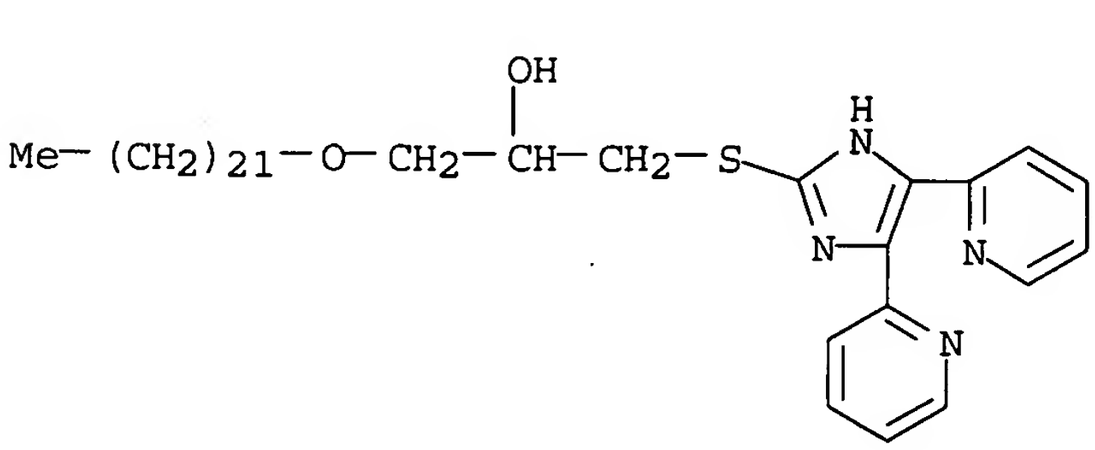
Q= 

Q1= 

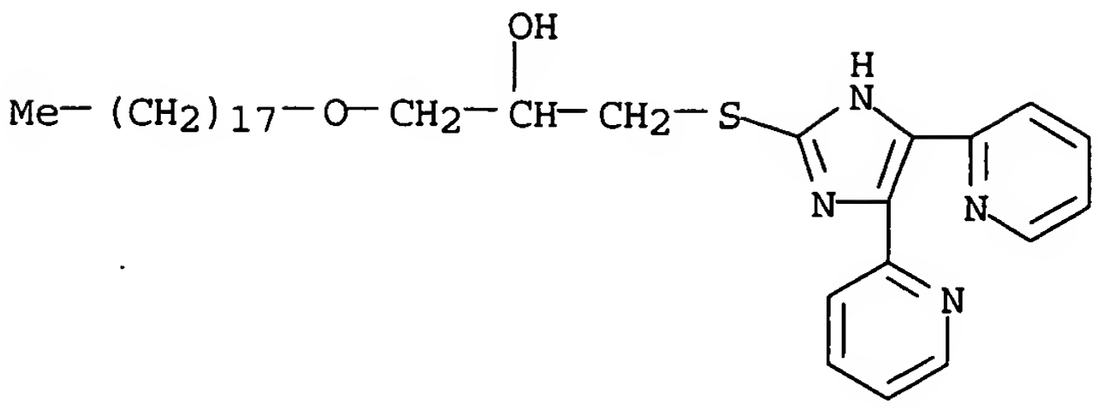
AB ArSCH2CH(OH)CH2OR3 (Ar = Q, Q1, 1H-tetrazol-5-yl; R1, R2, R4 = (un)substituted monocyclic aromatic ring, monocyclic heteroarom. ring; R5 = H, C1-3 alkyl; R3 = H, ≤3 double bond-containing C≤22 aliphatic hydrocarbyl), useful as anti-inflammatory agents, pharmaceuticals for treatment of autoimmune disease, analgesic-antipyretic agents, and antiallergic agents, are prepared 4,5-Di-(2-pyridyl)-2-imidazolethiol was reacted with docosyl glycidyl ether in the presence of NEt3 in DMF at 80° for 4 h to give to give 42% 1-[4,5-di-(2-pyridyl)-2-imidazolylthio]-3-docosyloxy-2-propanol showing inhibitory activity on human cPLA2.

IT **256531-82-5P**, 1-[4,5-Di-(2-pyridyl)-2-imidazolylthio]-3-docosyloxy-2-propanol **256531-83-6P**, 1-[4,5-Di-(2-pyridyl)-2-imidazolylthio]-3-octadecyloxy-2-propanol **256531-84-7P**, 1-[4,5-Di-(2-pyridyl)-2-imidazolylthio]-3-tetradecyloxy-2-propanol
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogen-containing 5-membered heterocyclylthiopropanediols and
cytoplasmic phospholipase A2 **inhibitors**)

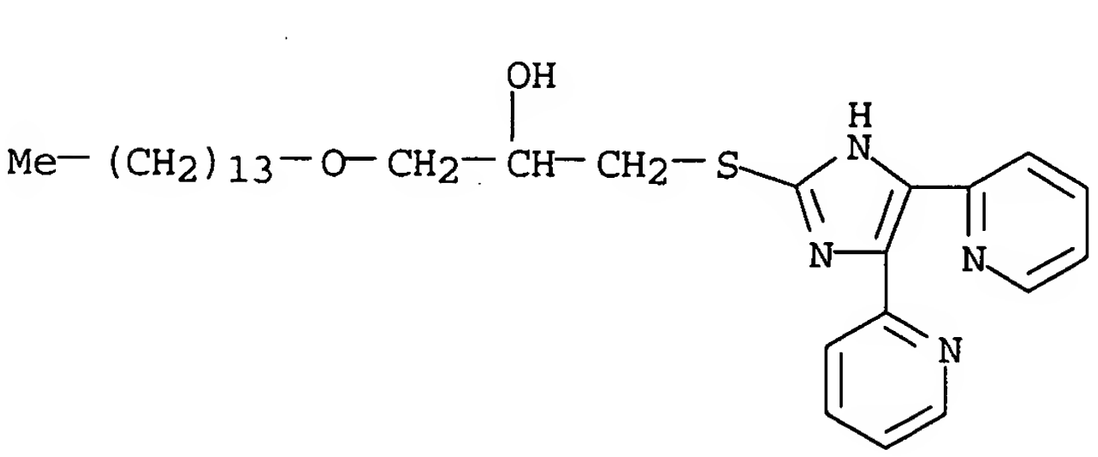
RN 256531-82-5 .HCAPLUS
CN 2-Propanol, 1-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]-3-(docosyloxy)-(9CI) (CA INDEX NAME)



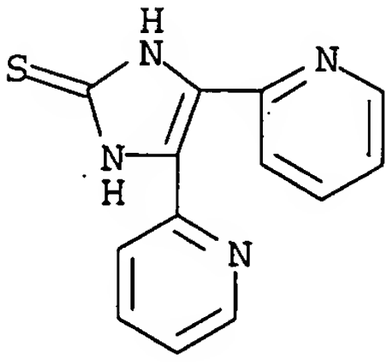
RN 256531-83-6 HCAPLUS
CN 2-Propanol, 1-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]-3-(octadecyloxy)-(9CI) (CA INDEX NAME)



RN 256531-84-7 HCAPLUS
CN 2-Propanol, 1-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]-3-(tetradecyloxy)- (9CI) (CA INDEX NAME)



IT **73181-81-4**, 4,5-Di-(2-pyridyl)-2-imidazolethiol
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nitrogen-containing 5-membered heterocyclylthiopropanediols and
cytoplasmic phospholipase A2 **inhibitors**)
RN 73181-81-4 HCAPLUS
CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)

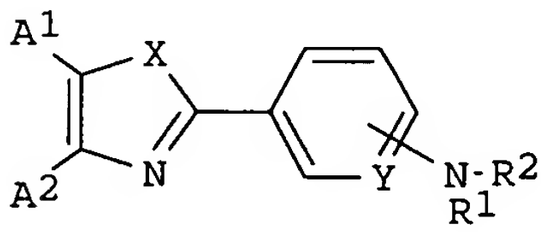


L12 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:420916 HCAPLUS
DOCUMENT NUMBER: 131:87659
TITLE: Preparation of aryl alkylamine derivatives as CRH2 receptor **inhibitors**
INVENTOR(S): Imanishi, Naoki; Moritomo, Hiroyuki; Imamura, Masakazu; Suzuki, Hidenobu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF

DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11180958	A2	19990706	JP 1997-354491	19971224 <--

PRIORITY APPLN. INFO.: JP 1997-354491 19971224
OTHER SOURCE(S): MARPAT 131:87659
GI

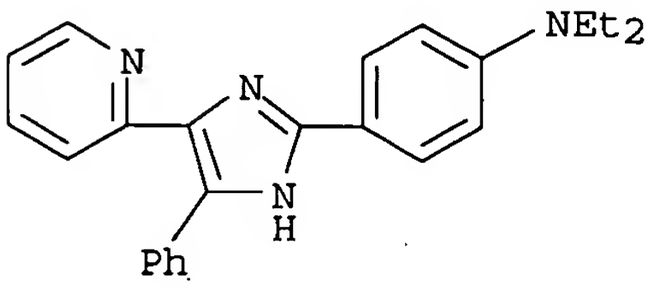


I

AB Title compds. [I; A1 = C6H5, 4-MeOC6H4, 1-naphthyl, 2-naphthyl, 2-pyridyl; A2 = C6H6, 2-MeC6H4, 2,3-(CH3)2C6H3, 2-MeOC6H4, 1-naphthyl, etc.; X = S, NH, O; Y = N, CH; R1 = CH3, CH3CH2, CH3(CH2)2, (CH3)2CH, CH3(CH2)2O, etc.; R2 = CH3, CH3CH2, CH3(CH2)2O; R1-R2 = 1-pyrrolinyl, 1-piperidyl, 1-pyrimidyl, 1-morpholinyl, etc.] and pharmaceutical acceptable salts are prepared as CRH2 receptor **inhibitors** useful in treatment of digestive abnormalities due to stress. Thus, the title compound I (A1 = C6H5; A2 = C6H5; X = NH; Y = CH; R1 = CH3; R2 = CH3; NR1R2 at para-position) was prepared

IT **229971-18-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of aryl alkylamine derivs. as CRH2 receptor **inhibitors**)

RN 229971-18-0 HCAPLUS
CN Benzenamine, N,N-diethyl-4-[4-phenyl-5-(2-pyridinyl)-1H-imidazol-2-yl]-(9CI) (CA INDEX NAME)

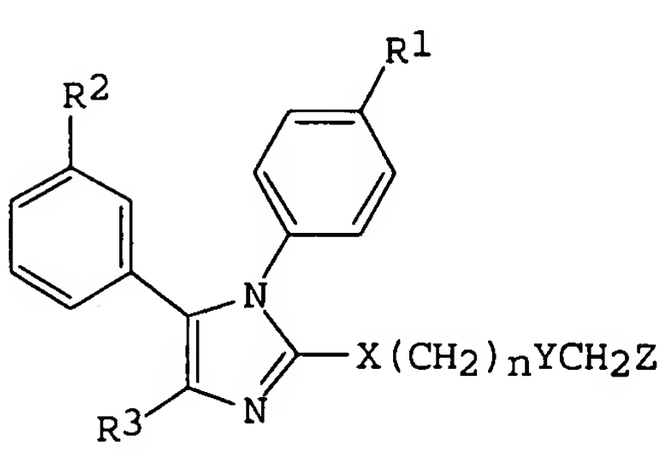


L12 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:134475 HCAPLUS
DOCUMENT NUMBER: 120:134475
TITLE: Preparation of phenylimidazoles as prostaglandin I2 receptor agonists
INVENTOR(S): Ikuta, Hironori; Matsui, Makoto; Fukuda, Yoshio; Ogushi, Motoharu; Yamagishi, Yoji
PATENT ASSIGNEE(S): Eisai Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05208961	A2	19930820	JP 1992-16215	19920131 <--
JP 3169413	B2	20010528		
PRIORITY APPLN. INFO.:			JP 1992-16215	19920131

OTHER SOURCE(S): MARPAT 120:134475
GI

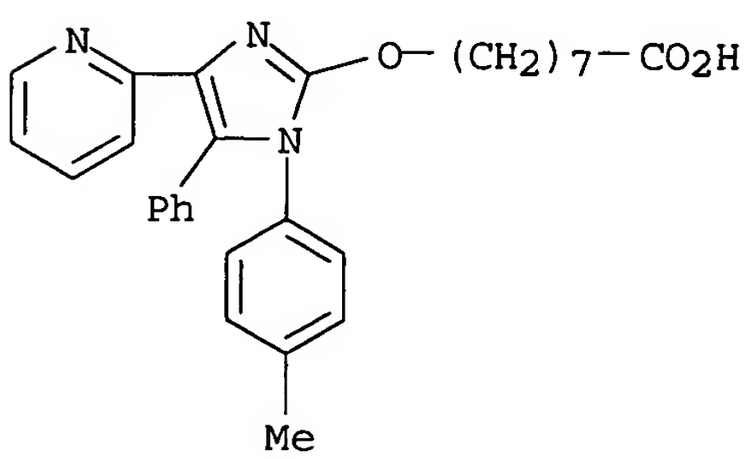


I

AB Imidazoles I [R1, R2 = H, OH, lower alkyl, halo, lower alkoxy, NO2, acyl, (acyl)amino, alkylsulfonylamino; R3 = same as R1 or R2, (substituted) Ph or pyridyl; X = CH2, O, S(O)m; Y = CH2, O, S; Z = (protected) CO2H; m = 0, 1, 2; n = 2-9; if X = S(O)m or O and Y = CH2, then R3 ≠ (substituted) Ph] or their salts are prepared as blood platelet aggregation **inhibitors**, vasodilators, or other pharmaceuticals for prevention and treatment of diseases related to prostaglandin I2 receptor agonists. A DMF solution of 1.8 g HO(CH2)5OCH2CO2H was heated with Me3COK and 3.3 g 2-chloro-1,4,5-triphenylimidazole at 100° for 2 h to give 3.2 g I (R1-2 = H, R3 = Ph, X = Y = O, Z = CO2Me, n = 5), hydrolysis of which gave 2.4 g I (R1-2 = H, R3 = Ph, X = Y = O, Z = CO2H, n = 5) (II). II inhibited blood platelet aggregation with IC50 of 0.0080 μM.

IT **152628-58-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as prostaglandin I2 agonist)

RN 152628-58-5 HCAPLUS
CN Octanoic acid, 8-[[1-(4-methylphenyl)-5-phenyl-4-(2-pyridinyl)-1H-imidazol-2-yl]oxy]- (9CI) (CA INDEX NAME)



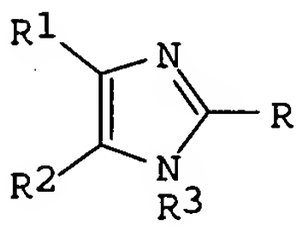
L12 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:151761 HCAPLUS
DOCUMENT NUMBER: 116:151761
TITLE: Preparation of N-[(imidazolylthio)alkyl]ureas and analogs as anticholesteremics
INVENTOR(S): Billheimer, Jeffrey Thomas; Gillies, Peter John; Higley, C. Anne; Maduskuie, Thomas Peter, Jr.; Wexler, Ruth Richmond
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9118885	A1	19911212	WO 1991-US3727	19910604 <--
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5166214	A	19921124	US 1990-533241	19900604 <--
AU 9180002	A1	19911231	AU 1991-80002	19910604 <--
US 5318984	A	19940607	US 1992-940372	19920903 <--

PRIORITY APPLN. INFO.:

US 1990-533241	A	19900604
US 1988-279981	B2	19881205
US 1989-416606	B2	19891010
WO 1991-US3727	A	19910604

OTHER SOURCE(S): MARPAT 116:151761
GI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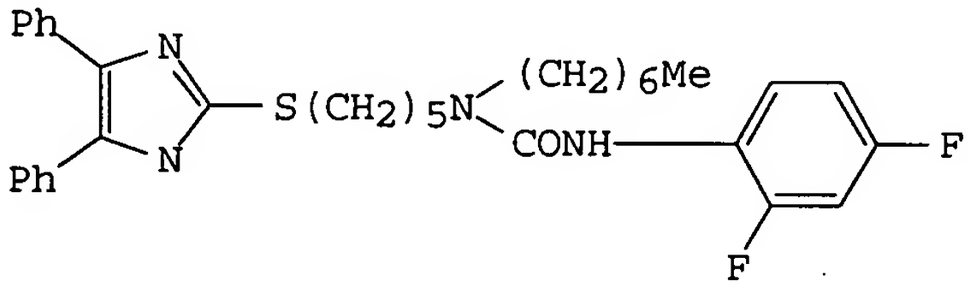
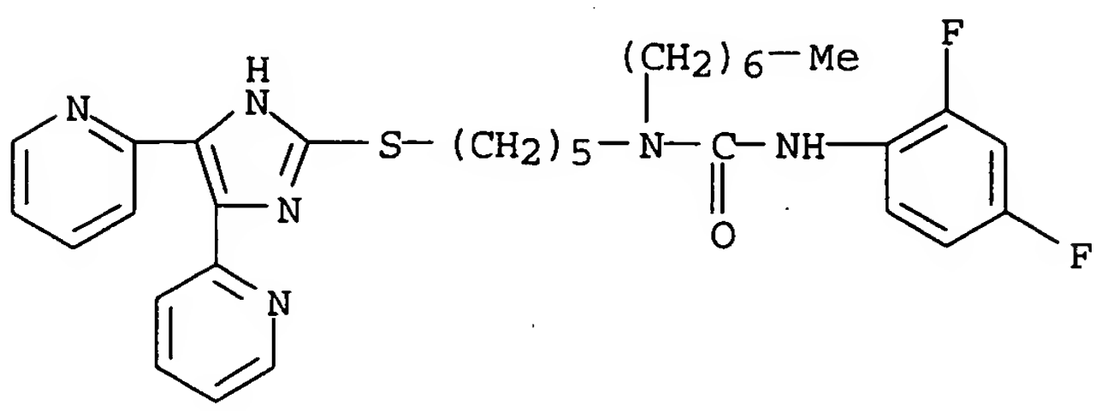

II

AB Title compds. [I; R = XANR6C(:Y)Z; A = alkylene, alkenylene, etc.; R1,R2 = H, (cyclo)alkyl, pyridyl, (substituted) Ph, etc.; R1R2 = atoms to complete a dibenzanellated ring containing 1 or 2 O; R3 = H, alkyl, allyl, PhCH2, (substituted) Ph; R6 = (cyclo)alkyl, alkenyl, (substituted) Ph, PhCH2, etc.; X =), S, NR5, CH2, et.; R5 = H, alkyl, PhCH2; Y = O, S, NH, etc.; Z = NHR4, OR4, R4; R4 = (fluoro)alkyl, cycloalkyl, (substituted) Ph, PhCH2, etc.] were prepared Thus, 4,5-diphenyl-1H-imidazole-20thiol was condensed with Br(CH2)4CO2Et and the product, after saponification, condensed with heptylamine to give, after reduction, I [R = (CH2)5NH(CH2)6Me, R1 = R2 = Ph, R3 = H] which was condensed with 2,4-F2C6H3NCO to give title compound II. The latter gave 46% reduction of serum cholesterol levels in cholesterol-fed hamsters at 10 mg/kg/day orally.

IT **130804-50-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anticholesteremic)

RN 130804-50-1 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-[5-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]pentyl]-N-heptyl- (9CI) (CA INDEX NAME)



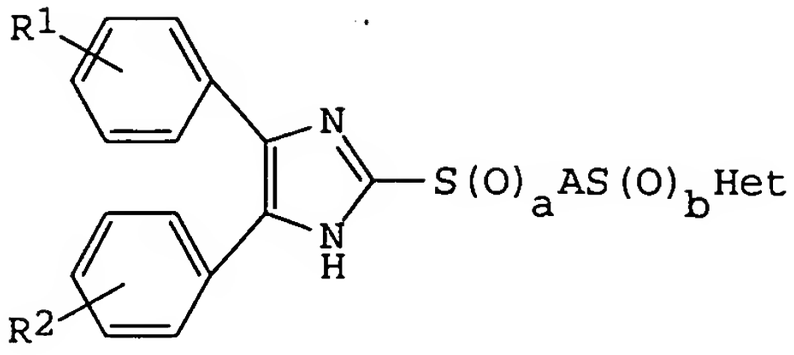
L12 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:632240 HCAPLUS
DOCUMENT NUMBER: 115:232240
TITLE: Preparation of 4,5-diphenylimidazoles as **inhibitors** of acyl CoA-cholesterol O-acyltransferase
INVENTOR(S): Bridge, Andrew William; Harris, Neil Victor; Lythgoe, David John; Smith, Christopher
PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9109021	A1	19910627	WO 1990-EP2146	19901211 <--
W: AU, CA, FI, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2071498	AA	19910612	CA 1990-2071498	19901211 <--
AU 9170492	A1	19910718	AU 1991-70492	19901211 <--
ZA 9009929	A	19911224	ZA 1990-9929	19901211 <--
EP 505468	A1	19920930	EP 1991-901749	19901211 <--
EP 505468	B1	19950426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05502670	T2	19930513	JP 1991-502044	19901211 <--
AT 121733	E	19950515	AT 1991-901749	19901211 <--

PRIORITY APPLN. INFO.: GB 1989-27953 A 19891211
GB 1990-17844 A 19900814
WO 1990-EP2146 A 19901211

OTHER SOURCE(S): MARPAT 115:232240
GI



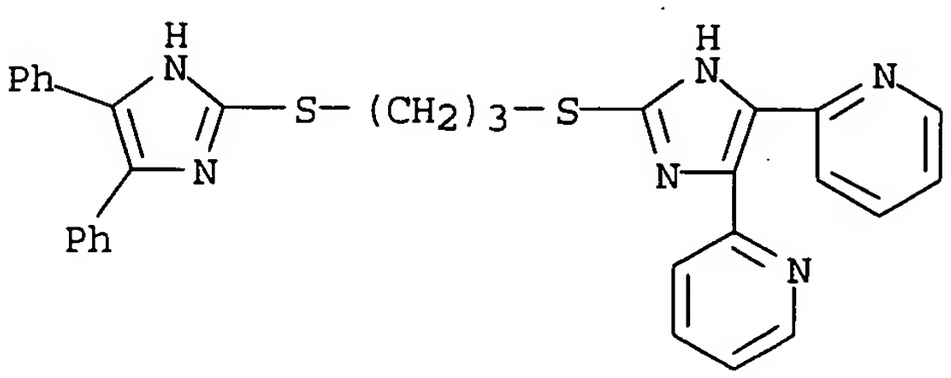
I

AB Title compds. I [A = CH2, C1-14 alkenediyl, -alkenediyl, -alkynediyl, HOCH2 (substituted) H2C-phenylene-CH2; R1, R2 = H, halo, (halo)alkyl, alkoxy, alkylthio, alkylamino, HO2C, alkoxycarbonyl; a, b = 0-2; Het = (substituted) 5-7-membered heterocyclyl] or a salt thereof, are prepared I are useful for treatment of atherosclerosis, hyperlipidemia, cholesterol ester storage disease, and atheroma in vein grafts. 4,5-Diphenylimidazole-2-thiol, Me3COK, and 1-methyl-2-(3-chloropropylsulfonyl)imidazole (preparation given) were reacted overnight in DMF at ambient temperature to give I [A = (CH2)3, R1 = R2 = H, Het = 1-methylimidazol-2-yl, a = 0, b = 2] (II). II at 0.03 μg/mL in rats gave 108% inhibition of increase in plasma cholesterol induced by a cholesterol supplemented diet. Capsule formulations comprising I are given.

IT **136995-39-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cholesterol acyltransferase **inhibitor**)

RN 136995-39-6 HCAPLUS

CN Pyridine, 2,2'-[2-[[3-[(4,5-diphenyl-1H-imidazol-2-yl)thio]propyl]thio]-1H-imidazole-4,5-diyl]bis-, dihydrochloride (9CI) (CA INDEX NAME)

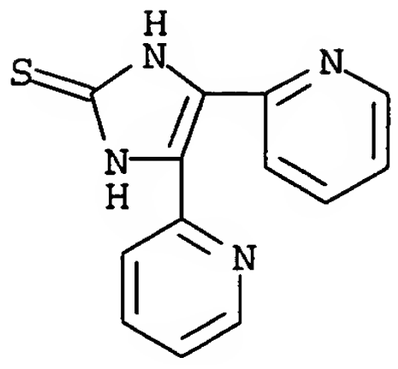


●2 HCl

IT **73181-81-4**, 2-Mercapto-4,5-dipyrid-2-ylimidazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of cholesterol acyltransferase **inhibitors**)

RN 73181-81-4 HCAPLUS

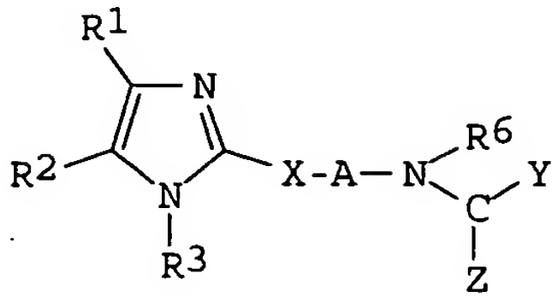
CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)



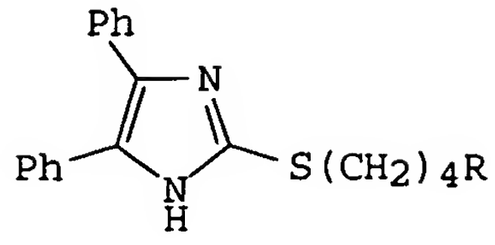
L12 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:6503 HCAPLUS
DOCUMENT NUMBER: 114:6503
TITLE: Preparation and formulation of imidazoles for the treatment of atherosclerosis
INVENTOR(S): Billheimer, Jeffrey Thomas; Gillies, Peter John; Wexler, Ruth Richmond; Higley, C. Anne; Maduskuie, Thomas Peter, Jr.
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
EP 372445	A1	19900613	EP 1989-122302		19891203	<--
EP 372445	B1	19940309				
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE						
CA 2003283	AA	19900605	CA 1989-2003283		19891117	<--
AT 102609	E	19940315	AT 1989-122302		19891203	<--
ES 2063102	T3	19950101	ES 1989-122302		19891203	<--
DK 8906094	A	19900606	DK 1989-6094		19891204	<--
NO 8904842	A	19900606	NO 1989-4842		19891204	<--
JP 02237980	A2	19900920	JP 1989-313553		19891204	<--
ZA 8909241	A	19910828	ZA 1989-9241		19891204	<--
RU 2028293	C1	19950209	RU 1989-4742800		19891204	<--
AU 8945930	A1	19900607	AU 1989-45930		19891205	<--
AU 628890	B2	19920924				
HU 54121	A2	19910128	HU 1989-6428		19891205	<--
HU 205916	B	19920728				
RU 2026292	C1	19950109	RU 1991-4894323		19910125	<--
US 5318984	A	19940607	US 1992-940372		19920903	<--
PRIORITY APPLN. INFO.:			US 1988-279981	A	19881205	
			US 1989-416606	A	19891010	
			EP 1989-122302	A	19891203	
			US 1990-533241	A3	19900604	

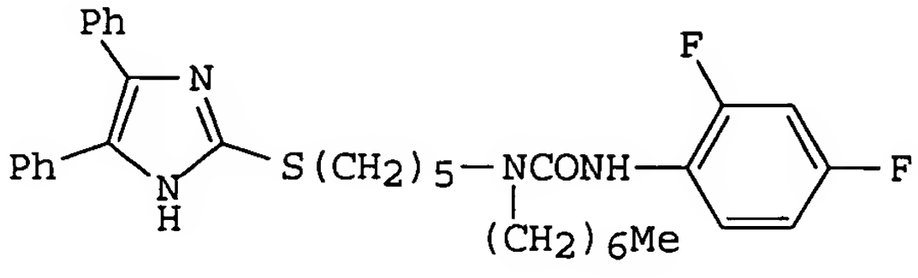
OTHER SOURCE(S): MARPAT 114:6503
GI



I



II



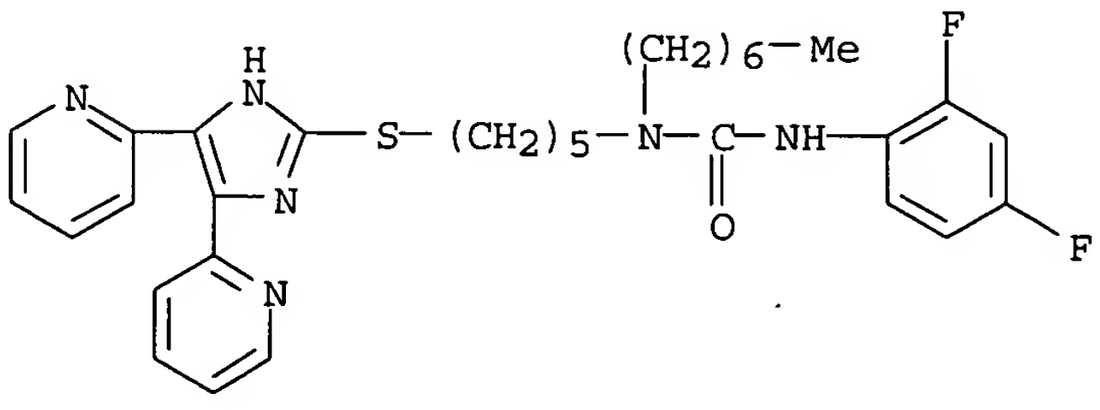
IV

AB The title compds. [I; R1, R2 = H, C2-8 alkyl, C3-8 branched alkyl, C3-7 cycloalkyl, C7-14 aralkyl, etc, R3 = H, C2-6 alkyl, allyl, PhCH2, (substituted) Ph; R6 = H, C1-8 alkyl, C3-8 branched alkyl, C3-7 cycloalkyl, C3-8 alkenyl, alkynyl, (substituted) Ph, etc.; A = C2-10 alkylene, C3-10 alkenylene, alkynylene; X = S, O, CH2, (substituted) imino, SO, SO2; Y = O, S, 2H; Z = (substituted) alkyl, cycloalkyl, aralkyl, alkoxy, amino, etc.], useful as acyl-CoA **inhibitors** and anticholesteremics in treatment of atherosclerosis, were prepared Amidation of pentanoic acid derivative II (R = CO2H) with heptylamine gave amide II [R = CONH(CH2)6Me], which was reduced with LiAlH4 to give amine II [R = CH2NH(CH2)6Me] (III), isolated as the HCl salt. A solution of 2,4-F2C6H3NCO in hexane was added to a solution of III in hexane with stirring at room temperature to give urea IV, which in vitro inhibited cholesterol acyltransferase with IC50 of 13 nM. Also prepared and tested for anticholesteremic activity were 84 addnl. I. Various formulations were given.

IT **130804-50-1P 130804-64-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, anticholesteremic agent)

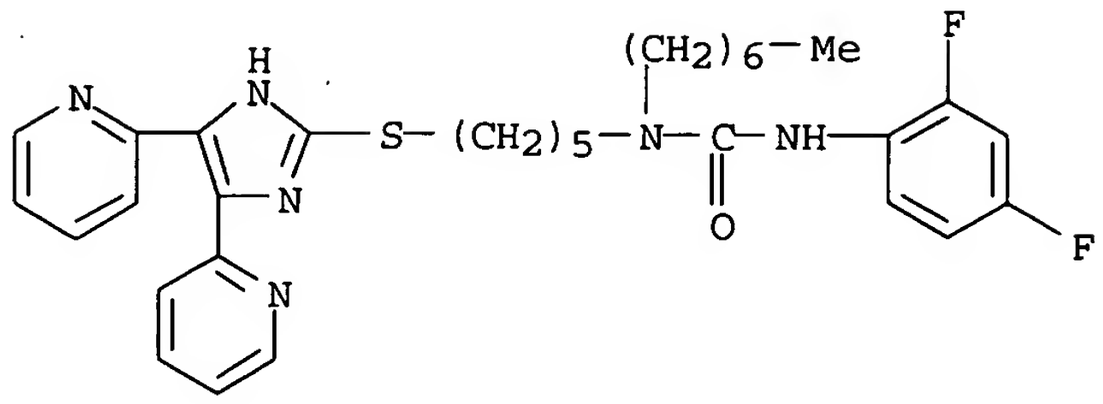
RN 130804-50-1 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-[5-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]pentyl]-N-heptyl- (9CI) (CA INDEX NAME)



RN 130804-64-7 HCAPLUS

CN Urea, N'-(2,4-difluorophenyl)-N-[5-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]pentyl]-N-heptyl-, hydrochloride (9CI) (CA INDEX NAME)



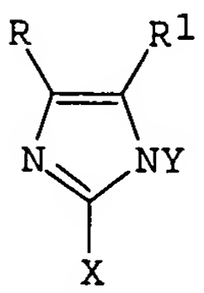
●x HCl

L12 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:598331 HCAPLUS
DOCUMENT NUMBER: 107:198331
TITLE: Preparation of antiinflammatory imidazole derivatives as 5-lipoxygenase **inhibitors**
PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62153274	A2	19870708	JP 1986-297442	19861212 <--
US 4686231	A	19870811	US 1986-856927	19860428 <--
DK 8605938	A	19870613	DK 1986-5938	19861210 <--
EP 236628	A1	19870916	EP 1986-309673	19861211 <--
EP 236628	B1	19921202		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8609348	A	19871028	ZA 1986-9348	19861211 <--
AT 82968	E	19921215	AT 1986-309673	19861211 <--
AU 8666452	A1	19870618	AU 1986-66452	19861212 <--
AU 586907	B2	19890727		

PRIORITY APPLN. INFO.:
US 1985-808395 A 19851212
US 1986-856927 A 19860428
EP 1986-309673 A 19861211

OTHER SOURCE(S): MARPAT 107:198331
GI



I

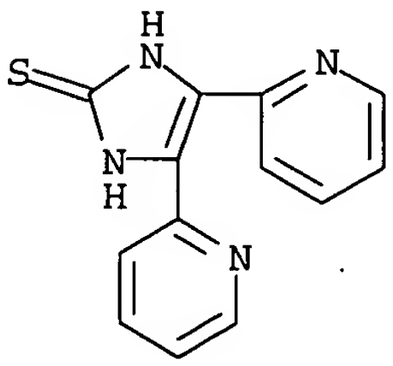
AB The title compds. (I; X = NHCN, NH2; Y = H, cyano; R, R1 = pyridyl,

halophenyl, alkoxyphenyl; provided X = NH2 when Y = cyano; X = NHCN when Y = H) and their pharmaceutically acceptable salts, which inhibit 5-lipoxygenase and are useful for treatment of rheumatoid arthritis, were prepared 2-Bromoanisoin and H2NC(:NH)NHCN in DMF were allowed to react for 96 h to give I (X = NH2, Y = cyano, R = R1 = p-MeOC6H4, or X = NHCN, Y = H, R = R1 = p-MeOC6H4) (the structure was not determined). I inhibited arachidonic acid-induced inflammation in mouse ears and inhibited the production of leukotriene C4 in human leukocytes in vitro. Oral or nasal sprays, eye drops, injection ointments, and lotion compns. containing I were described.

IT **73181-81-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiarthritic)

RN 73181-81-4 HCAPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)



L12 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1981:443104 HCAPLUS

DOCUMENT NUMBER: 95:43104

TITLE: Bicyclic thiadiaza compounds and their use as medicaments

INVENTOR(S): Goeschke, Richard; Ferrini, Pier Giorgio

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Brit. UK Pat. Appl., 11 pp.
CODEN: BAXXDU

DOCUMENT TYPE: **Patent**

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
GB 2039882	A	19800820	GB 1979-427		19790105	<--
PRIORITY APPLN. INFO.:			GB 1979-427	A	19790105	

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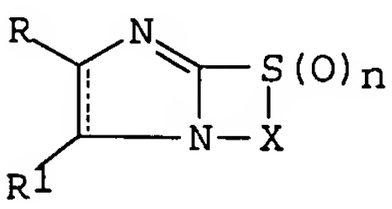


I

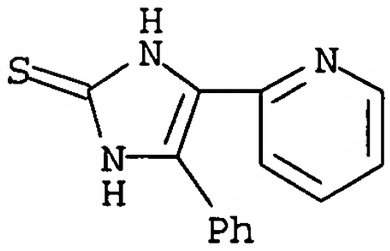
AB The preparation of the title compds. I (R, R1 = optionally substituted Ph,

pyridyl, thienyl; X = C2-4 alkylene; n = 0, 1, 2) is described. Thus, 5,6-bis(p-methoxyphenyl)imidazolo[2,1-b]dihydrothiazole (II) was prepared from 4,5-bis(p-methoxyphenyl)-2-mercaptoimidazole by treatment with 1.5% NaOH-Br(CH2)2Br-NaCO3-Me2CHOH (6 h, reflux) followed by treatment with 20% KOH. I have antiinflammatory, antirheumatic, analgesic, antithrombotic, and prostaglandin synthetase-inhibiting activity. They are useful in the treatment of rheumatoid arthritis. Compns. containing II are described.

IT **77154-74-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate in preparation of pyridylphenylimidazolodihydrothiazole)

RN 77154-74-6 HCAPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4-phenyl-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)



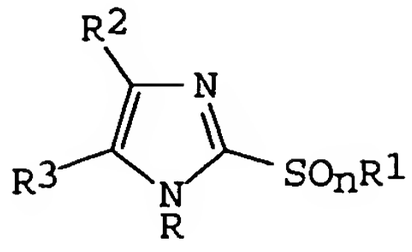
L12 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1981:15732 HCAPLUS
DOCUMENT NUMBER: 94:15732
TITLE: Imidazole derivatives for pharmaceutical preparations
INVENTOR(S): Niedballa, Ulrich; Boettcher, Irmgard
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 27 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2856909	A1	19800717	DE 1978-2856909	19781228 <--
EP 13732	A2	19800806	EP 1979-105109	19791212 <--
EP 13732	A3	19801112		
EP 13732	B1	19870318		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 25975	E	19870415	AT 1979-105109	19791212 <--
DK 7905510	A	19800629	DK 1979-5510	19791221 <--
GB 2043631	A	19801008	GB 1979-44067	19791221 <--
JP 55092375	A2	19800712	JP 1979-169488	19791227 <--
JP 02045627	B4	19901011		
US 4272543	A	19810609	US 1979-107802	19791227 <--

PRIORITY APPLN. INFO.: DE 1978-2856909 A 19781228
EP 1979-105109 A 19791212

GI



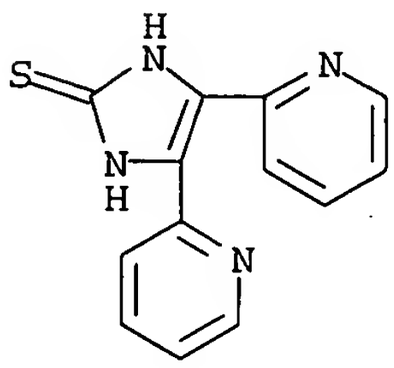
I

AB Imidazoles I (R = H, alkyl, hydroxyalkyl, alkoxyalkyl, acyloxyalkyl; R1 = cyano, alkynyl, cycloalkyl optionally substituted by OH, acyloxy, HOCH2, or acyloxymethyl, cyanoalkyl, phenylalkyl, cycloalkylalkyl; R2, R3 = optionally substituted Ph, pyridyl, furyl, thienyl; n = 0-2) were prepared for use as inflammation **inhibitors**, antiallergics, and immune adjuvants (no data). Thus 4,5-bis(4-methoxyphenyl)-2-mercaptoimidazole was treated with HC.tplbond.CCH2Br to give I (R = H, R1 = CH2C.tplbond.CH, R2 = R3 = 4-MeOC6H4, n = 0), which was oxidized with 3-ClC6H4CO2OH to the corresponding I (n = 1, 2).

IT **73181-81-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of)

RN 73181-81-4 HCAPLUS

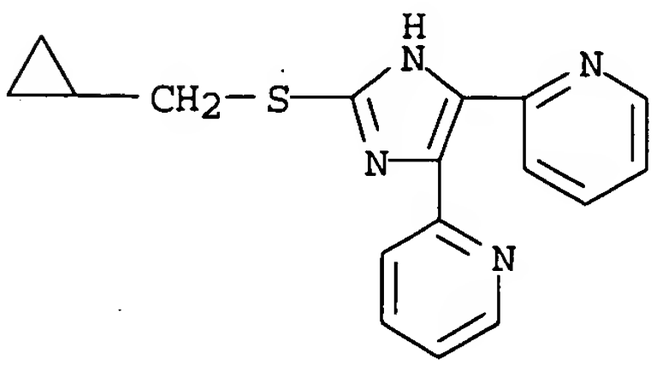
CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)



IT **75850-04-3P 75961-31-8P 75961-32-9P**
75961-33-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

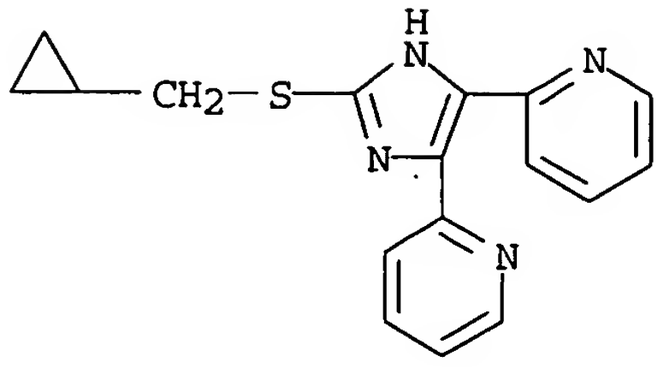
RN 75850-04-3 HCAPLUS

CN Pyridine, 2,2'-[2-[(cyclopropylmethyl)thio]-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



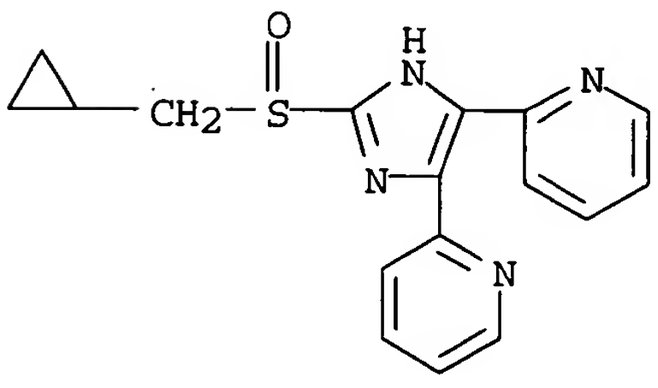
RN 75961-31-8 HCAPLUS

CN Pyridine, 2,2'-[2-[(cyclopropylmethyl)thio]-1H-imidazole-4,5-diyl]bis-, hydrochloride (9CI) (CA INDEX NAME)

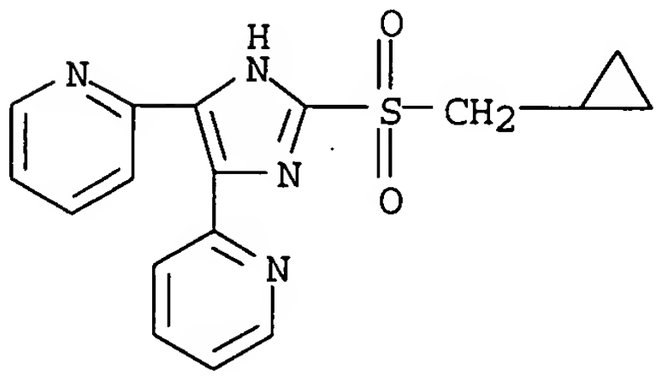


●x HCl

RN 75961-32-9 HCAPLUS
CN Pyridine, 2,2'-[2-[(cyclopropylmethyl)sulfinyl]-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



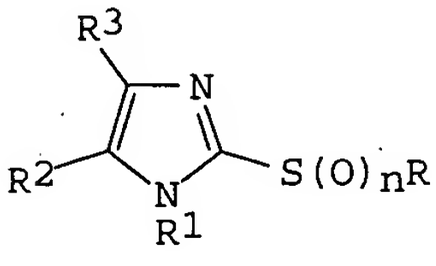
RN 75961-33-0 HCAPLUS
CN Pyridine, 2,2'-[2-[(cyclopropylmethyl)sulfonyl]-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



L12 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:146771 HCAPLUS
DOCUMENT NUMBER: 92:146771
TITLE: Imidazole derivatives
INVENTOR(S): Niedballa, Ulrich; Boettcher, Irmgard
PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 42 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2823197	A1	19791129	DE 1978-2823197	19780524 <--
EP 5545	A2	19791128	EP 1979-101509	19790517 <--
EP 5545	B1	19850918		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 15662	E	19851015	AT 1979-101509	19790517 <--
FR 2426682	A1	19791221	FR 1979-12876	19790521 <--
DK 7902089	A	19791125	DK 1979-2089	19790522 <--
ES 480809	A1	19791201	ES 1979-480809	19790522 <--
DD 143770	C	19800910	DD 1979-213065	19790522 <--
US 4269847	A	19810526	US 1979-41367	19790522 <--
FI 7901639	A	19791125	FI 1979-1639	19790523 <--
NO 7901707	A	19791127	NO 1979-1707	19790523 <--
JP 54154766	A2	19791206	JP 1979-62774	19790523 <--
JP 03036834	B4	19910603		
GB 2023600	A	19800103	GB 1979-17874	19790523 <--
GB 2023600	B2	19821103		
ZA 7902522	A	19800625	ZA 1979-2522	19790523 <--
CA 1177836	A1	19841113	CA 1979-328095	19790523 <--
AU 7947379	A1	19791129	AU 1979-47379	19790524 <--
RO 77293	P	19810817	RO 1979-97627	19790524 <--
US 4355039	A	19821019	US 1980-190309	19800924 <--
US 4440776	A	19840403	US 1982-361225	19820324 <--
PRIORITY APPLN. INFO.:			DE 1978-2823197	19780524
			EP 1979-101509 A	19790517
			US 1979-41367 A3	19790522
			US 1980-190309 A3	19800924

GI



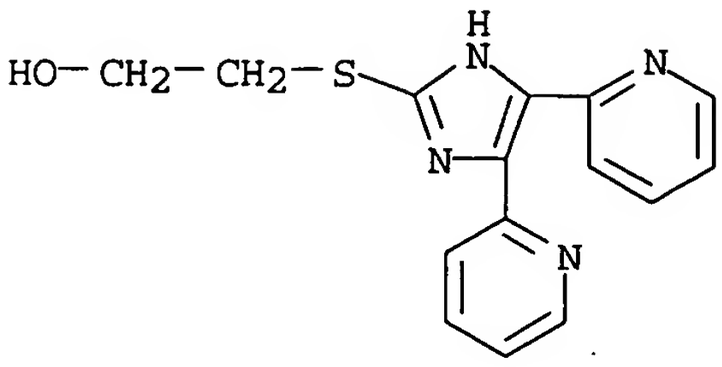
I

AB The imidazole derivs. I [R = aliphatic group optionally substituted by OH, alkoxy, acyloxy, alkylenedioxy, formyl, or carbalkoxy; R1 = H, alkyl optionally substituted by OH, alkoxy, or acyloxy: R2 and R3 = (substituted) Ph, pyridyl, or furyl; n = 0, 1, 2] and their salts were prepared for use as antiinflammatory, antiallergic, or immunostimulating agents (no data). Thus, 4,5-bis(4-methoxyphenyl)-2-mercaptoimidazole reacted with BrCH2CH2OH in EtOH to give I (R = HOCH2CH2, R1 = H, R2 = R3 = 4-MeOC6H4, n = 0).

IT **73181-99-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

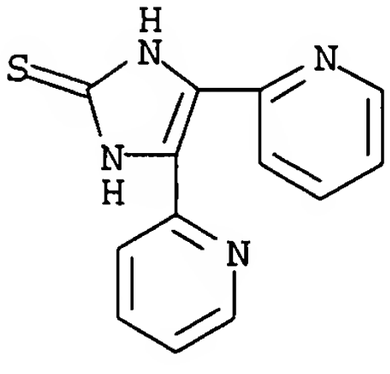
RN 73181-99-4 HCAPLUS

CN Ethanol, 2-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)thio]-, monohydrobromide (9CI) (CA INDEX NAME)

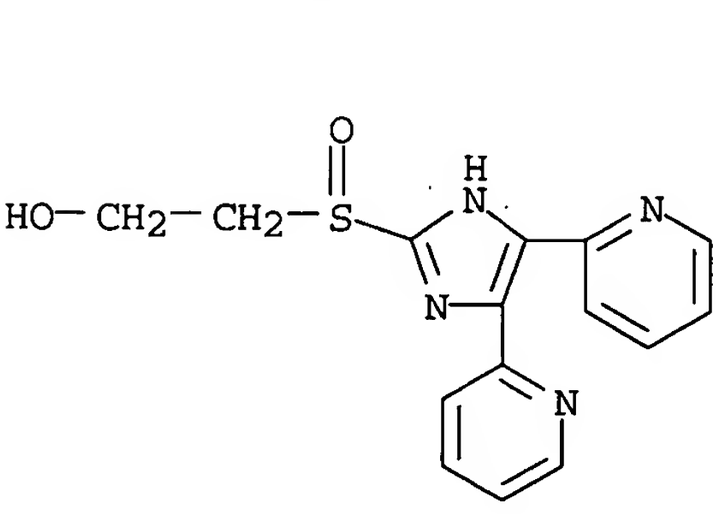


● HBr

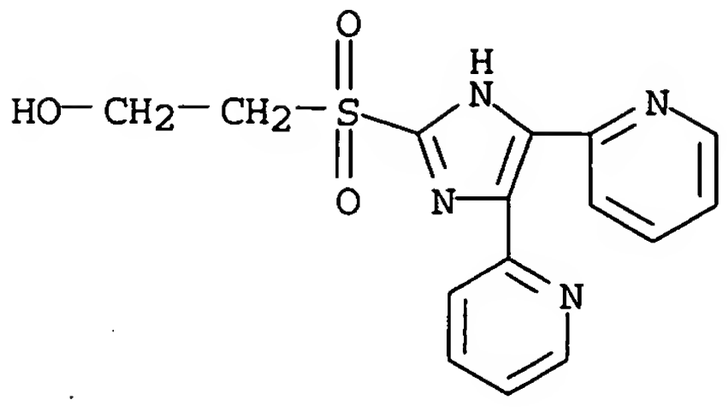
IT **73181-81-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromoethanol)
RN 73181-81-4 HCAPLUS
CN 2H-Imidazole-2-thione, 1,3-dihydro-4,5-di-2-pyridinyl- (9CI) (CA INDEX NAME)



IT **73182-00-0P 73182-01-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 73182-00-0 HCAPLUS
CN Ethanol, 2-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)sulfinyl]- (9CI) (CA INDEX NAME)



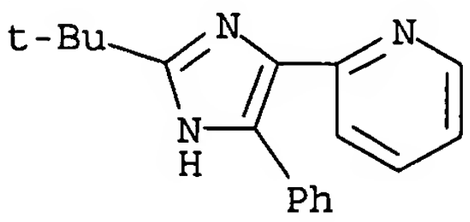
RN 73182-01-1 HCAPLUS
CN Ethanol, 2-[(4,5-di-2-pyridinyl-1H-imidazol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:531599 HCAPLUS
DOCUMENT NUMBER: 83:131599
TITLE: Imidazole derivatives
INVENTOR(S): Fitzi, Konrad
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Patentschrift (Switz.), 4 pp.
CODEN: SWXXAS
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 561717	A	19750515	CH 1975-768	19710511 <--
PRIORITY APPLN. INFO.:			CH 1975-768 A	19710511

GI For diagram(s), see printed CA Issue.
AB The cyclization of bromophenacylpyridines with amidines gave pyridylimidazoles I. Thus, 2-(α-bromophenacyl)pyridine and pivalamidine-HCl gave I (R = Me3C, R1 = H, R2 = 2-pyridyl). Similarly prepared were I (R = Me3C, R2 = 3-pyridyl, R1 = H, MeO; R = p-ClC6H4, R1 = MeO, R3 = 2-pyridyl). Dosages were given for I used as antiphlogistics, analgesics, and antipyretics.
IT **40291-38-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40291-38-1 HCAPLUS
CN Pyridine, 2-[2-(1,1-dimethylethyl)-5-phenyl-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



L12 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:501607 HCAPLUS
DOCUMENT NUMBER: 77:101607
TITLE: Pharmaceutical imidazoles
INVENTOR(S): Lombardino, Joseph George
PATENT ASSIGNEE(S): Pfizer Inc.

SOURCE: Ger. Offen., 65 pp.
CODEN: GWXXBX
DOCUMENT TYPE: **Patent**
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2155558	A	19720629	DE 1971-2155558	19711109 <--
DE 2155558	C2	19820826		
US 3707475	A	19721226	US 1970-90077	19701116 <--
GB 1347893	A	19740227	GB 1971-25321	19710419 <--
CA 978960	A1	19751202	CA 1971-125371	19711018 <--
AU 7134744	A1	19730503	AU 1971-34744	19711019 <--
ZA 7107089	A	19730228	ZA 1971-7089	19711025 <--
ES 396415	A1	19750101	ES 1971-396415	19711027 <--
FR 2113861	A5	19720630	FR 1971-39768	19711105 <--
FR 2113861	B1	19750314		
FI 56174	B	19790831	FI 1971-3167	19711105 <--
FI 56174	C	19791210		
BE 775028	A1	19720508	BE 1971-3536	19711108 <--
CH 551416	A	19740715	CH 1971-16326	19711109 <--
AT 317201	B	19740826	AT 1971-9700	19711110 <--
AT 324327	B	19750825	AT 1971-324327	19711110 <--
DK 134227	B	19761004	DK 1971-5497	19711110 <--
NL 7115493	A	19720518	NL 1971-15493	19711111 <--
NL 170143	B	19820503		
NL 170143	C	19821001		
SE 401504	B	19780516	SE 1971-14468	19711111 <--
JP 56013715	B4	19810330	JP 1971-89484	19711111 <--
US 3772441	A	19731113	US 1972-251522	19720508 <--
DK 134432	B	19761108	DK 1973-6306	19731122 <--
ES 423230	A1	19760616	ES 1974-423230	19740214 <--
DK 135213	B	19770321	DK 1974-1167	19740305 <--
IN 138593	A	19760228	IN 1974-CA774	19740405 <--

PRIORITY APPLN. INFO.:

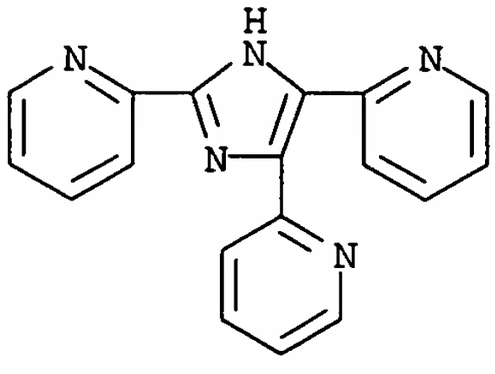
US 1970-90077	A	19701116
GB 1971-25321	A	19710419
IN 1971-133280	A1	19711020
DK 1971-5497	A	19711110

GI For diagram(s), see printed CA Issue.

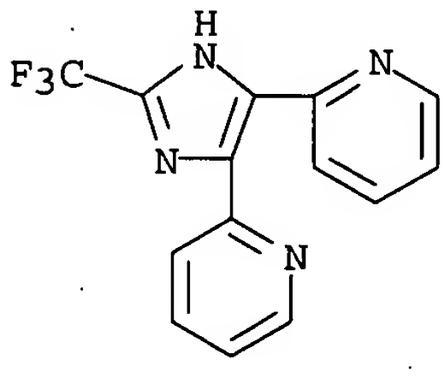
AB One hundred and nine title compds. (I; R = H, C1-4 alkyl, allyl, or CH2:CMeCH2; R1 = Me, CF3, aryl, 2-furyl, or 2-pyridyl; R2 = aryl, 2-furyl, or 2-pyridyl; R3 = CF3, CH2CHMe2, aryl, 2-furyl, 2-thienyl, or pyridyl) were prepared by reaction of R1COCOR2 (II) with AcONH4 and R3CHO, and optional alkylation with RI. I were tested in vitro and in vivo as antiinflammatants and thrombocyte aggregation **inhibitors.** Thus, 11 g F3CCH(OH)OEt (III) was added in 50 min to 20 g II (R1 = R2 = p-MeOC6H4) and 40 g AcONH4 in HOAc at 100°, the mixture refluxed 2 hr, and 11 g III added. The mixture was refluxed 12 hr to give 12.0 g I (R = H, R1 = R2 = p-MeOC6H4, R3 = CF3) (IV). 1 g IV in DMF was added to 50% NaH in DMF, the mixture stirred 2 hr, and MeI in DMF added. The mixture was heated 2 hr on a water bath to give 673 mg I (R = Me, R1 = R2 = p-MeOC6H4, R3 = CF3). Compns. containing I were given.

IT **23974-92-7P 36740-75-7P 36756-01-1P**
36756-02-2P 36756-03-3P 36756-04-4P
36756-06-6P 36830-11-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

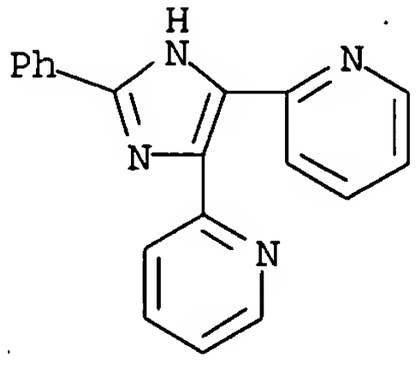
RN 23974-92-7 HCAPLUS
CN Pyridine, 2,2',2''-(1H-imidazole-2,4,5-triyl)tris- (9CI) (CA INDEX NAME)



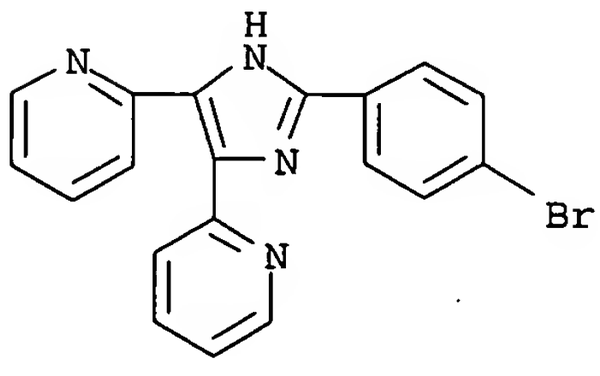
RN 36740-75-7 HCAPLUS
CN Pyridine, 2,2'-[2-(trifluoromethyl)-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



RN 36756-01-1 HCAPLUS
CN Pyridine, 2,2'-(2-phenyl-1H-imidazole-4,5-diyl)bis- (9CI) (CA INDEX NAME)

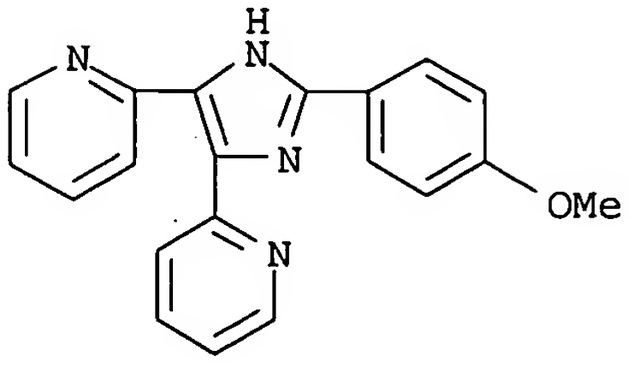


RN 36756-02-2 HCAPLUS
CN Pyridine, 2,2'-[2-(4-bromophenyl)-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)

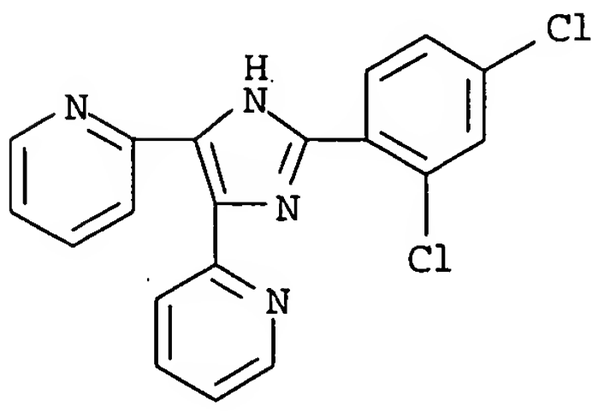


RN 36756-03-3 HCAPLUS

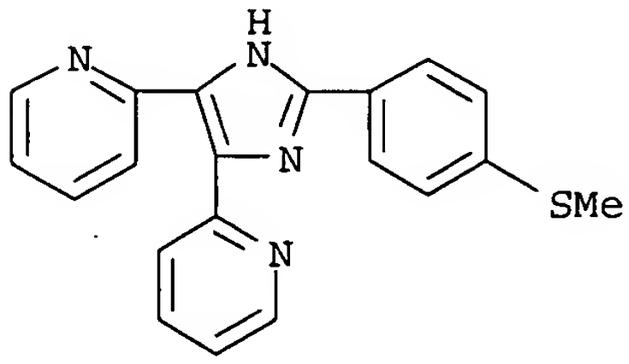
CN Pyridine, 2,2'-[2-(4-methoxyphenyl)-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



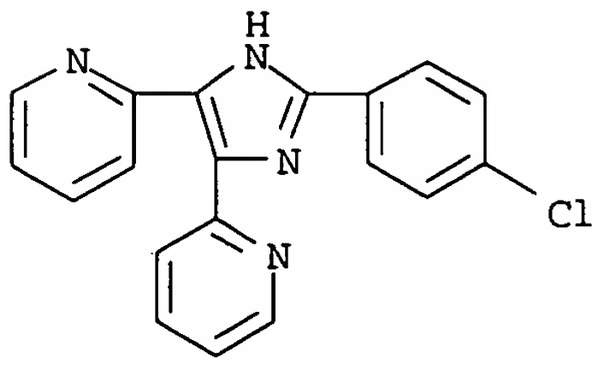
RN 36756-04-4 HCAPLUS
CN Pyridine, 2,2'-[2-(2,4-dichlorophenyl)-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



RN 36756-06-6 HCAPLUS
CN Pyridine, 2,2'-[2-[4-(methylthio)phenyl]-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



RN 36830-11-2 HCAPLUS
CN Pyridine, 2,2'-[2-(4-chlorophenyl)-1H-imidazole-4,5-diyl]bis- (9CI) (CA INDEX NAME)



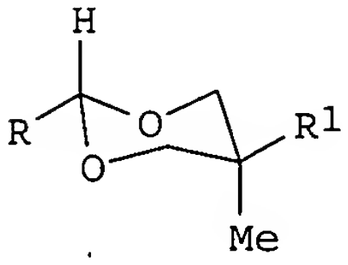
=> d l13 ibib abs hitstr tot

L13 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:539528 HCAPLUS
DOCUMENT NUMBER: 137:93761
TITLE: Preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5 receptor **inhibitors**
INVENTOR(S): Gaster, Laramie Mary
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055077	A1	20020718	WO 2002-EP112	20020107 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-762 A 20010111
GI



I

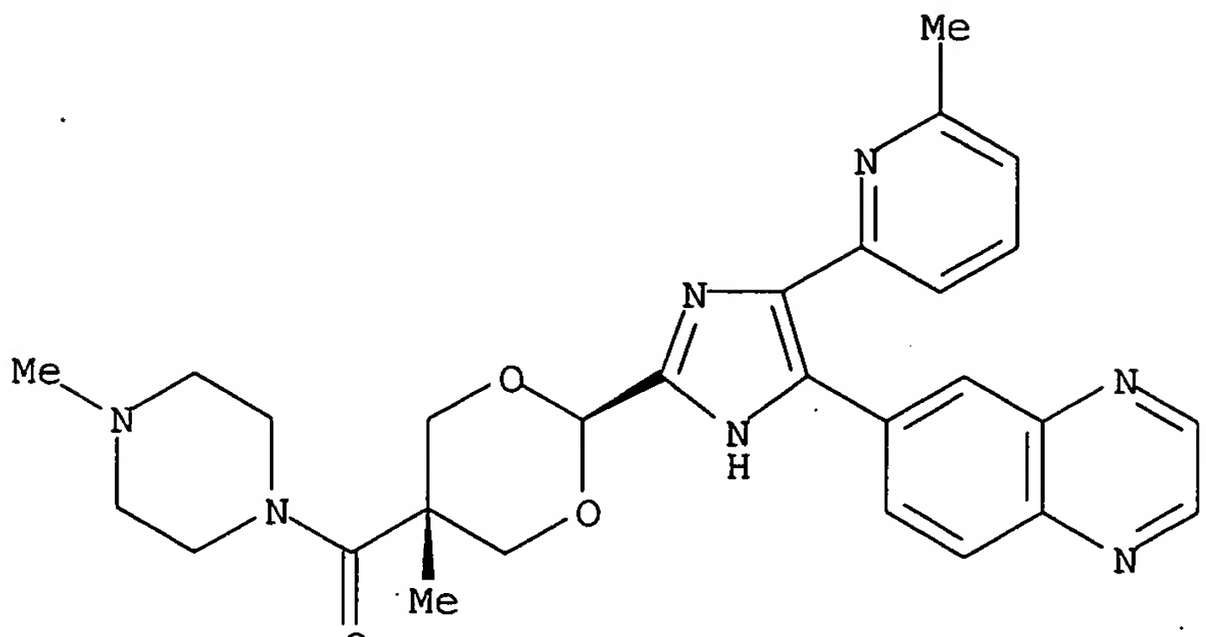
AB Title compds. [e.g., I; R = 5-(6-methyl-2-pyridinyl)-4-(6-quinazolinyl)-1H-imidazol-2-yl throughout; R1 = CONR2R3 or NHBz; R2 = H and R3 = 2-pyridinylmethyl or CH2Ph; R2R3 = (CH2CH2)2NMe or (CH2CH2)2O] were prepared Thus, RCH(OMe)2 (preparation given) was cyclocondensed with MeC(CH2OH)2CO2H and the product amidated by N-methylpiperazine to give I (R1 = 4-methyl-1-piperazinylcarbonyl). Data for biol. activity of I were given.

IT **442517-17-1P 442517-19-3P 442517-22-8P 442517-24-0P 442517-27-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5 receptor **inhibitors**)

RN 442517-17-1 HCAPLUS
CN Piperazine, 1-methyl-4-[[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-

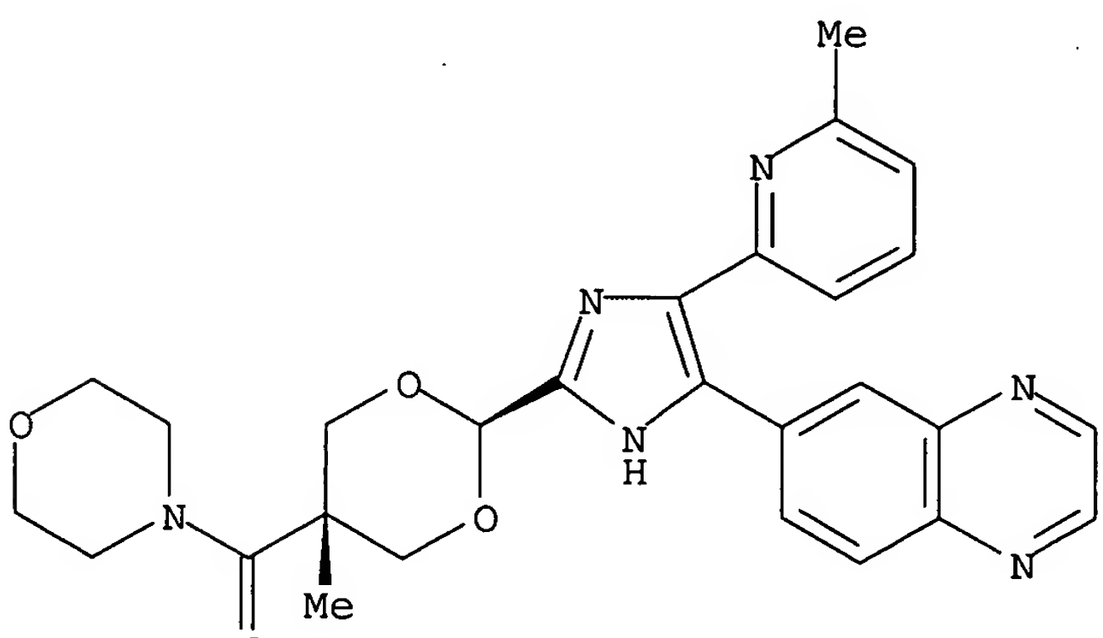
quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 442517-19-3 HCAPLUS
CN Morpholine, 4-[[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

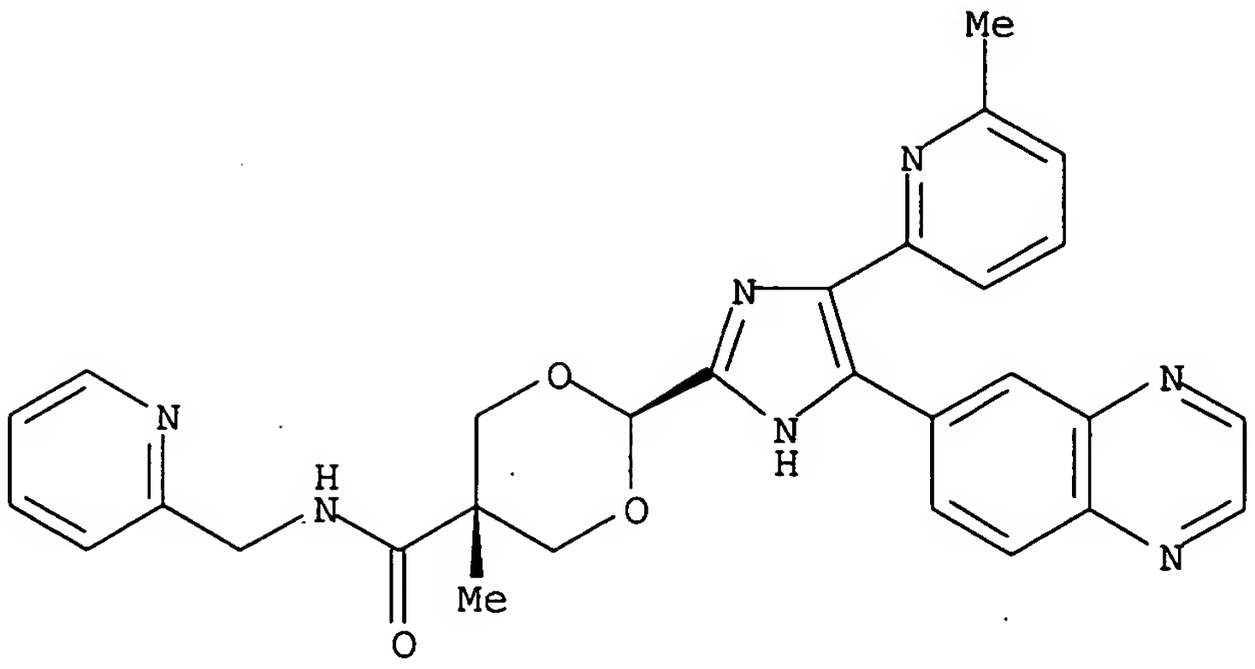


RN 442517-22-8 HCAPLUS
CN 1,3-Dioxane-5-carboxamide, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-N-(2-pyridinylmethyl)-, trans-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

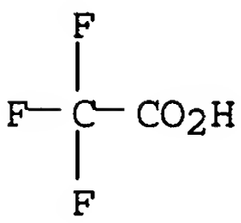
CRN 442517-21-7
CMF C29 H27 N7 O3

Relative stereochemistry.



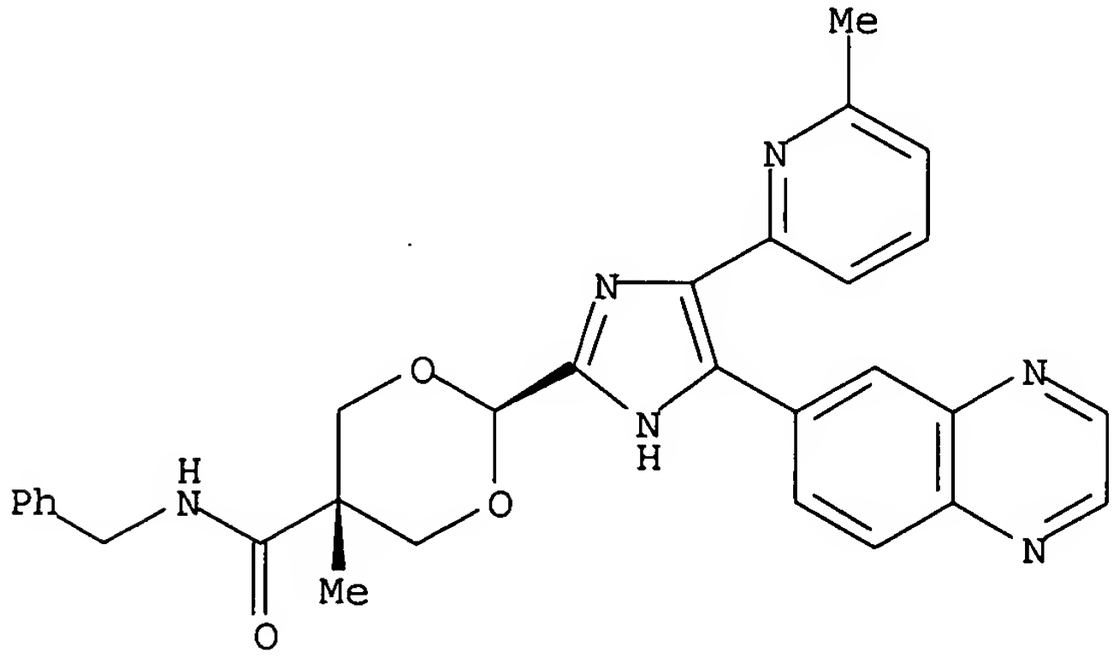
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 442517-24-0 HCAPLUS
CN 1,3-Dioxane-5-carboxamide, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-N-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



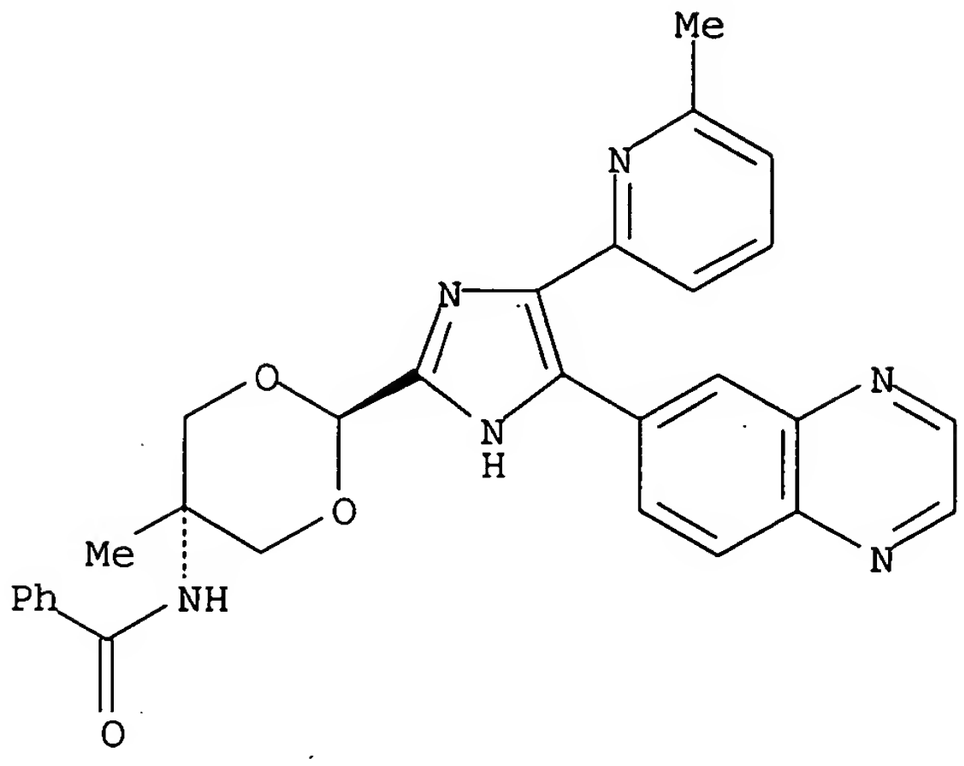
RN 442517-27-3 HCAPLUS
CN Benzamide, N-[trans-5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]-1,3-dioxan-5-yl]-, trifluoroacetate (9CI)

(CA INDEX NAME)

CM 1

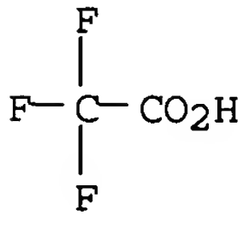
CRN 442517-26-2
CMF C29 H26 N6 O3

Relative stereochemistry.

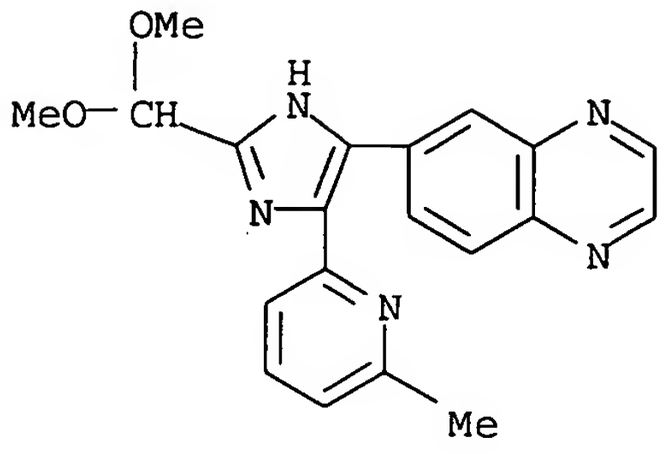


CM 2

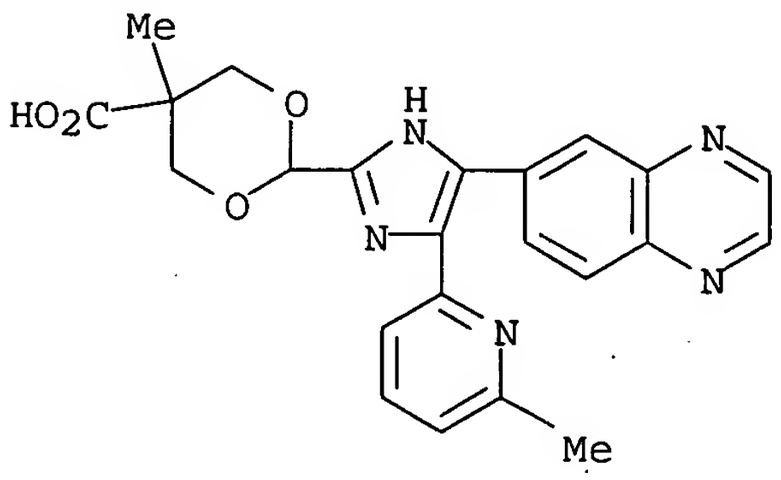
CRN 76-05-1
CMF C2 H F3 O2



IT **442517-38-6P 442517-40-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-imidazolyl-1,3-dioxane-5-carboxamides and analogs as ALK-5 receptor **inhibitors**)
RN 442517-38-6 HCAPLUS
CN Quinoxaline, 6-[2-(dimethoxymethyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 442517-40-0 HCAPLUS
CN 1,3-Dioxane-5-carboxylic acid, 5-methyl-2-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:391702 HCAPLUS
DOCUMENT NUMBER: 136:401755
TITLE: Preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators
INVENTOR(S): Bender, Paul E.; Burgess, Joelle L.; Callahan, James F.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040468	A1	20020523	WO 2001-US43994	20011114 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU	2002025730	A5	20020527	AU 2002-25730	20011114 <--
EP	1349851	A1	20031008	EP 2001-995214	20011114
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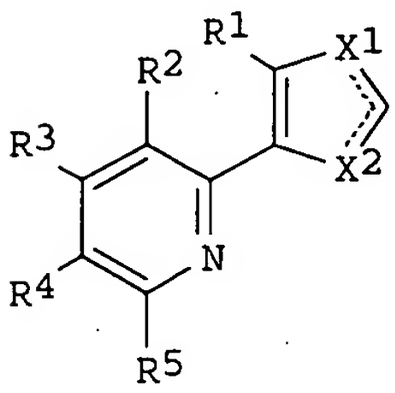
JP	2004517068	T2	20040610	JP 2002-543479	20011114
US	2004039198	A1	20040226	US 2003-416761	20030514
PRIORITY APPLN. INFO.:				US 2000-249199P P	20001116
				WO 2001-US43994 W	20011114

OTHER SOURCE(S): MARPAT 136:401755

GI



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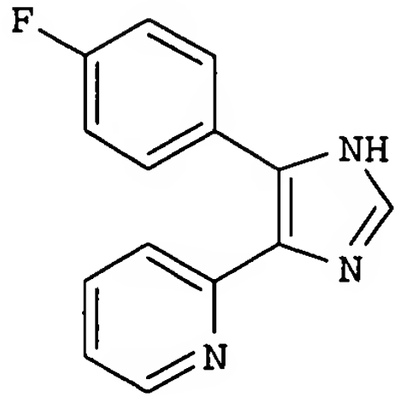


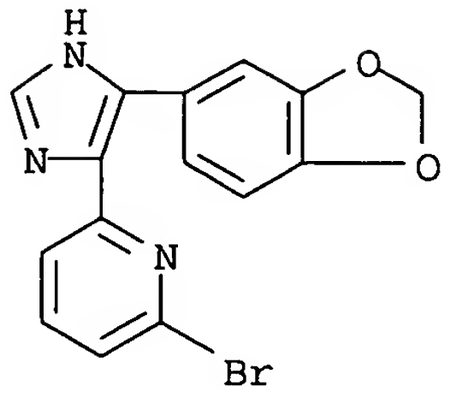
II

AB The title compds. [I; R1 = (un)substituted Ph, naphthyl, Ph fused with a 5-7 membered aromatic or non-aromatic ring wherein said ring contains up to three heteroatoms, independently selected from N, O and S; R2-R5 = H, alkyl, alkoxy, etc.; or an adjacent pair of R2-R5 form (un)substituted fused 6-membered aromatic ring optionally containing up to 2 N atoms, and the remainder of R2-R5 = H, alkyl, alkoxy, etc.; one of X1 and X2 = N and the other = NR6 (wherein R6 = H, alkyl)], useful in treating a disease mediated by the ALK5 receptor in mammals, were prepared Thus, condensation of pyridine-2-carboxaldehyde with 1-[1-isocyano-1-(toluene-4-sulfonyl)methyl]-4-fluorobenzene and ammonia afforded II. The compds. I generally show ALK5 receptor modulator activity having IC50 values of 0.0001-10 μM.

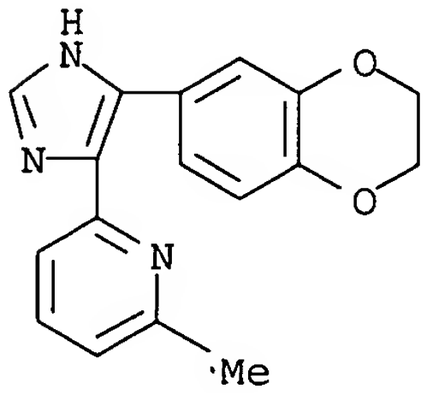
IT **428816-36-8P 428816-37-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)

RN 428816-36-8 HCAPLUS

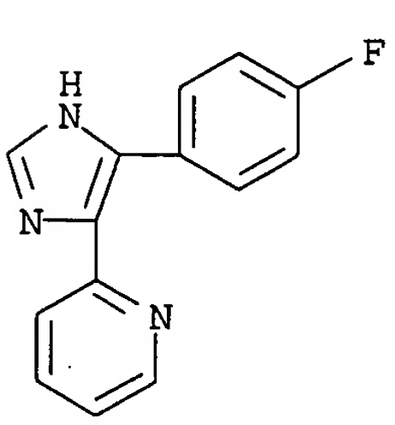
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-6-bromo- (9CI) (CA INDEX NAME)



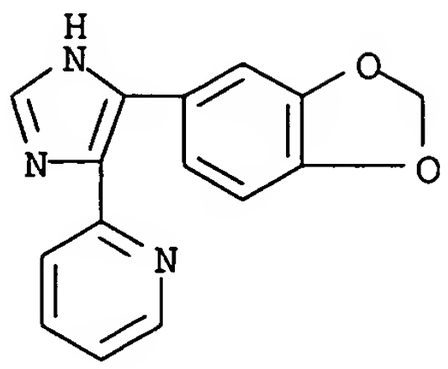
RN 428816-37-9 HCAPLUS
CN Pyridine, 2-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)



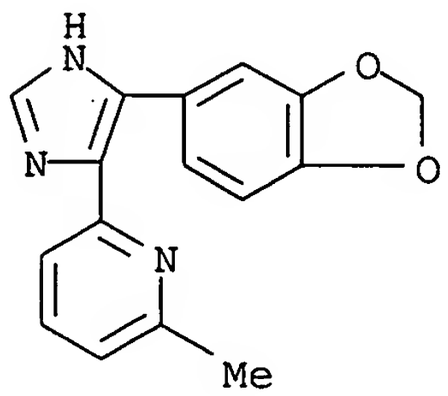
IT **428816-33-5P**, 2-[5-(4-Fluorophenyl)-1H-imidazol-4-yl]pyridine
428816-34-6P 428816-35-7P 428816-40-4P
428816-41-5P 428816-42-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)
RN 428816-33-5 HCAPLUS
CN Pyridine, 2-[5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



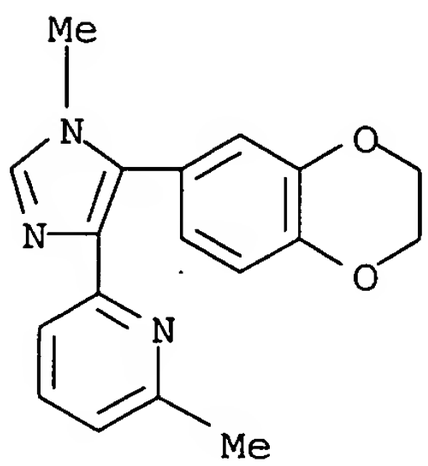
RN 428816-34-6 HCAPLUS
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



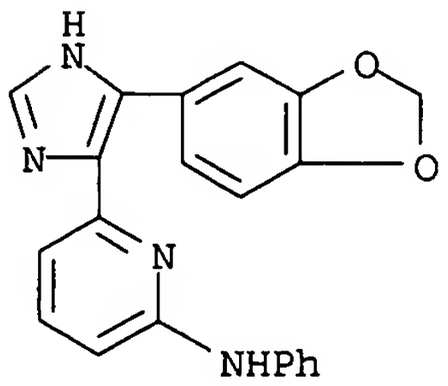
RN 428816-35-7 HCAPLUS
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)



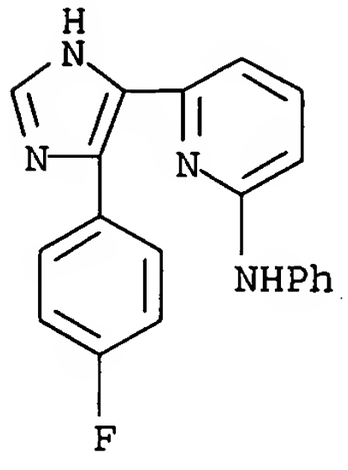
RN 428816-40-4 HCAPLUS
CN Pyridine, 2-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl-1H-imidazol-4-yl]-6-methyl- (9CI) (CA INDEX NAME)



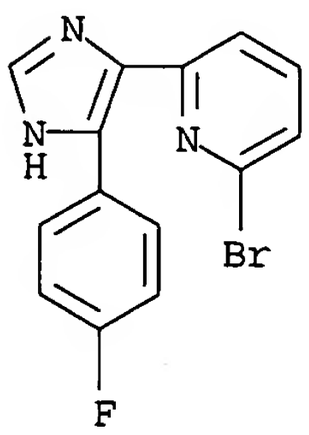
RN 428816-41-5 HCAPLUS
CN 2-Pyridinamine, 6-[5-(1,3-benzodioxol-5-yl)-1H-imidazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 428816-42-6 HCAPLUS
CN 2-Pyridinamine, 6-[5-(4-fluorophenyl)-1H-imidazol-4-yl]-N-phenyl- (9CI) (CA INDEX NAME)



IT **428816-45-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-pyridyl substituted diarylimidazoles as ALK5 receptor modulators)
RN 428816-45-9 HCAPLUS
CN Pyridine, 2-bromo-6-[5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:730730 HCAPLUS
DOCUMENT NUMBER: 135:272959
TITLE: Preparation of triarylimidazole derivatives as cytokine **inhibitors**
INVENTOR(S): Harling, John David; Gaster, Laramie Mary
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072737	A1	20011004	WO 2001-GB1314	20010326 <--
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HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1268465	A1	20030102	EP 2001-915488	20010326
EP 1268465	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003528870	T2	20030930	JP 2001-570648	20010326
AT 296821	E	20050615	AT 2001-915488	20010326
US 2003149277	A1	20030807	US 2003-239815	20030121
US 6906089	B2	20050614		
PRIORITY APPLN. INFO.:			GB 2000-7405 A	20000327
			WO 2001-GB1314 W	20010326

OTHER SOURCE(S): MARPAT 135:272959
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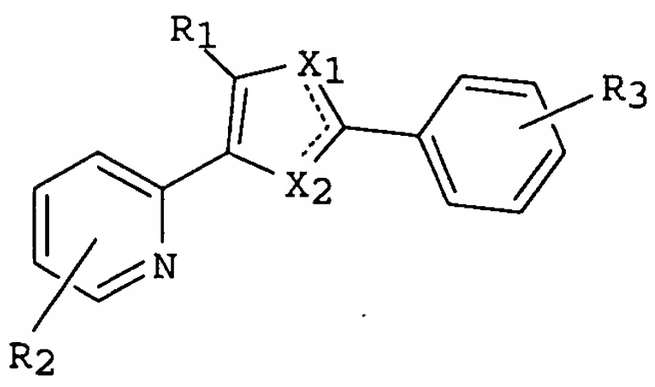


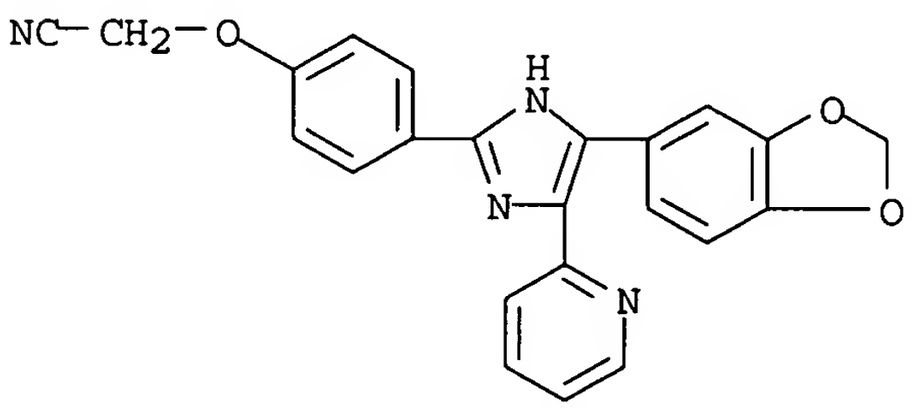
I

AB A process for preparing compds. of formula I or a pharmaceutically acceptable salt thereof, wherein R1 = naphthyl or Ph optionally substituted with one or more substituents selected from the group consisting of halo, -O-C1-6alkyl, -S-C1-6alkyl, C1-6alkyl, C1-6haloalkyl, -O-(CH2)n-Ph, -S-(CH2)n-Ph, CN, Ph, and CO2R, wherein R is H or C1-6alkyl, and n is 0, 1, 2 or 3; or R1 is Ph fused with an aromatic or nonarom. cyclic ring of 5-7 members wherein said cyclic ring optionally contains up to three heteroatoms, independently selected from N, O and S; R2 = H, C1-6alkyl, C1-6alkoxy, Ph, NH(CH2)n-Ph, NH-C1-6alkyl, halo, or alkoxy; R3 is COOH, tetrazole, CN, NO2, OH, -S-C1-6alkyl, -SO-C1-6alkyl, -O-C1-6alkyl, SONH2, CHO, CH2OH, (CH2)nNH2, CONHOR', O(CH2)nCO2R', O(CH2)nCONHR', CONHR', (CH2)nCO2R', or (CH2)nCONHR' wherein R' is H or C1-6alkyl, and n is 0, 1, 2 or 3; and one of X1 and X2 is N or CR'', and the other is NR" or CHR" wherein R" is H, C1-6alkyl, or C3-7cycloalkyl; or when one of X1 and X2 is N or CR" then the other may be S or O;. Provided that the compound is not one in which R1 is naphthyl or Ph optionally substituted with one or more substituents selected from the group consisting of halo, -O-C1-6alkyl, -S-C1-6alkyl, C1-6alkyl, -O-(CH2)n-Ph, -S-(CH2)n-Ph, CN, Ph, and CO2R, wherein R = H or C1-6alkyl and n is 0, 1, 2 or 3; or R1 is Ph fused with an aromatic or nonarom. cyclic ring of 5-7 members wherein said cyclic ring optionally contains up to two heteroatoms, independently selected from N, O and S; and R2 is H, NH(CH2)n-Ph or NH-C1-6alkyl; and R3 is CO2H, CONH2, CN, NO2, C1-6alkylthio, SO2-C1-6alkyl, C1-6alkoxy, SONH2, CONHOH, NH2,

CHO, CH2OH, CH2NH2, or CO2R, wherein R = H or C1-6alkyl. Thus, 4-(4-benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)benzoyl chloride hydrochloride was suspended in THF and treated with a solution of NHMe in H2O to give 60% 4-(4-Benzo[1,3]dioxol-5-yl-5-pyridin-2-yl-1H-imidazol-2-yl)-N-methylbenzamide. The prepared compds. are useful in the treatment and prevention of chronic renal disease, acute renal disease, wound healing, arthritis, osteoporosis, kidney disease, congestive heart failure, ulcers, ocular disorders, corneal wounds, diabetic nephropathy, impaired neurol. function, Alzheimer's disease, trophic conditions, atherosclerosis, peritoneal and sub-dermal adhesion, any disease wherein fibrosis is a major component, and restenosis, as **inhibitors** of the transforming growth factor, ("**TGF**")-p3 signaling pathway. The compds. of this invention generally show ALK5 receptor modulator activity having IC50 values in the range of 0.0001 to 10μM.

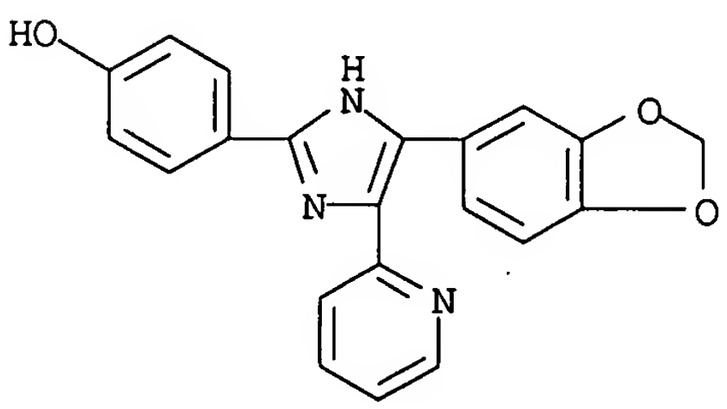
IT **364050-01-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of triarylimidazole derivs. as cytokine **inhibitors**)

RN 364050-01-1 HCAPLUS
CN Acetonitrile, [4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]phenoxy]- (9CI) (CA INDEX NAME)

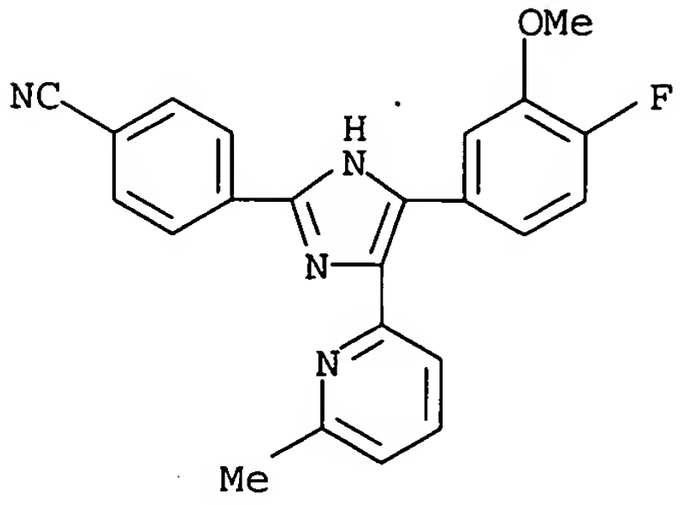


IT **364049-94-5P 364050-02-2P 364050-08-8P**
364050-11-3P 364050-14-6P 364050-17-9P
364050-20-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of triarylimidazole derivs. as cytokine **inhibitors**)

RN 364049-94-5 HCAPLUS
CN Phenol, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 364050-02-2 HCAPLUS
CN Benzonitrile, 4-[4-(4-fluoro-3-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 364050-08-8 HCAPLUS
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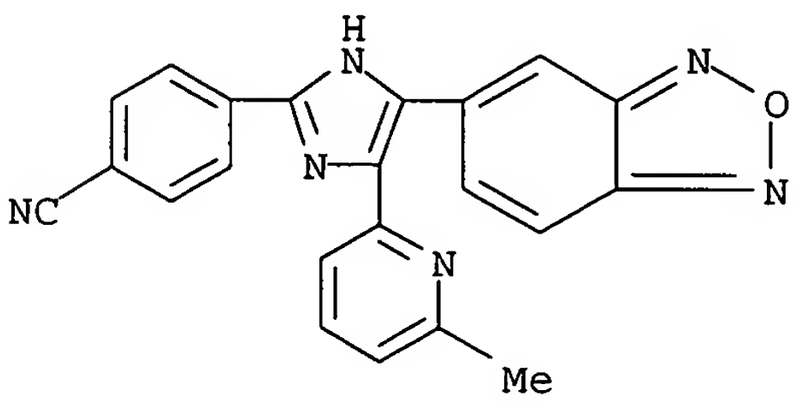

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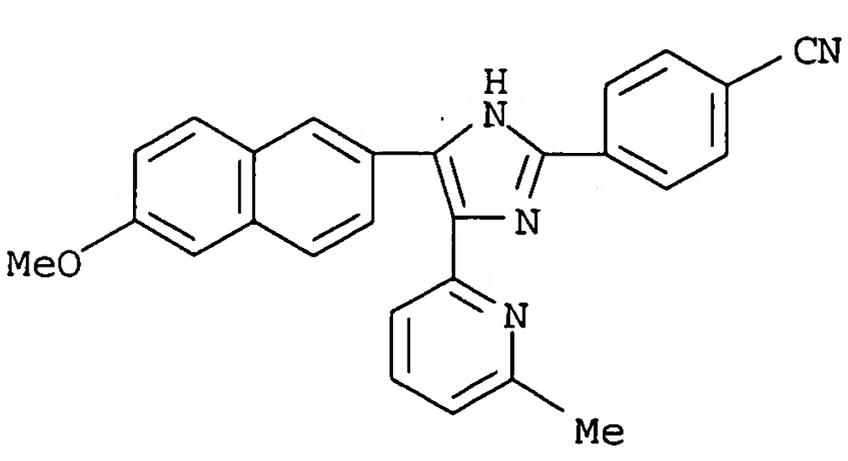

RN 364050-14-6 HCAPLUS
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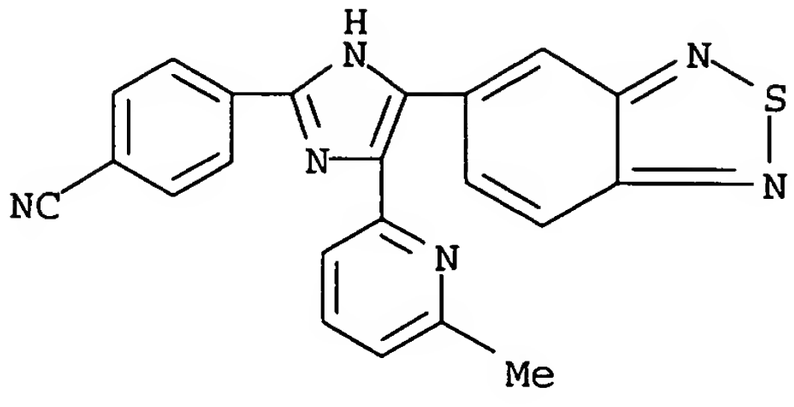

RN 364050-17-9 HCAPLUS
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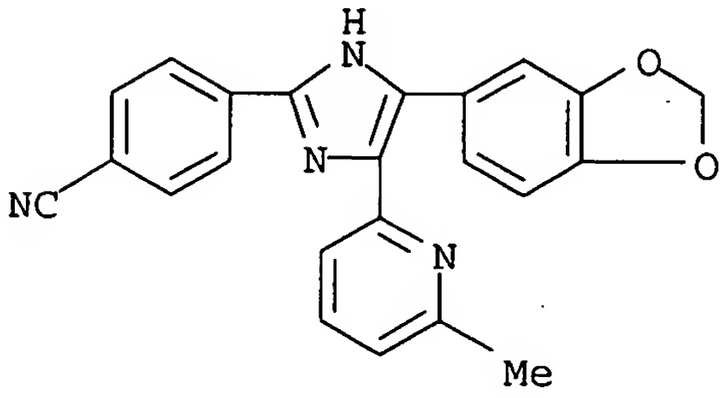

RN 364050-20-4 HCAPLUS
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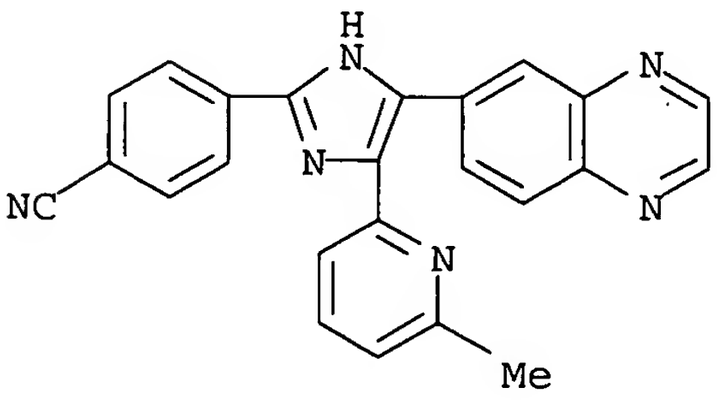
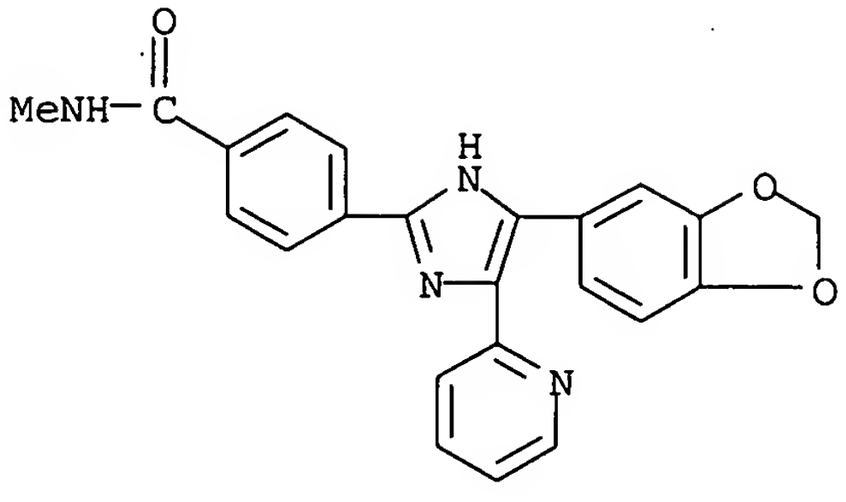


IT **364049-96-7P 364049-97-8P 364049-98-9P**
364050-00-0P 364050-04-4P 364050-05-5P
364050-07-7P 364050-10-2P 364050-13-5P
364050-16-8P 364050-19-1P 364050-22-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triarylimidazole derivs. as cytokine **inhibitors**)
RN 364049-96-7 HCAPLUS
CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-N-methyl- (9CI) (CA INDEX NAME)



RN 364049-97-8 HCAPLUS
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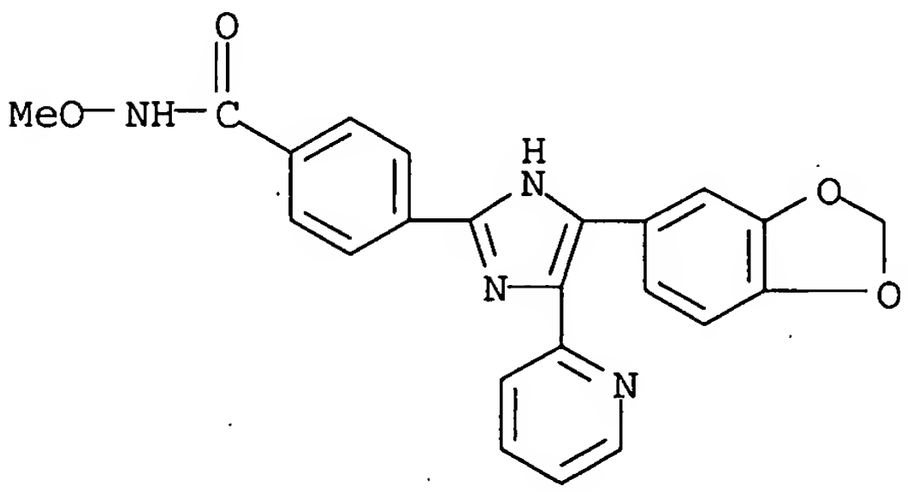
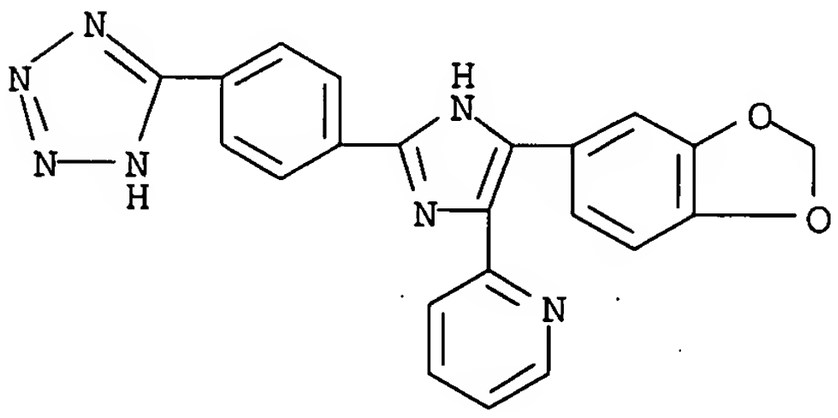
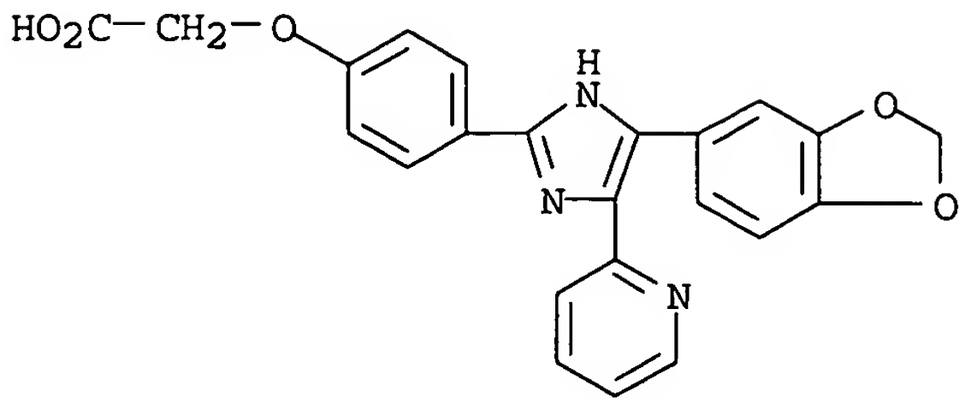


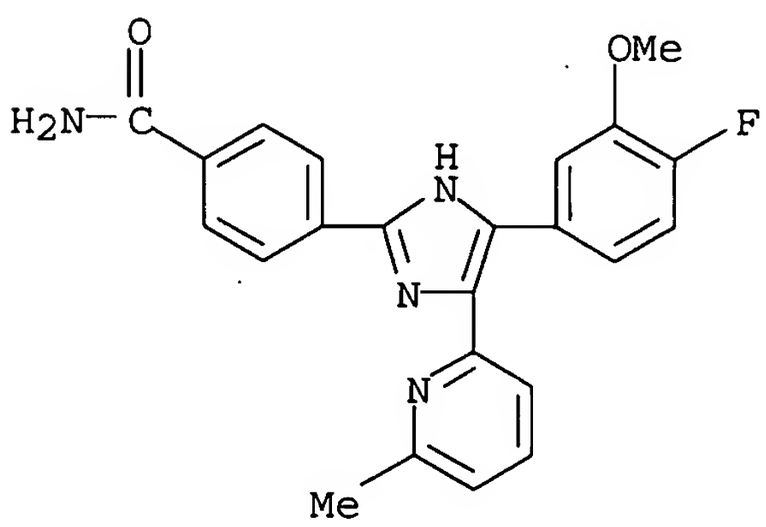
RN 364049-98-9 HCAPLUS
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-2-[4-(1H-tetrazol-5-yl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



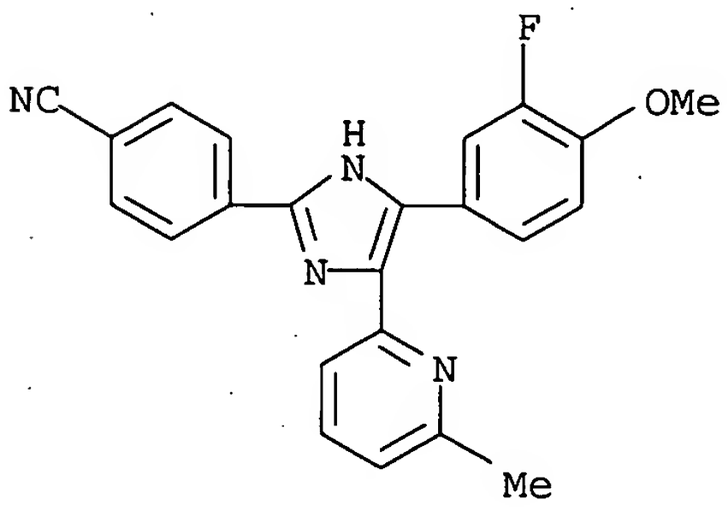
RN 364050-00-0 HCAPLUS
CN Acetic acid, [4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]phenoxy]- (9CI) (CA INDEX NAME)



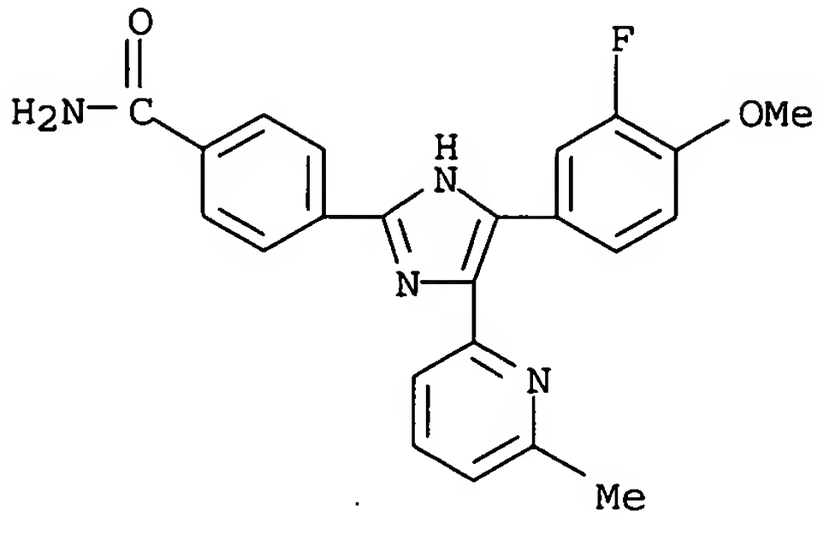
RN 364050-04-4 HCAPLUS
CN Benzamide, 4-[4-(4-fluoro-3-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



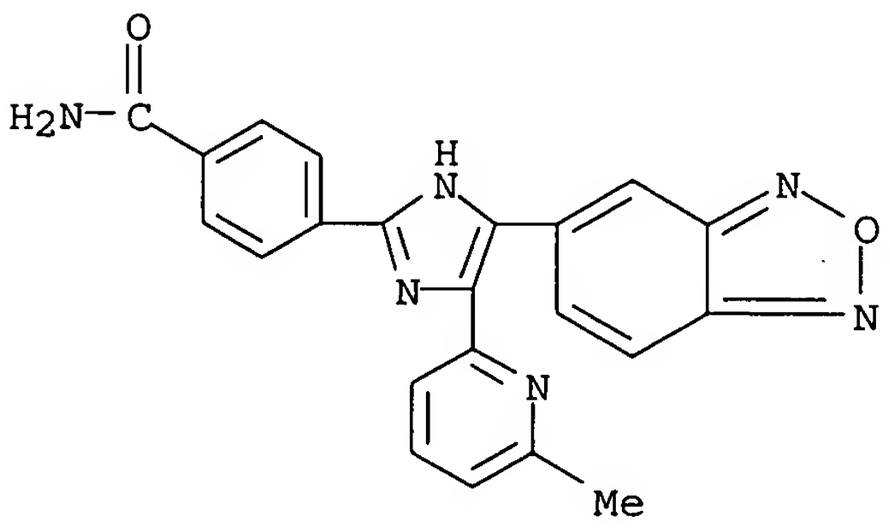
RN 364050-05-5 HCAPLUS
CN Benzonitrile, 4-[4-(3-fluoro-4-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



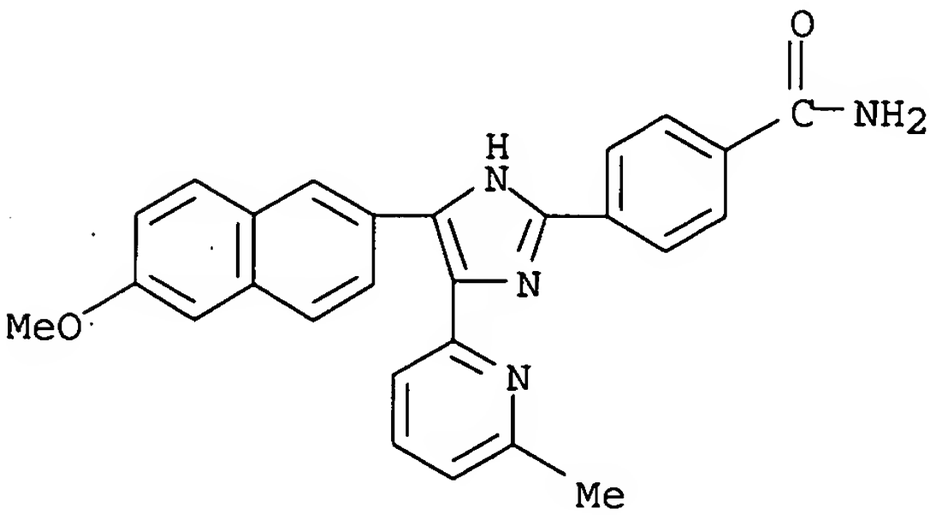
RN 364050-07-7 HCAPLUS
CN Benzamide, 4-[4-(3-fluoro-4-methoxyphenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



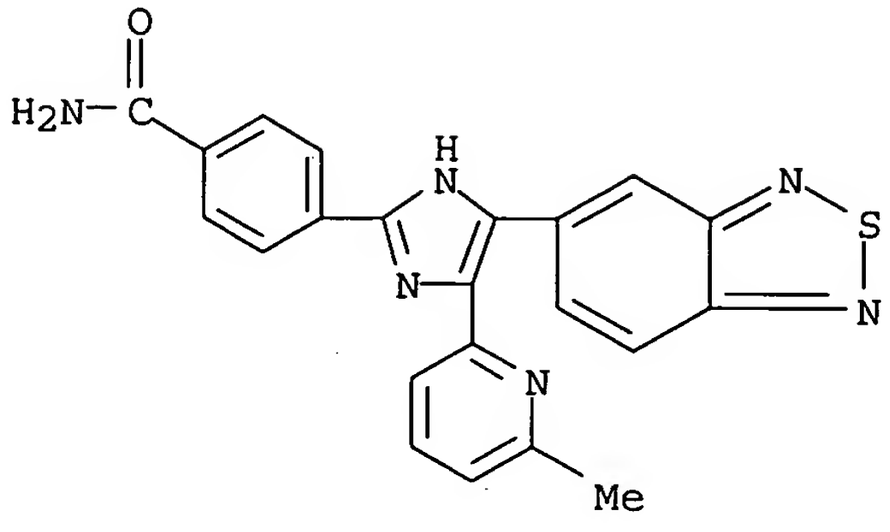
RN 364050-10-2 HCAPLUS
CN Benzamide, 4-[4-(2,1,3-benzoxadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



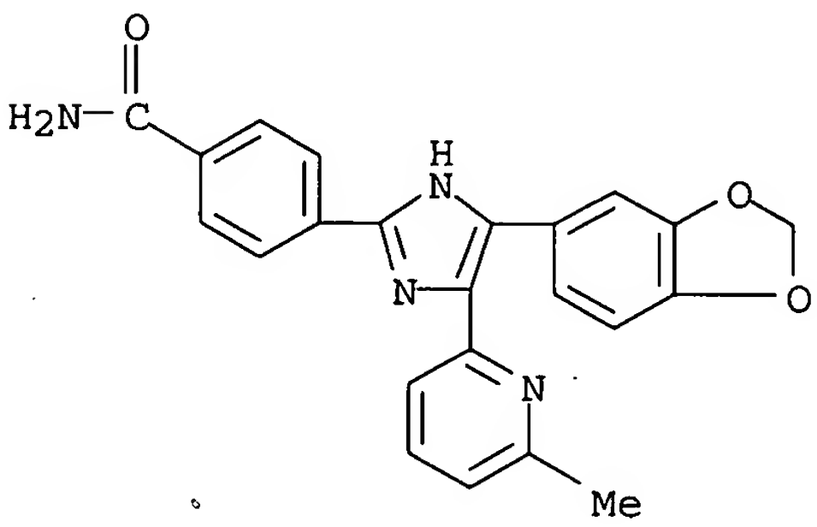
RN 364050-13-5 HCAPLUS
CN Benzamide, 4-[4-(6-methoxy-2-naphthalenyl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



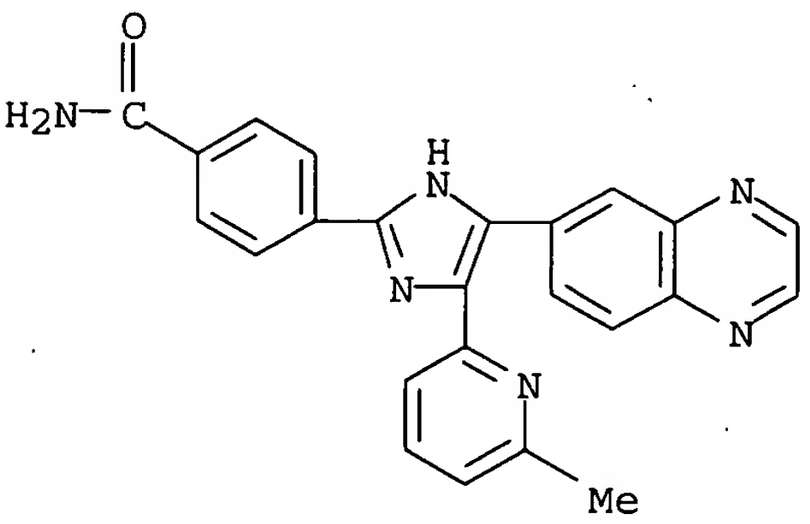
RN 364050-16-8 HCAPLUS
CN Benzamide, 4-[4-(2,1,3-benzothiadiazol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



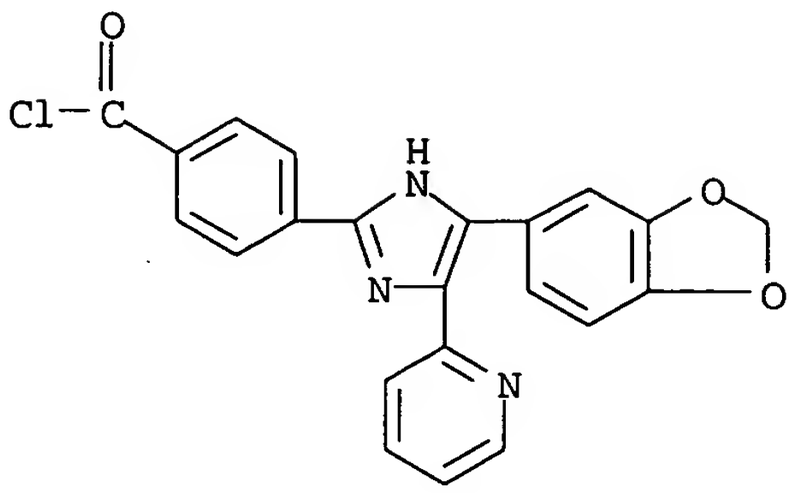
RN 364050-19-1 HCAPLUS
CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 364050-22-6 HCAPLUS
CN Benzamide, 4-[4-(6-methyl-2-pyridinyl)-5-(6-quinoxalinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

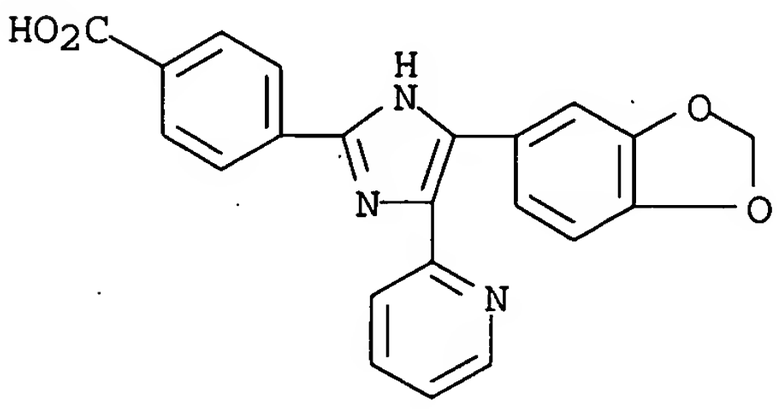


IT **301836-56-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triarylimidazole derivs. as cytokine **inhibitors**)
RN 301836-56-6 HCAPLUS
CN Benzoyl chloride, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **301836-35-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of triarylimidazole derivs. as cytokine **inhibitors**)
RN 301836-35-1 HCAPLUS
CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

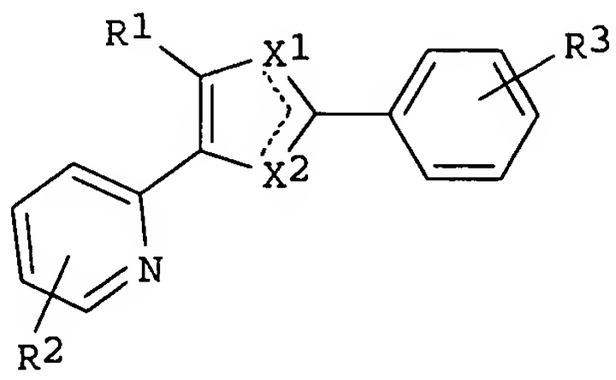
L13 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:742089 HCAPLUS
DOCUMENT NUMBER: 133:309891
TITLE: Preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators
INVENTOR(S): Burgess, Joelle Lorraine; Callahan, James Francis
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061576	A1	20001019	WO 2000-US9147	20000406 <--
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK,				

MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ,
VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1169317	A1	20020109	EP 2000-930101		20000406 <--
EP 1169317	B1	20030115			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002541253	T2	20021203	JP 2000-610849		20000406 <--
AT 231143	E	20030215	AT 2000-930101		20000406
ES 2187473	T3	20030616	ES 2000-930101		20000406
US 6465493	B1	20021015	US 2001-958639		20011009 <--
PRIORITY APPLN. INFO.:			US 1999-128687P	P	19990409
			WO 2000-US9147	W	20000406

OTHER SOURCE(S): MARPAT 133:309891
GI



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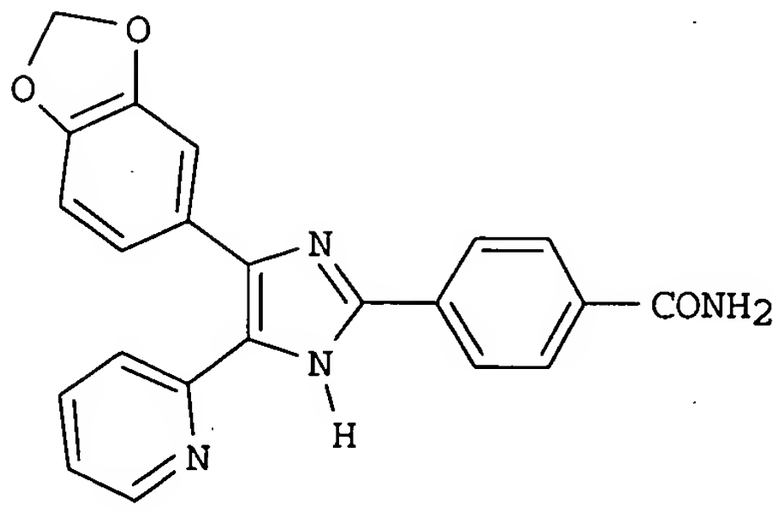


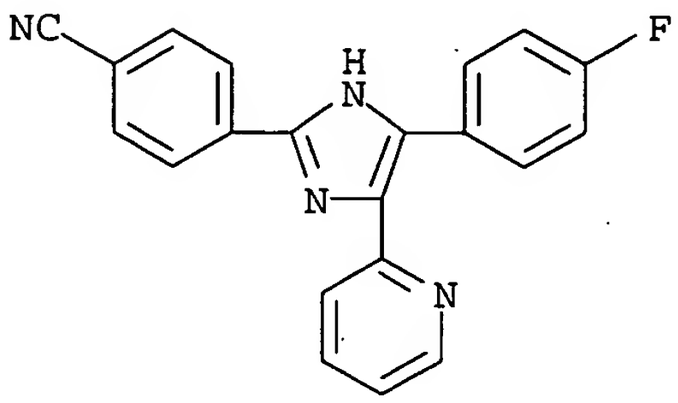
II

AB The title compds. [I; R1 = (un)substituted naphthyl, anthracenyl, Ph; R2 = H, NH(CH2)nPh, NHalkyl (wherein n = 0-3); R3 = CO2H, CONH2, CN, etc.; one of X1 and X2 = N, CR', and the other is NR', CHR' (R' = H, OH, alkyl, cycloalkyl); or when one of X1 and X2 = N, CR' then the other may be S, O], useful as **inhibitors** of the transforming growth factor (**TGF**)-β signaling pathway, were prepared E.g., a 2-step synthesis of imidazole II was given. In general, the compds. I showed IC50 of 0.0001-10 μM against ALK-5 receptor binding.

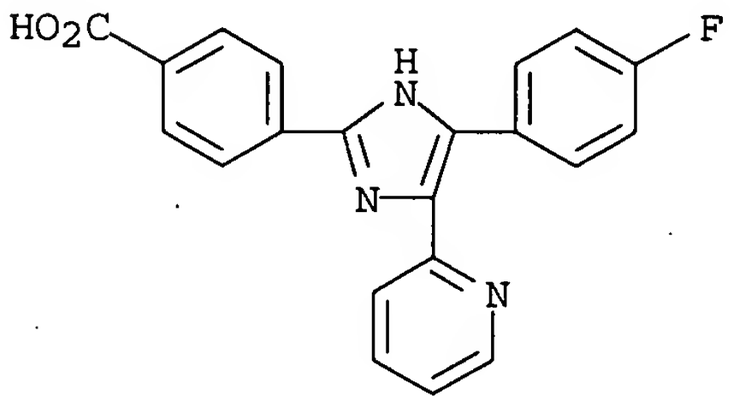
IT **301836-29-3P 301836-30-6P 301836-34-0P**
301836-35-1P 301836-36-2P 301836-38-4P
301836-42-0P 301836-45-3P 301836-46-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

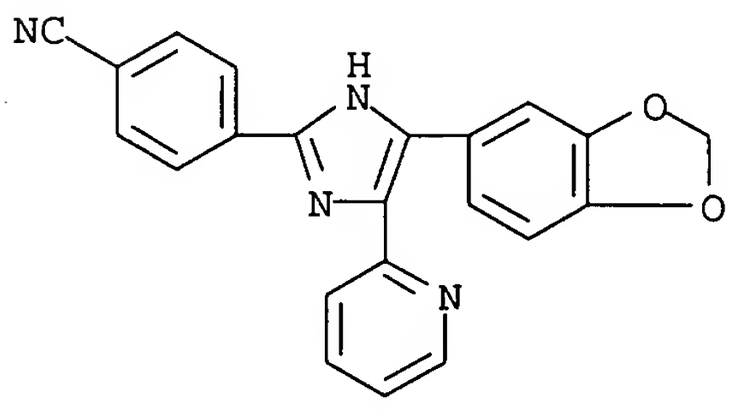
RN 301836-29-3 HCAPLUS
CN Benzonitrile, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



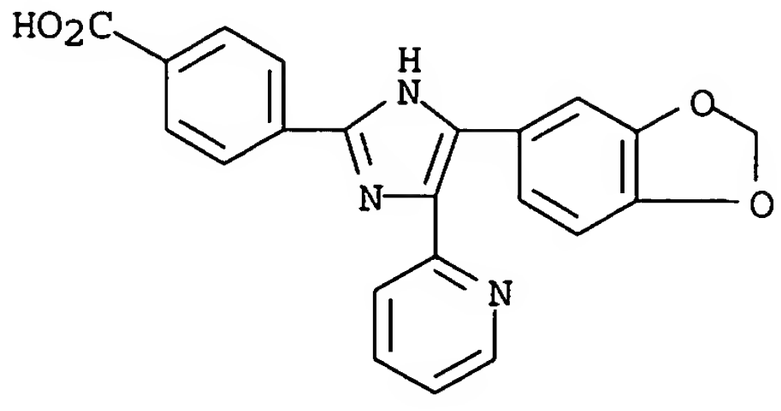
RN 301836-30-6 HCAPLUS
CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



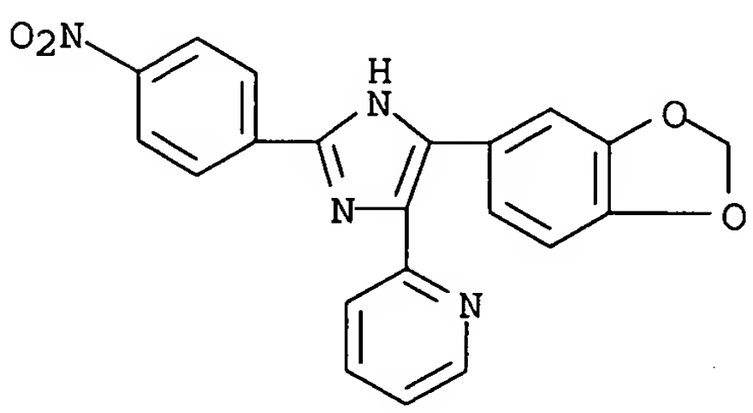
RN 301836-34-0 HCAPLUS
CN Benzonitrile, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



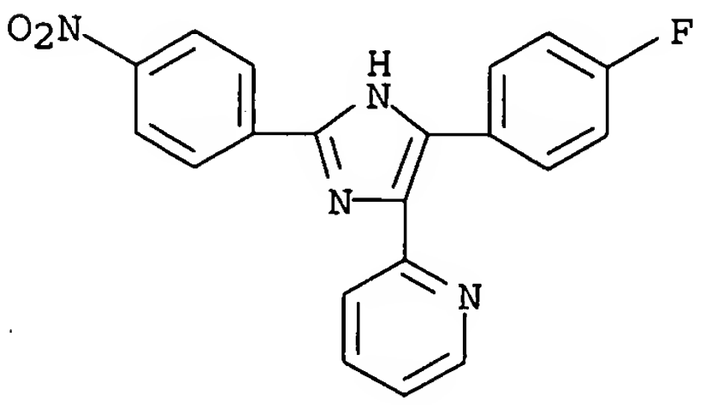
RN 301836-35-1 HCAPLUS
CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



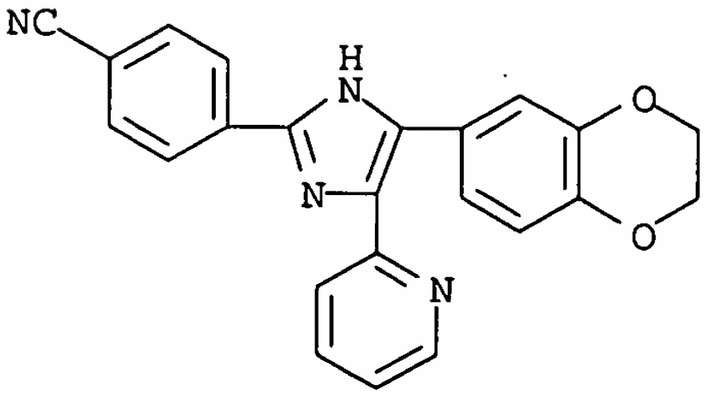
RN 301836-36-2 HCAPLUS
CN Pyridine, 2-[5-(1,3-benzodioxol-5-yl)-2-(4-nitrophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



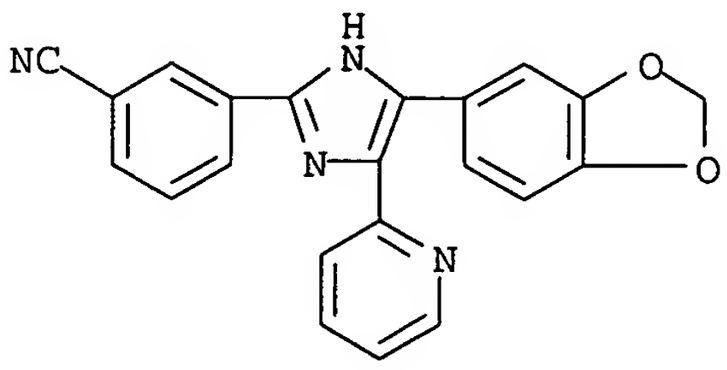
RN 301836-38-4 HCAPLUS
CN Pyridine, 2-[5-(4-fluorophenyl)-2-(4-nitrophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



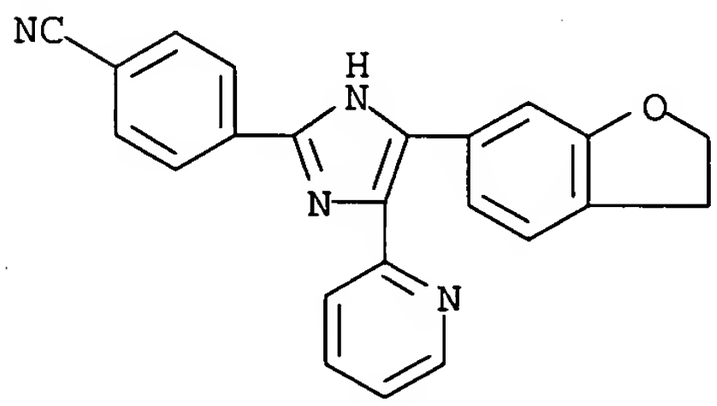
RN 301836-42-0 HCAPLUS
CN Benzonitrile, 4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-45-3 HCAPLUS
CN Benzonitrile, 3-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

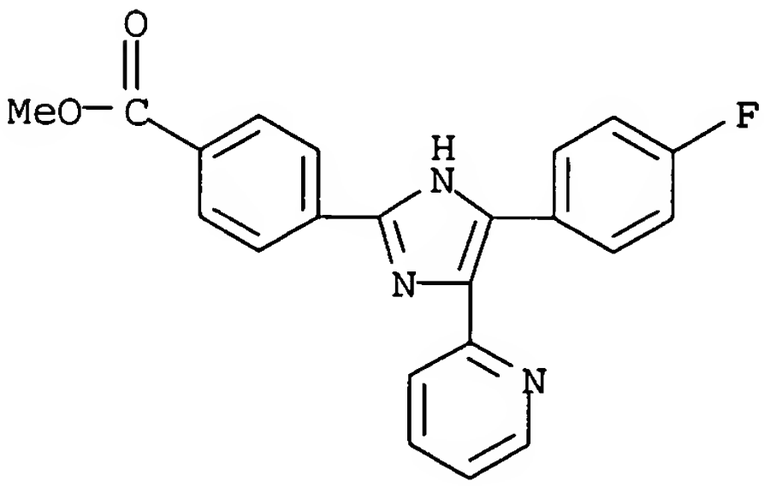


RN 301836-46-4 HCAPLUS
CN Benzonitrile, 4-[4-(2,3-dihydro-6-benzofuranyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

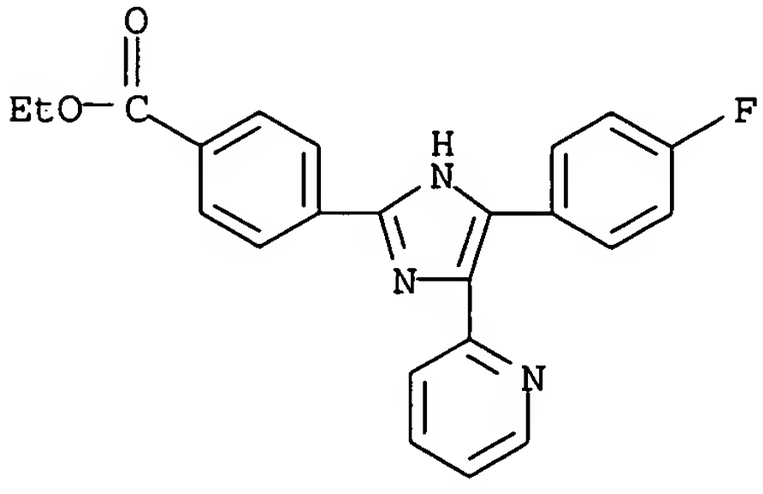


IT **301836-31-7P 301836-32-8P 301836-37-3P**
301836-39-5P 301836-40-8P 301836-41-9P
301836-43-1P 301836-44-2P 301836-47-5P
301836-48-6P 301836-49-7P 301836-51-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)

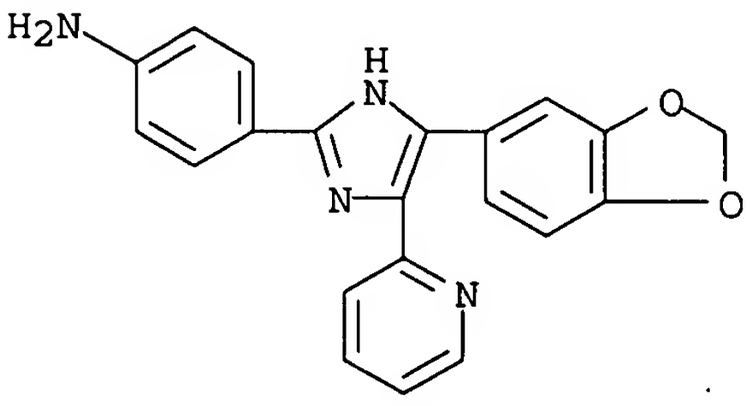
RN 301836-31-7 HCAPLUS
CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



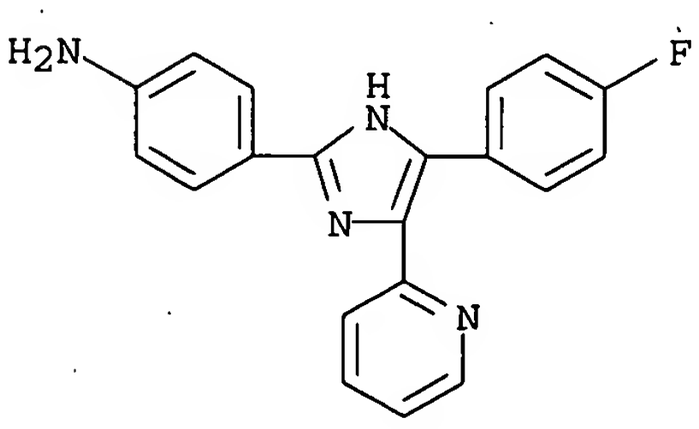
RN 301836-32-8 HCAPLUS
CN Benzoic acid, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)



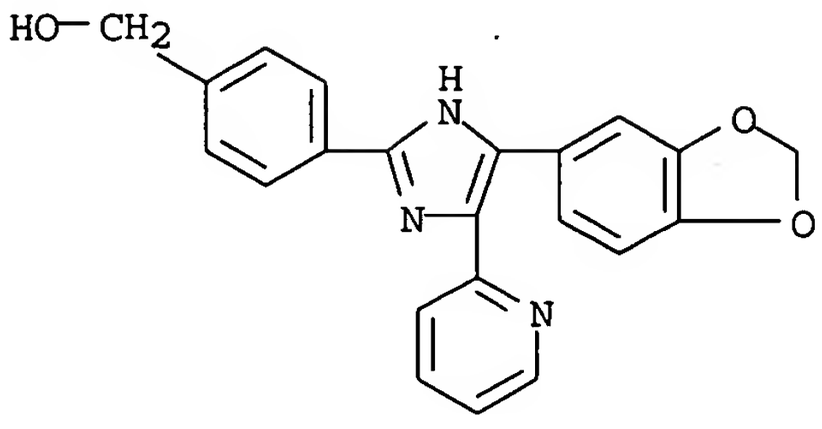
RN 301836-37-3 HCAPLUS
CN Benzenamine, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



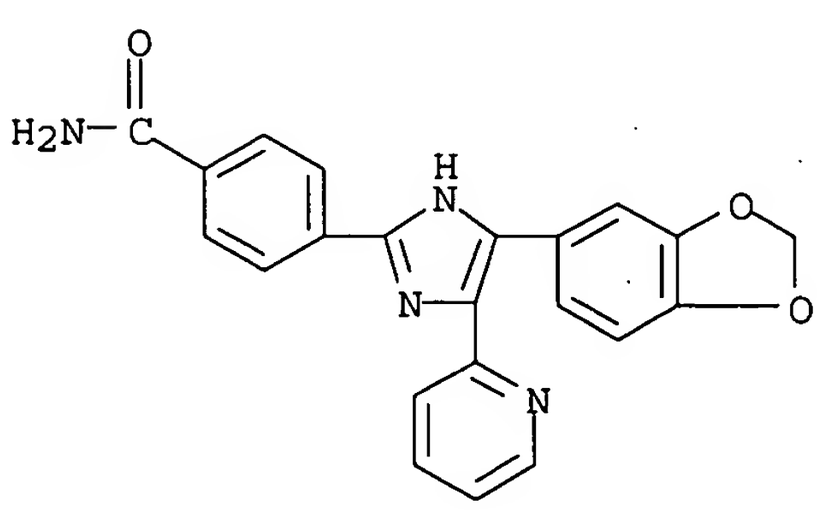
RN 301836-39-5 HCAPLUS
CN Benzenamine, 4-[4-(4-fluorophenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



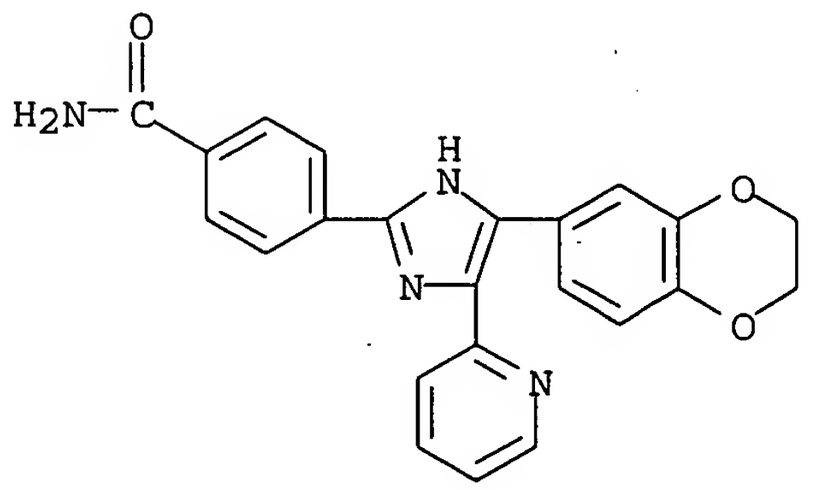
RN 301836-40-8 HCAPLUS
CN Benzenemethanol, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



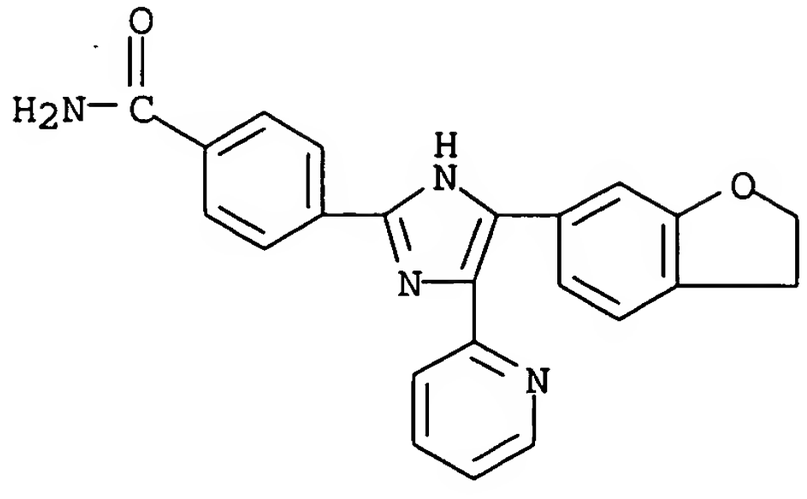
RN 301836-41-9 HCAPLUS
CN Benzamide, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



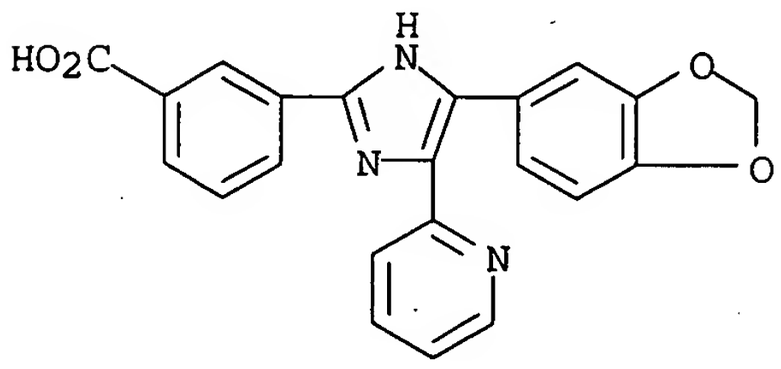
RN 301836-43-1 HCAPLUS
CN Benzamide, 4-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



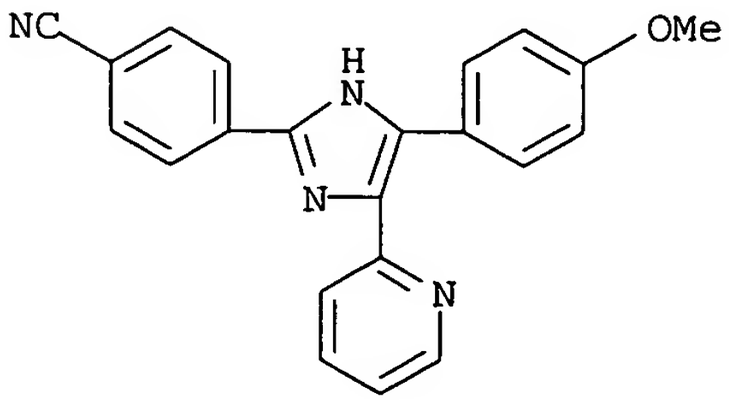
RN 301836-44-2 HCAPLUS
CN Benzamide, 4-[4-(2,3-dihydro-6-benzofuranyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-47-5 HCAPLUS
CN Benzoic acid, 3-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-48-6 HCAPLUS
CN Benzonitrile, 4-[4-(4-methoxyphenyl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 301836-49-7 HCAPLUS
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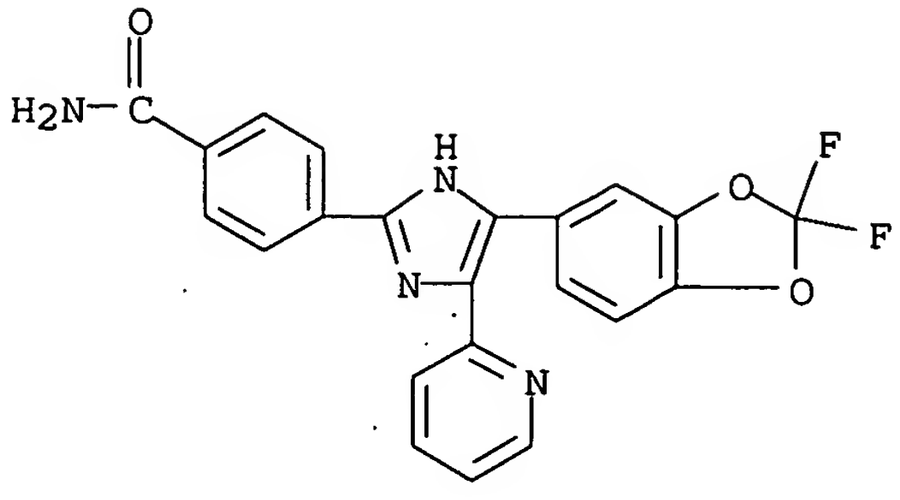
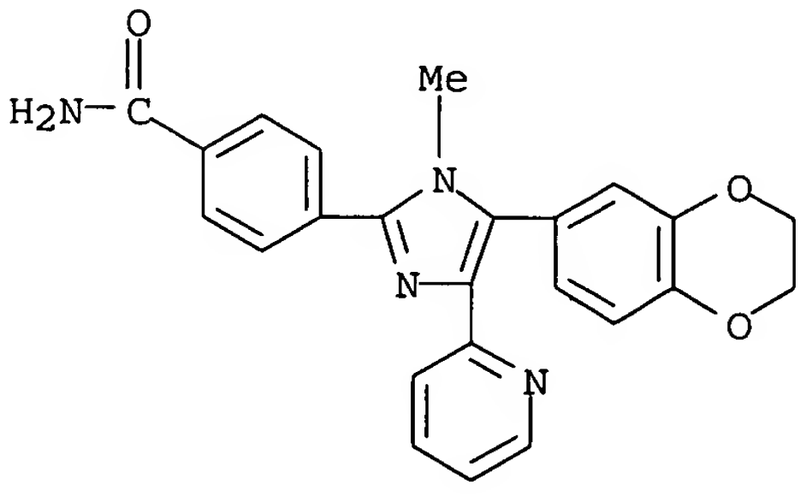
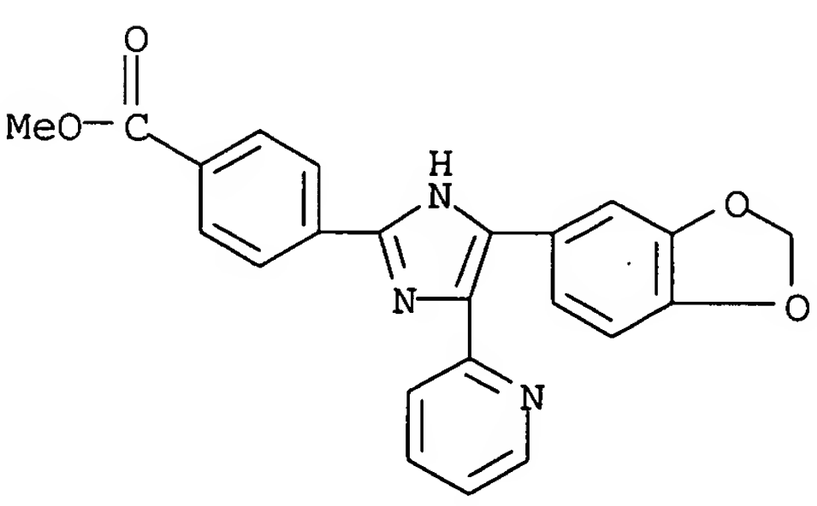


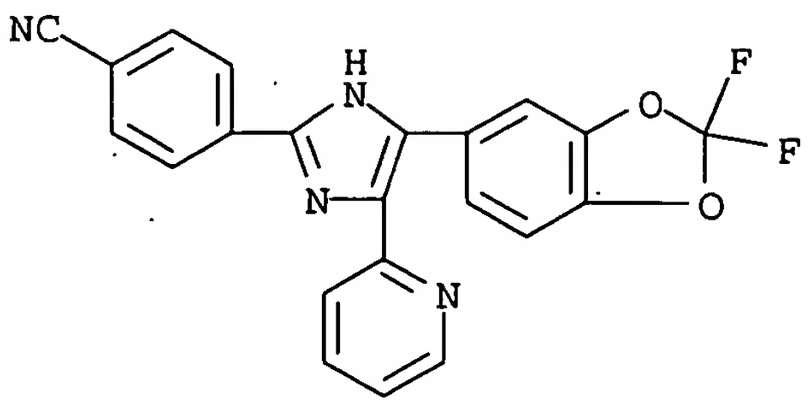
RN 301836-51-1 HCAPLUS
CN Benzamide, 4-[5-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-methyl-4-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



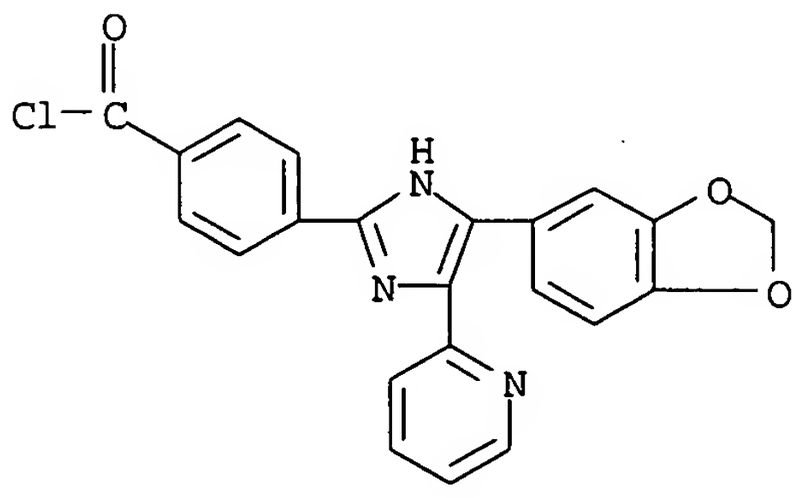
IT **301836-64-6 301836-68-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)
RN 301836-64-6 HCAPLUS
CN Benzoic acid, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 301836-68-0 HCAPLUS
CN Benzonitrile, 4-[4-(2,2-difluoro-1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)



IT **301836-56-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triarylimidazoles as activin-like kinase (ALK)-5 receptor modulators)
RN 301836-56-6 HCAPLUS
CN Benzoyl chloride, 4-[4-(1,3-benzodioxol-5-yl)-5-(2-pyridinyl)-1H-imidazol-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	130.13	467.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-16.50	-16.50

STN INTERNATIONAL LOGOFF AT 14:55:01 ON 11 FEB 2006